

STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 105350

TO: Emily M Le
Location: 11d16 / 8e12
Monday, October 06, 2003
Art Unit: 1648
Phone: 305-4452
Serial Number: 09 / 720276

From: Jan Delaval
Location: Biotech-Chem Library
CM1-1E07
Phone: 308-4498

jan.delaval@uspto.gov

Search Notes

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov

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STIC SEARCH RESULTS

Biotech-Chem Library

Questions about the scope or the results of the search? Contact *the searcher* or contact:

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

➤ I am an examiner in Workgroup: Example: 1610

➤ Relevant prior art **found**, search results used as follows:

- ☐ 102 rejection
- ☐ 103 rejection
- ☐ Cited as being of interest.
- ☐ Helped examiner better understand the invention.
- ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
(journal articles, conference proceedings, new product announcements etc.)

➤ Relevant prior art **not found**:

- ☐ Results verified the lack of relevant prior art (helped determine patentability).
- ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 - Circ. Desk



=> fil reg

FILE 'REGISTRY' ENTERED AT 15:38:46 ON 06 OCT 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5

DICTIONARY FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

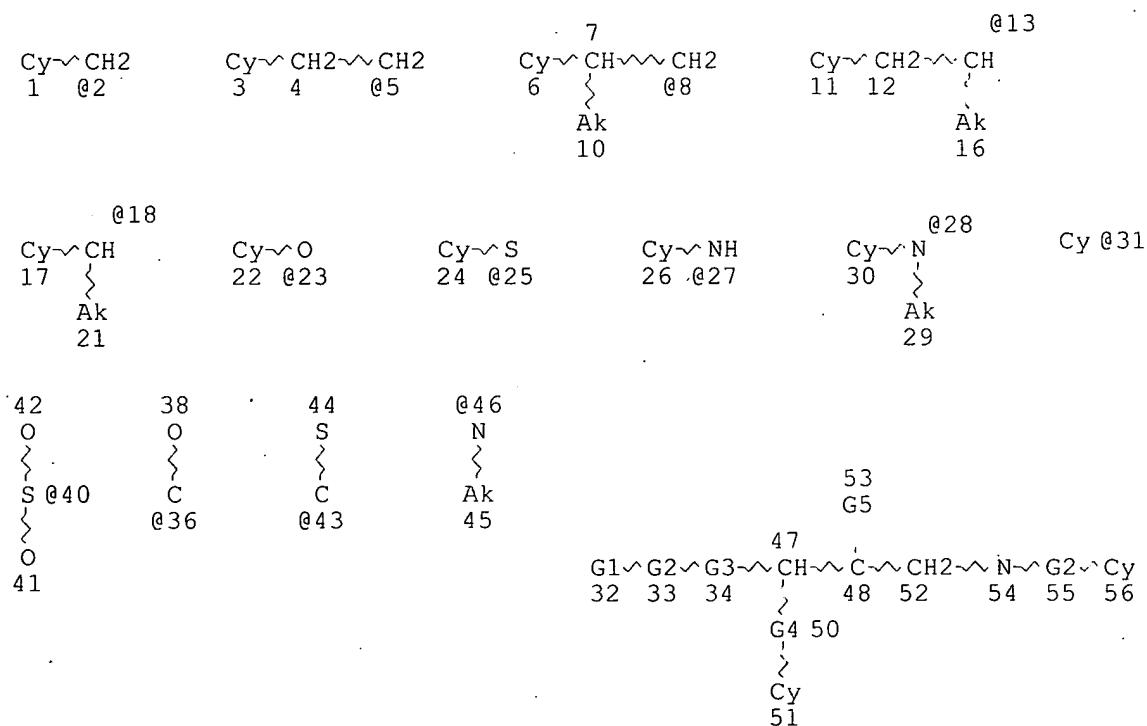
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que 135

L33 STR



VAR G1=31/2/18/5/8/13/23/25/27/28

VAR G2=36/43/40

VAR G3=NH/46

REP G4=(0-6) CH2

VAR G5=O/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS PCY AT 1

GGCAT IS PCY AT 3

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 - 703-308-4498
jan.delaval@uspto.gov

GGCAT IS PCY AT 6
 GGCAT IS PCY AT 11
 GGCAT IS PCY AT 17
 GGCAT IS PCY AT 22
 GGCAT IS PCY AT 24
 GGCAT IS PCY AT 26
 GGCAT IS PCY AT 30
 GGCAT IS PCY AT 31
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 47

STEREO ATTRIBUTES: NONE
 L35 1066 SEA FILE=REGISTRY SSS FUL L33

100.0% PROCESSED 255235 ITERATIONS
 SEARCH TIME: 00.00.14

1066 ANSWERS

=> d his

(FILE 'HOME' ENTERED AT 14:28:22 ON 06 OCT. 2003)
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 14:28:37 ON 06 OCT 2003

E ERICKSON J/AU
 L1 66 S E3, E24
 E ERICKSON JOHN/AU
 L2 149 S E3, E20, E21
 E GULNIK S/AU
 L3 54 S E3, E4, E6-E10
 E MITSUYA H/AU
 L4 287 S E3, E6, E7, E9
 L5 52 S L1, L2 AND L3, L4
 L6 4 S L3 AND L4
 L7 4 S L5 AND L6
 SEL RN

FILE 'REGISTRY' ENTERED AT 14:30:21 ON 06 OCT 2003

L8 15 S E1-E15
 L9 1 S L8 AND OC4-OC4/ES

FILE 'HCAPLUS' ENTERED AT 14:31:48 ON 06 OCT 2003

L10 12 S L9
 L11 7 S L10 AND L1-L7
 L12 6 S L11 NOT L7
 L13 5 S L10 NOT L11
 L14 11 S L12, L13
 SEL RN

FILE 'REGISTRY' ENTERED AT 14:32:26 ON 06 OCT 2003

L15 320 S E16-E335
 L16 201 S L15 AND OC4-OC4/ES
 L17 193 S L16 AND 46.150.18/RID
 L18 33 S L17 AND 4/NR
 L19 12 S L18 AND (C28H38N2O8S OR C28H39N3O7S OR C27H36N2O8S OR C28H38N
 SEL RN 1-6
 L20 6 S L19 NOT E336-E341
 SEL RN
 L21 0 S E342-E347/CRN

L22 6 S L9,L20

FILE 'HCAPLUS' ENTERED AT 14:43:21 ON 06 OCT 2003

L23 12 S L22
L24 7 S TMC126 OR TMC 126 OR UIC94003 OR UIC() (94003 OR 94 003)
L25 13 S L23,L24
L26 7 S L25 AND L1-L7
L27 13 S L25,L26
L28 3 S L27 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

FILE 'REGISTRY' ENTERED AT 14:44:54 ON 06 OCT 2003

L29 6 S L19 NOT L22

FILE 'HCAPLUS' ENTERED AT 14:45:27 ON 06 OCT 2003

L30 1 S L29
L31 0 S L30 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

FILE 'REGISTRY' ENTERED AT 14:46:03 ON 06 OCT 2003

L32 STR
L33 STR L32
L34 5 S L33
L35 1066 S L33 FUL
SAV L35 EMILY720/A
L36 196 S L35 AND L8,L15
L37 870 S L35 NOT L36

FILE 'HCAPLUS' ENTERED AT 15:36:51 ON 06 OCT 2003

L38 17 S L36
L39 15 S L37
L40 12 S L38,L39 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)
L41 2 S L40 AND L1-L4
L42 3 S L28,L41
L43 9 S L40 NOT L42

FILE 'REGISTRY' ENTERED AT 15:38:46 ON 06 OCT 2003

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 15:39:10 ON 06 OCT 2003

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FILE COVERS 1907 - 6 Oct 2003 VOL 139 ISS 15

FILE LAST UPDATED: 5 Oct 2003 (20031005/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d 142 all hitstr tot

L42 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:819523 HCAPLUS
 DN 132:59135
 TI Fitness assay and associated methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance
 IN **Erickson, John W.; Gulnik, Sergei V.**
 PA United States of America, Represented by the Secretary, Department of Health and Human Services, USA
 SO PCT Int. Appl., 119 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C12Q001-00
 CC 1-1 (Pharmacology)
 Section cross-reference(s): 28, 63

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967417	A2	19991229	WO 1999-US14119	19990623 <--
WO 9967417	A3	20000928		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336160	AA	19991229	CA 1999-2336160	19990623 <--
AU 9948280	A1	20000110	AU 1999-48280	19990623 <--
EP 1088098	A2	20010404	EP 1999-931861	19990623 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518063	T2	20020625	JP 2000-556057	19990623 <--
PRAI US: 1998-90893P P: 19980623 <--				
WO 1999-US14119 W 19990623				
OS MARPAT 132:59135				
GI For diagram(s), see printed CA Issue.				
AB The invention provides an assay for detg. the biochem. fitness of a biochem. species in a mutant replicating biol. entity relative to its predecessor. The invention further provides a continuous fluorogenic assay for measuring the anti-HIV protease activity of protease inhibitor. The invention also provides a method of administering a therapeutic compd. that reduces the chances of the emergence of drug resistance in therapy. The invention also provides a compd. AXQN(R2)CH[(CH2)mR3]CH(R4)CH2N(R5)(WR 6) [A = Q1, Q2, Q3, Q4; R1, R2, R3, R5, R6 = H, (substituted and/or heteroatom-bearing) alkyl, alkenyl, alkynyl, or cyclic group; Y, Z = CH2, O, S, SO, SO2, amino, amides, carbamates, ureas, or thiocarbonyl derivs. thereof, optionally substituted with an alkyl, alkenyl, or alkynyl group; n = 1-5; X = bond, (substituted) methylene or ethylene, amino, O, S; Q = C(O), C(S), SO2; m = 0-6; R4 = OH, =O (keto), NH2, alkylamino, including esters, amides, and salts thereof; W = C(O), C(S), S(O), SO2; Optionally, R5 and R6, together with the NW bond comprise a macrocyclic ring], or a pharmaceutically acceptable salt, a prodrug, a compn., or an ester thereof.				
ST biochem fitness mutant drug resistance; HIV protease inhibitor drug resistance mutation				
IT Conformation (conformational change inhibition; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)				
IT Anti-infective agents Antibacterial agents				

Antimalarials
 Antitumor agents
 Antiviral agents
 Bacteria (Eubacteria)
 Drug resistance
 Drugs
 Enzyme kinetics
 Fluorometry
 Human immunodeficiency virus
 Human immunodeficiency virus 1
 Human immunodeficiency virus 2
 Michaelis constant
 Multidrug resistance
 Mutation
 Neoplasm
 Plasmodium (malarial genus)
 Resolution (separation)
 Retroviridae
 Virus

- (fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Enzymes, biological studies
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Microorganism
 (infectious; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Ligands
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (ligand binding inhibition; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Parasite
 (malarial; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Polymerization
 (oligomerization; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Drug delivery systems
 (prodrugs; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT Proteins, general, biological studies
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (viral; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT 128340-45-4 253274-32-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)
- IT 206362-00-7P 253265-95-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 206361-99-1 206362-01-8 253265-99-5
253266-00-1 253266-01-2 253266-02-3
253266-03-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 144114-21-6, Retropepsin 220247-45-0, Plasmepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 9001-62-1, Lipase
RL: CAT (Catalyst use); USES (Uses)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 49676-93-9P 109789-17-5P 116949-62-3P 116949-67-8P 140867-26-1P
156928-09-5P 156928-10-8P 159005-71-7P 162020-29-3P 162119-33-7P
180902-29-8P 206361-96-8P 253265-96-2P 253265-97-3P 253265-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

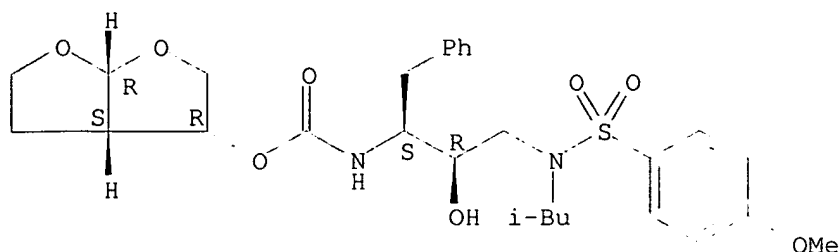
IT 78-81-9, Isobutylamine 98-68-0, 4-Methoxybenzenesulfonyl chloride
100-58-3, Phenyl magnesium bromide 107-19-7, Propargyl alcohol
516-12-1, N-Iodosuccinimide 930-22-3 4648-54-8, Azidotrimethylsilane
74124-79-1, Disuccinimidyl carbonate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 9014-24-8, RNA polymerase 9068-38-6, Reverse transcriptase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(viral; fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

IT 206362-00-7P 253265-95-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

RN 206362-00-7 HCAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

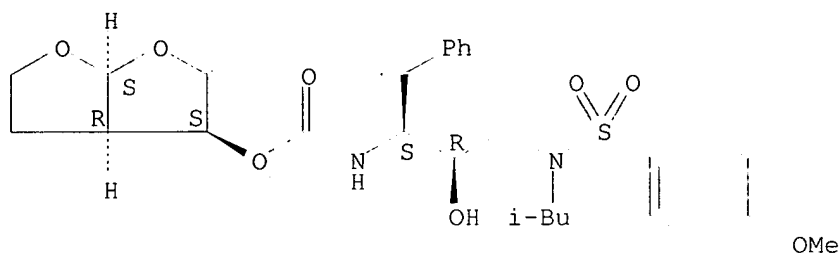
Absolute stereochemistry.



RN 253265-95-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 206361-99-1 206362-01-8 253265-99-5
253266-00-1 253266-01-2 253266-02-3
253266-03-4

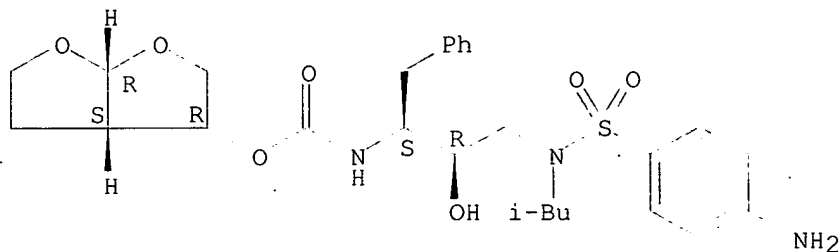
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fitness assay and assocd. methods, and applications to drug resistance and HIV protease inhibitors and other drugs with reduced resistance)

RN 206361-99-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

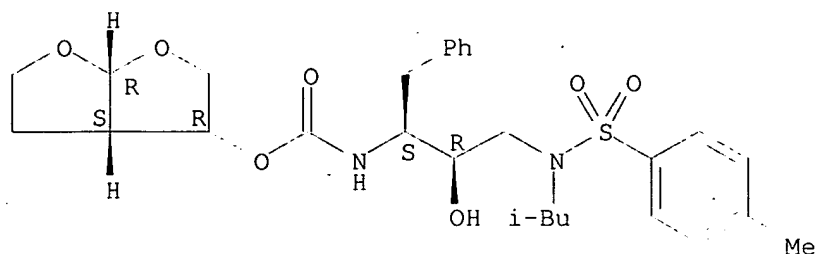
Absolute stereochemistry.



RN 206362-01-8 HCAPLUS

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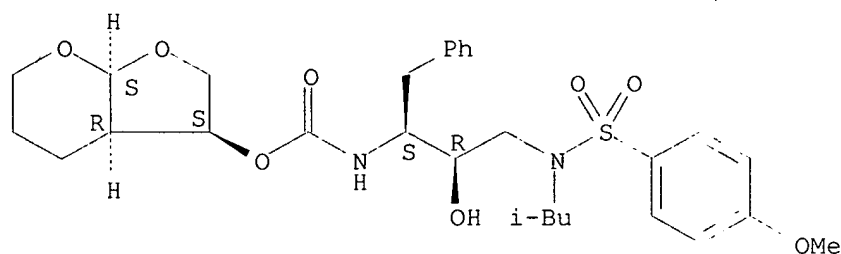
Absolute stereochemistry.



RN 253265-99-5 HCAPLUS

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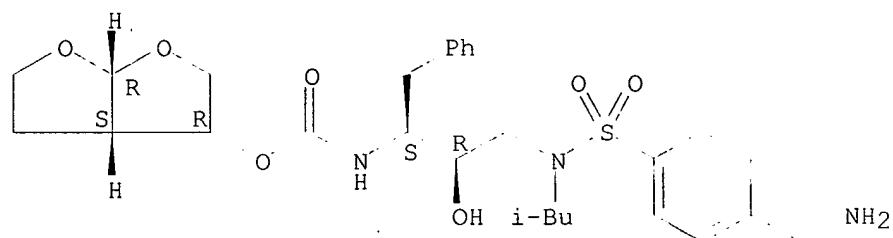
Absolute stereochemistry.



RN 253266-00-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

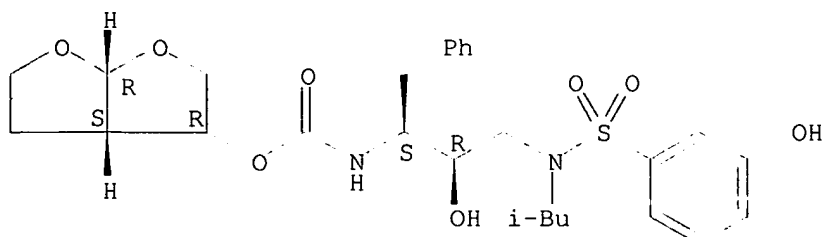
Absolute stereochemistry.



RN 253266-01-2 HCAPLUS

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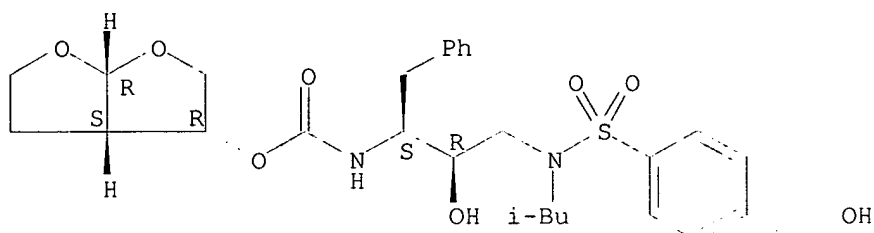
Absolute stereochemistry.



RN 253266-02-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(hydroxymethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

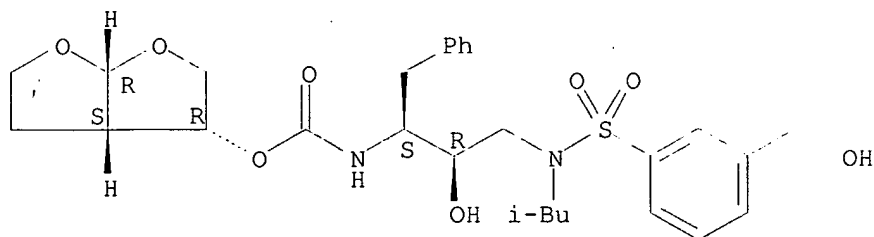
Absolute stereochemistry.



RN 253266-03-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(hydroxymethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L42 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:819380 HCAPLUS

DN 132:64254

TI Multidrug-resistant retroviral protease inhibitors and associated methods

IN Erickson, John W.; Gulnik, Sergei V.; Ghosh, Arun K.;

Hussain, Khaja A.

PA United States Dept. of Health and Human Services, USA; Board of Trustees of the University of Illinois

SO PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DT Patent

LA English

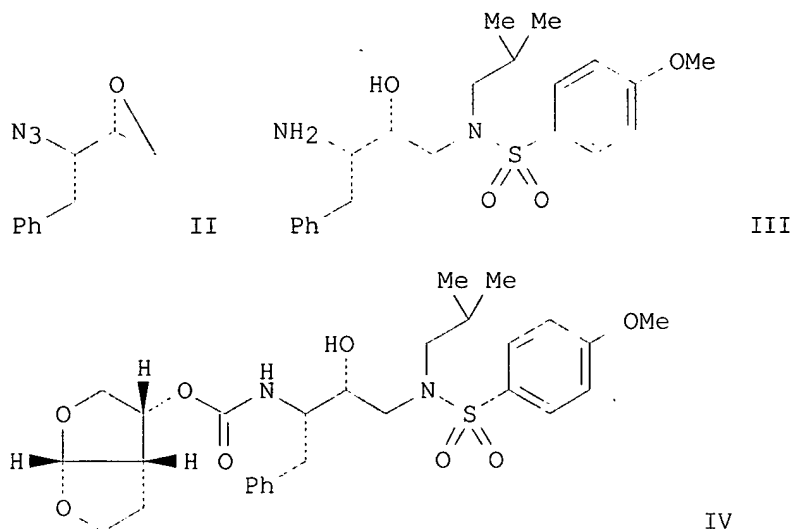
IC ICM C07D493-00

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967254	A2	19991229	WO 1999-US14120	19990623 <--
	WO 9967254	A3	20000210		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9948281	A1	20000110	AU 1999-48281	19990623 <--
PRAI	US 1998-90393P	P	19980623 <--		
	WO 1999-US14120	W	19990623		
OS	MARPAT 132:64254				
GI					



AB Nonpeptidic, retroviral protease-inhibiting compds. AZZ1NR2CH[(CH2)mR3]CHR4CH2NR5Z2R6 [I; A = heterocyclyl (structures specified); R2 = H, C1-6 alk(en)yl, C1-6 alkynyl; R3 = (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl; R4 = OH, O, NH2, NHMe; R5 = H, C1-6 alk(en)yl, etc.; R6 = (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl; R5R6 together with NZ2 bond can form a 12-18-membered ring contg. .gtoreq.1 addnl. heteroatom; Z = bond, CHR10, O, S, NR10, etc.; R10 = (un)substituted alk(en)yl or alkynyl; Z1, Z2 = C(O), S(O), SO2; m = 0-6] or their pharmaceutically acceptable salts, prodrugs, or esters, were prepd. Also provided are pharmaceutical compns. for, and therapeutic methods of treating a multidrug-resistant retroviral infection in a mammal. For example, azidoepoxybutane II (4-step prepn. from butadiene monooxide and PhMgBr given) was subjected to ring cleavage/amination with Me2CHCH2NH2, the amine amidated with p-MeOC6H4SO2Cl and the azide function of the resulting amide reduced by Pd-catalyzed hydrogenation to give aminosulfonamide III. Transamidation of the latter with (3R,3aS,6aR)-3-hydroxyhexahydrofuro[2,3-b]furyl

- succinimidyl carbonate (5-step prepn. from dihydrofuran and propargyl alc. given) gave a title inhibitor IV which showed nanomolar and sub-nanomolar potency against several multidrug-resistant HIV-1.
- ST retroviral protease inhibitor nonpeptidic ligand prepn; furfuranyl carbamate aminopropyl prepn HIV protease inhibitor
- IT Anti-AIDS agents
Antiviral agents
Human immunodeficiency virus 1
(prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT AIDS (disease)
(prepn. of multidrug-resistant retroviral protease inhibitors and methods for treatment of)
- IT Retroviridae
(protease-producing; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 9001-92-7, Protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(HIV retroviral; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 100-58-3, Phenylmagnesium bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction with butadiene monooxide; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 78-81-9, Isobutylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction with epoxybutane deriv.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 930-22-3, Butadiene monooxide
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. reaction with phenylmagnesium bromide; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 107-19-7, Propargyl alcohol
RL: RCT (Reactant); RACT (Reactant or reagent)
(addn. with dihydrofuran; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 98-68-0, 4-Methoxybenzenesulfonyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of isobutylamine deriv.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 1191-99-7, 2,3-Dihydrofuran
RL: RCT (Reactant); RACT (Reactant or reagent)
(iodination and addn. with propargyl alc.; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 159005-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation with furfuranyl succinimidyl carbonate; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 206361-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 180902-29-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization; prepn. of multidrug-resistant retroviral protease inhibitors and assocd. methods)
- IT 162119-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and enzymic resolu.; prepn. of multidrug-resistant retroviral

protease inhibitors and assocd. methods)

IT 49676-93-9P 116949-67-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and epoxidn.; prepn. of multidrug-resistant retroviral protease
inhibitors and assocd. methods)

IT 156928-09-5P 156928-10-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and esterification with active carbonate; prepn. of
multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 109789-17-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and oxidn. to ketone; prepn. of multidrug-resistant retroviral
protease inhibitors and assocd. methods)

IT 109789-18-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and redn. to alc.; prepn. of multidrug-resistant retroviral
protease inhibitors and assocd. methods)

IT 253265-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and redn. to amine; prepn. of multidrug-resistant retroviral
protease inhibitors and assocd. methods)

IT 136465-89-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and ring cleavage/addn. with isobutylamine; prepn. of
multidrug-resistant retroviral protease inhibitors and assocd. methods)

IT 162020-29-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and sapon.; prepn. of multidrug-resistant retroviral protease
inhibitors and assocd. methods)

IT 116949-62-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and substitution with azide; prepn. of multidrug-resistant
retroviral protease inhibitors and assocd. methods)

IT 253265-97-3P 253265-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and transamidation; prepn. of multidrug-resistant retroviral
protease inhibitors and assocd. methods)

IT 206362-00-7P 253265-95-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and
assocd. methods)

IT 206361-99-1 206362-01-8 253265-99-5
253266-00-1 253266-01-2 253266-02-3
253266-03-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and
assocd. methods)

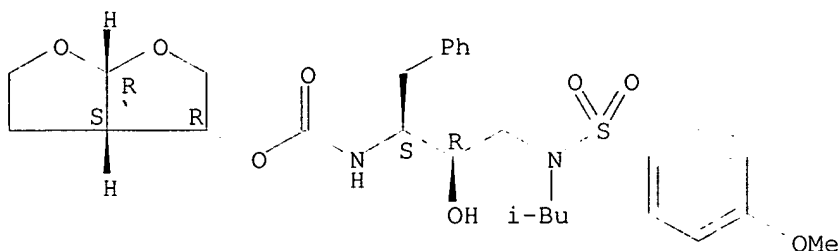
IT 206362-00-7P 253265-95-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of multidrug-resistant retroviral protease inhibitors and
assocd. methods)

RN 206362-00-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

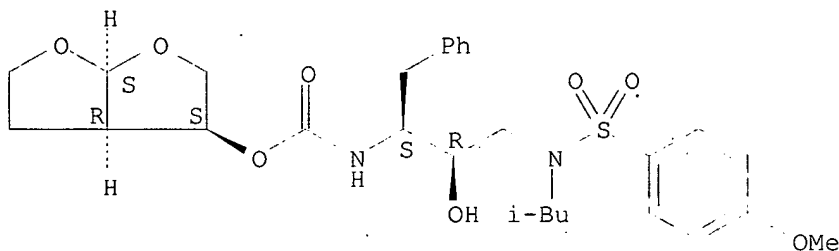
Absolute stereochemistry.



RN 253265-95-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 206361-99-1 206362-01-8 253265-99-5
253266-00-1 253266-01-2 253266-02-3
253266-03-4

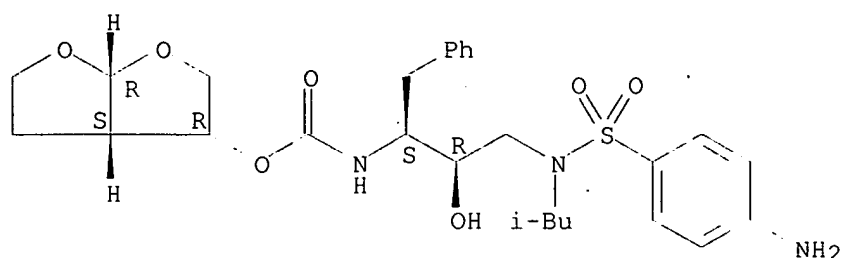
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of multidrug-resistant retroviral protease inhibitors and
assocd. methods)

RN 206361-99-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

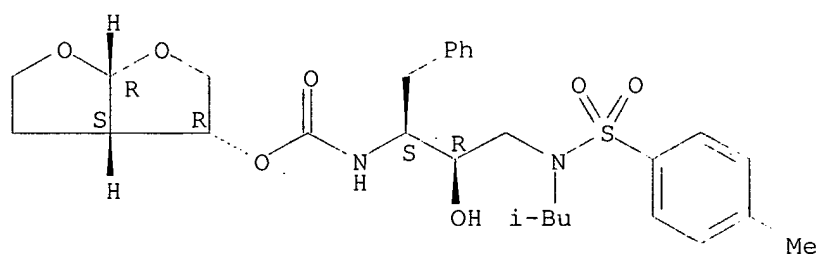
Absolute stereochemistry.



RN 206362-01-8 HCAPLUS

CN Carbamic acid, [(2S,3R)-2-hydroxy-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

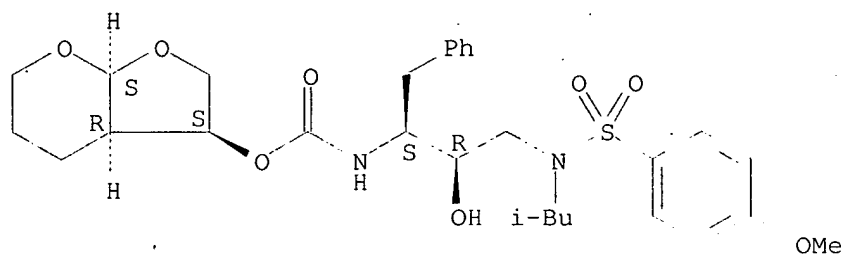
Absolute stereochemistry.



RN 253265-99-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S,3aR,7aS)-hexahydro-4H-furo[2,3-b]pyran-3-yl ester (9CI) (CA INDEX NAME)

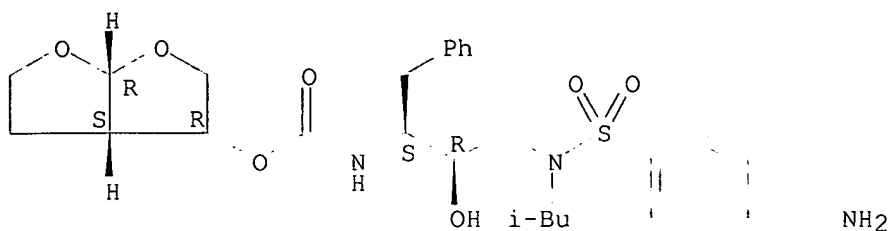
Absolute stereochemistry.



RN 253266-00-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminomethyl)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

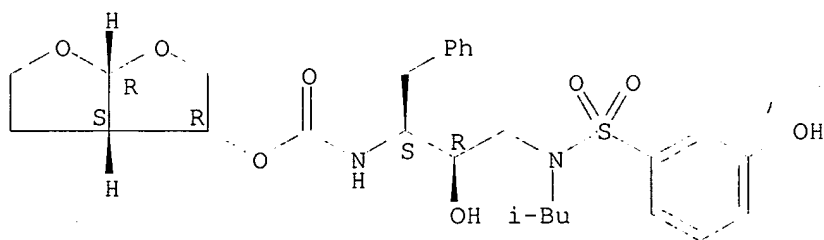
Absolute stereochemistry.



RN 253266-01-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

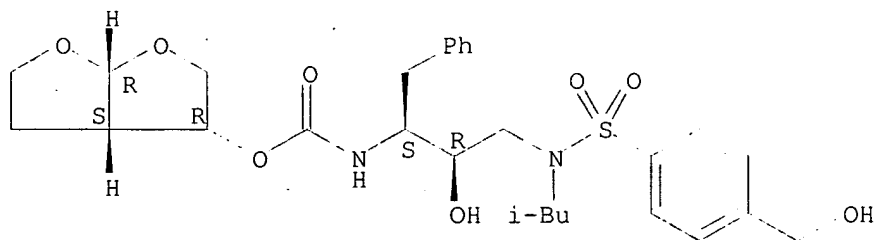
Absolute stereochemistry.



RN 253266-02-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(hydroxymethyl)phenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

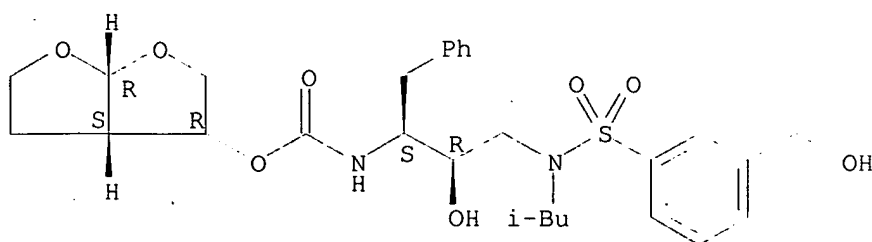
Absolute stereochemistry.



RN 253266-03-4 HCAPLUS

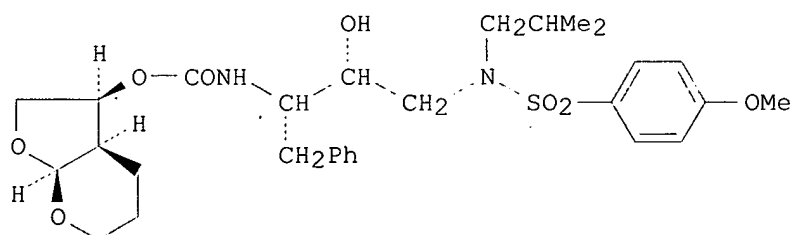
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(hydroxymethyl)phenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*March 1998
102(a) ✓*

L42 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1998:220237 HCAPLUS
 DN 129:16069
 TI Potent HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere
 AU Ghosh, Arun K.; Kincaid, John F.; Cho, Wonhwa; Walters, D. Eric; Krishnan, K.; Hussain, Khaja Azhar; Koo, Yumee; Cho, Hanna; Rudall, Clare; Holland, Louis; Buthod, Jim
 CS Department of Chemistry, Univ. of Illinois at Chicago, Chicago, IL, 60607, USA
 SO Bioorganic & Medicinal Chemistry Letters (1998), 8(6), 687-690
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 27
 GI



I

AB The prepn. of the title protease inhibitors, e.g., I, is described.
 ST HIV protease inhibitor P2 ligand hydroxyethylaminosulfonamide; sulfonamide hydroxyethylamino isostere prepn protease inhibitor
 IT Antiviral agents
 (HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
 IT 160231-01-6P 161814-49-9P 169280-50-6P 169280-51-7P 206361-97-9P
 206361-98-0P 206361-99-1P 206362-00-7P 206362-01-8P
 206362-02-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (HIV protease inhibitors incorporating high-affinity P2-ligands and (R)-[(hydroxyethyl)amino]sulfonamide isostere)
 IT 78-81-9, Isobutylamine 98-68-0, Benzenesulfonyl chloride, 4-methoxy-
 98-74-8, Benzenesulfonyl chloride, 4-nitro- 135680-78-3D, esters
 136465-89-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (HIV protease inhibitors incorporating high-affinity P2-ligands and

(R)-[(hydroxyethyl)amino]sulfonamide isostere)
 IT 159005-71-7P 169280-56-2P 206361-96-8P 206362-03-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (HIV protease inhibitors incorporating high-affinity P2-ligands and
 (R)-[(hydroxyethyl)amino]sulfonamide isostere)
 IT 144114-21-6, Retropepsin
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
 (Biological study); PROC (Process)
 (of HIV; inhibitors incorporating high-affinity P2-ligands and
 (R)-[(hydroxyethyl)amino]sulfonamide isostere)
 RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Condra, J; Nature 1995, V374, P569 HCAPLUS
- (2) Craig, J; Antiviral Res 1991, V16, P295 HCAPLUS
- (3) Ghosh, A; Bioorg Med Chem Lett 1995, V5, P83 HCAPLUS
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- (17) Roberts, N; Science 1990, V248, P358 HCAPLUS
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- (19) Toth, M; Int J Pep Prot Res 1990, V36, P544 HCAPLUS
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- (21) Vazquez, M; J Med Chem 1995, V38, P581 HCAPLUS

IT 206362-00-7P

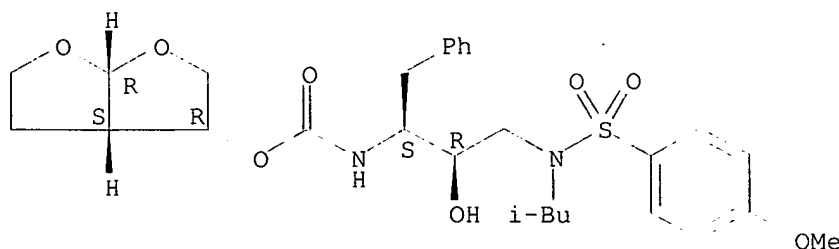
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(HIV protease inhibitors incorporating high-affinity P2-ligands and
 (R)-[(hydroxyethyl)amino]sulfonamide isostere)

RN 206362-00-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

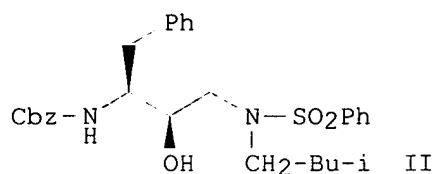
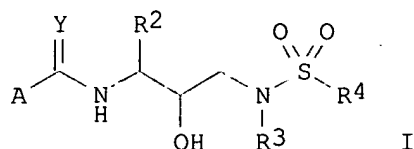


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L43 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:304314 HCAPLUS
 DN 132:322147
 TI Preparation of .alpha.- and .beta.-amino acid hydroxyethylamino
 sulfonamides as retro viral protease inhibitors.
 IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel
 P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw,
 Deborah E.
 PA G.D.Searle and Co., USA
 SO U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814.
 CODEN: USXXAM
 DT Patent
 LA English
 IC A61K315-05; C07D239-02; C07D211-78; C07D277-30
 NCL 514256000
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 15, 63
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6060476	A	20000509	US 1994-204827	19940302 <--
	WO 9404492	A1	19940303	WO 1993-US7814	19930824 <--
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 810209	A2	19971203	EP 1997-113434	19930824 <--
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	EP 810209	B1	20020605		
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	US 5968942	A	19991019	US 1994-294468	19940823 <--
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	US 6500832	B1	20021231	US 2000-525161	20000314 <--
	US 2002052399	A1	20020502	US 2001-798255	20010305 <--
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OS	MARPAT 132:322147				
GI					



AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepd. as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compd. II (Cbz = benzyloxycarbonyl) was prepd. and assayed for HIV inhibitory activity (IC₅₀ = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC₅₀, EC₅₀ and TD₅₀ values at the nanomolar level are tabulated).

ST amino acid hydroxyethylamino sulfonamide prepn retroviral protease inhibitor; HIV protease inhibitor peptide hydroxyethylamino sulfonamide prepn

IT Anti-AIDS agents

Human immunodeficiency virus 1

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT Amino acids, preparation

Peptides, preparation

Sulfonamides

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT	157445-94-8P	157566-75-1P	157566-99-9P	159005-84-2P	159005-85-3P
	159005-86-4P	159005-97-7P	159005-98-8P	159005-99-9P	159006-00-5P
	159006-01-6P	159006-50-5P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT	157445-95-9P	157567-10-7P	159005-79-5P	159005-81-9P	159005-92-2P
	159005-96-6P	159006-08-3P	159006-10-7P	169280-52-8P	169280-77-7P
	169280-78-8P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT	157567-06-1P	159005-62-6P	159005-67-1P	159005-68-2P	159005-69-3P
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169281-17-8P	187326-86-9P	216870-86-9P	216870-92-7P	216870-98-3P
216871-08-8P	216871-14-6P	216871-19-1P	216871-24-8P	
216871-29-3P	216871-34-0P	216871-40-8P	216871-46-4P	216871-50-0P
216871-56-6P	216871-60-2P	216871-65-7P	216871-68-0P	216871-72-6P
216871-77-1P	216871-82-8P	216871-92-0P	216871-97-5P	216872-02-5P
216872-08-1P	216872-13-8P	216872-20-7P	216872-28-5P	216872-34-3P
216873-45-9P	216873-87-9P	216873-93-7P	216874-64-5P	247047-44-5P
247047-60-5P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-55-0, 3-Pyridylcarbinol 632-46-2, 2,6-Dimethylbenzoic acid 2170-03-8, Itaconic anhydride 2304-96-3 3182-95-4, L-Phenylalaninol 3391-99-9 3392-08-3 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 30925-18-9 62965-10-0 79107-75-8 136465-99-1 138499-08-8 143224-62-8 157566-95-5 159006-12-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

IT 1975-51-5P 7338-27-4P, Methyl itaconate 39658-41-8P, Ethyl-6-aminonicotinate 60427-77-2P 83509-04-0P 84575-50-8P 111060-52-7P 111060-64-1P 127927-43-9P 127943-39-9P 128018-43-9P 128018-44-0P 130165-86-5P 132605-93-7P 132605-97-1P 132605-98-2P 132696-45-8P 143224-86-6P 143225-04-1P 157446-10-1P 157566-90-0P 157566-91-1P 157567-11-8P 157567-12-9P 157567-13-0P 159005-71-7P 159005-90-0P 159006-04-9P 159006-05-0P 159006-11-8P 159006-13-0P 159006-14-1P 159006-15-2P 159006-16-3P 159006-17-4P 159006-18-5P 159006-20-9P 159006-22-1P 169280-50-6P 169280-82-4P 169280-83-5P 169280-84-6P 169280-86-8P 169280-87-9P 169280-88-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anon; WO 9405639 1994 HCAPLUS
- (2) Tung; US 5585397 1996 HCAPLUS

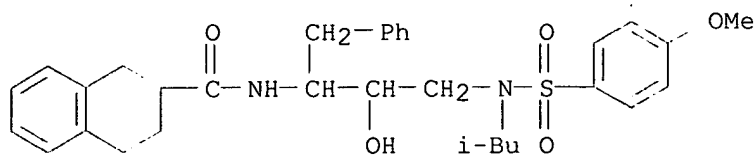
IT 159006-27-6P 216871-08-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

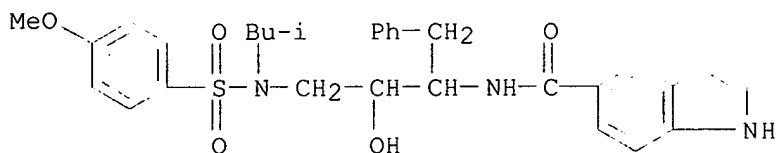
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[[4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 216871-08-8 HCAPLUS

CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:220728 HCAPLUS

DN 132:265504

TI Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.

IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.

PA Searle and Co., USA

SO U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC A61K031-42; C07D265-34; C07D277-60; C07D295-02

NCL 514231200

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6046190	A	20000404	US 1996-586866	19960124	<--
	WO 9404492	A1	19940303	WO 1993-US7814	19930824	<--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	EP 810209	A2	19971203	EP 1997-113434	19930824	<--
	EP 810209	A3	19981202			
	EP 810209	B1	20020605			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
	WO 9506030	A1	19950302	WO 1994-US9139	19940823	<--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN					
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
PRAI	US 1992-934984	B2	19920825			<--
	WO 1993-US7814	A2	19930824			<--
	US 1994-204872	B2	19940302			<--
	WO 1994-US9139	W	19940823			<--

EP 1993-923714 A3 19930824 <--
 US 1993-110911 A 19930824 <--
 US 1994-204827 A 19940302 <--

OS MARPAT 132:265504

AB Hydroxyethylamino sulfonamide compds. R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)satd. heterocycle, (un)substituted arom. heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepd. as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepd. by (1) prepg. an N-protected amino epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

ST amino acid hydroxyethylamino sulfonamide prepn retroviral protease inhibitor; HIV protease inhibitor hydroxyethylamino sulfonamide prepn; peptide hydroxyethylamino sulfonamide prepn retroviral protease inhibitor

IT Anti-AIDS agents

Antiviral agents

Human immunodeficiency virus 1

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT Amino acids, preparation

Peptides, preparation

Sulfonamides

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT	157566-99-9P	159005-79-5P	159005-80-8P	159005-81-9P	159005-82-0P
	159005-83-1P	159005-84-2P	159005-85-3P	159005-86-4P	159005-87-5P
	159005-88-6P	159006-02-7P	159006-03-8P	169280-50-6P	169280-52-8P
	169280-61-9P	169280-77-7P	169280-78-8P	169280-93-7P	216872-44-5P
	216872-49-0P	216872-54-7P	216872-59-2P	216872-65-0P	216872-71-8P
	216872-76-3P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT	157445-95-9P	157566-88-6P	157566-90-0P	157566-95-5P	157566-97-7P
	157567-04-9P	157567-06-1P	157567-10-7P	159005-59-1P	159005-60-4P
	159005-61-5P	159005-62-6P	159005-63-7P	159005-64-8P	159005-65-9P
	159005-66-0P	159005-67-1P	159005-68-2P	159005-69-3P	159005-70-6P
	159005-74-0P	159005-75-1P	159005-76-2P	159005-77-3P	159005-78-4P
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159005-95-5P	159006-07-2P	159006-08-3P	159006-10-7P	159006-21-0P
159006-23-2P	159006-25-4P	159006-27-6P	159006-30-1P	
159006-42-5P	160231-01-6P	160231-57-2P	160231-77-6P	169280-38-0P
169280-39-1P	169280-40-4P	169280-41-5P	169280-42-6P	169280-43-7P
169280-44-8P	169280-45-9P	169280-46-0P	169280-47-1P	
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169281-12-3P	169281-13-4P	169281-14-5P	169281-15-6P	169281-16-7P
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216870-98-3P	216871-08-8P	216871-14-6P	216871-19-1P	
216871-24-8P	216871-29-3P	216871-34-0P	216871-40-8P	216871-46-4P
216871-50-0P	216871-56-6P	216871-60-2P	216871-65-7P	216871-68-0P
216871-72-6P	216871-77-1P	216871-82-8P	216871-87-3P	216871-92-0P
216871-97-5P	216872-02-5P	216872-08-1P	216872-13-8P	216872-20-7P
216872-28-5P	216872-34-3P	216873-45-9P	216873-87-9P	216873-93-7P
216874-14-5P	216874-64-5P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 9001-92-7, Protease 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 78-81-9, Isobutylamine 87-62-7, 2,6-Dimethylaniline 95-48-7, 2-Methylphenol, reactions 95-49-8 98-09-9, Phenylsulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzene sulfonyl chloride 100-55-0, 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 121-51-7, 3-Nitrobenzene sulfonyl chloride 496-16-2, 2,3-Dihydrobenzofuran 541-88-8, Chloroacetic anhydride 576-26-1, 2,6-Dimethylphenol 619-45-4, Methyl 4-aminobenzoate 632-46-2, 2,6-Dimethylbenzoic acid 930-68-7, 1,3-Cyclohexenone 2170-03-8, Itaconic anhydride 3377-31-9 4412-91-3, 3-(Hydroxymethyl)-furan 5006-66-6 5326-38-5 10147-36-1, Propylsulfonyl chloride 22118-09-8, Bromoacetyl chloride 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 32980-25-9 39178-35-3 52130-17-3, 3-Amino-2-methylbenzoic acid 60427-77-2 79107-75-8 130165-86-5 132605-93-7 132605-97-1 132696-45-8 136465-99-1 138499-08-8 143224-62-8 143224-86-6 159006-48-1 173336-62-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 93-85-6P 578-39-2P 603-80-5P 1878-49-5P 1975-51-5P 6633-61-0P 13335-71-2P 14527-44-7P 38585-74-9P, 5-Thiazolemethanol 39658-41-8P 50850-93-6P 54781-19-0P 84575-50-8P 111060-52-7P 111060-64-1P 115010-10-1P, 1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P 127927-43-9P 127943-39-9P 128018-43-9P 128018-44-0P 143225-04-1P 157445-94-8P 157446-10-1P 157566-75-1P 157566-91-1P 157567-13-0P 159005-71-7P 159005-90-0P 159005-96-6P 159005-97-7P 159006-04-9P 159006-05-0P 159006-06-1P 159006-09-4P 159006-11-8P 159006-12-9P 159006-13-0P 159006-14-1P 159006-15-2P 159006-16-3P 159006-17-4P 159006-18-5P 159006-19-6P 159006-20-9P 169280-56-2P 169280-63-1P 169280-67-5P 169280-71-1P 169280-81-3P 169280-82-4P 169280-83-5P 169280-84-6P 169280-86-8P 169280-87-9P 169280-89-1P 169280-90-4P 169280-91-5P 169280-92-6P 181124-54-9P 216879-45-7P 247047-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anon; EP 104041 1980 HCAPLUS
- (2) Anon; EP 172347 1980 HCAPLUS
- (3) Anon; EP 223437 1980 HCAPLUS
- (4) Anon; WO 8403044 1984 HCAPLUS
- (5) Anon; GB 2184730 1987 HCAPLUS
- (6) Anon; AU -7982387 1988
- (7) Anon; EP 0264795 1988 HCAPLUS
- (8) Anon; GB 2200115 1988 HCAPLUS
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- (12) Anon; EP 114993 1990 HCAPLUS
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- (14) Anon; EP 356223 1990 HCAPLUS
- (15) Anon; EP 389898 A2 1990 HCAPLUS
- (16) Anon; EP 393445 1990 HCAPLUS
- (17) Anon; EP 393457 1990 HCAPLUS
- (18) Anon; EP 402646 1990 HCAPLUS
- (19) Anon; EP 468641 1992 HCAPLUS
- (20) Anon; WO 9208699 1992 HCAPLUS
- (21) Anon; WO 9404492 1994 HCAPLUS
- (22) Anon; WO 9405639 1994 HCAPLUS
- (23) Boger; US 4477441 1984 HCAPLUS
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- (39) Pearl; Nature 1987, V328 HCAPLUS
- (40) Rich; Peptide Inhibitors of Proteases 1980, P511
- (41) Roberts; Science 1990, V248, P358 HCAPLUS
- (42) Rosenberg; US 4977277 1990 HCAPLUS
- (43) Rosenberg; J Med Chem 1987, V30, P1224 HCAPLUS
- (44) Ryono; US 4616088 1986 HCAPLUS
- (45) Tung; US 5585397 1996 HCAPLUS ✓

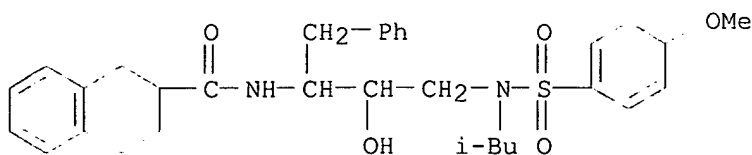
IT 159006-27-6P 169280-44-8P 216871-08-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 159006-27-6 HCAPLUS

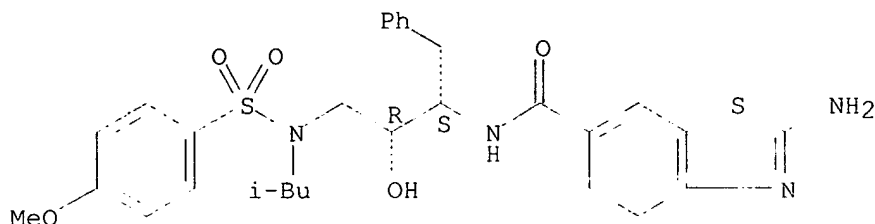
CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-(9CI) (CA INDEX NAME)



RN 169280-44-8 HCAPLUS

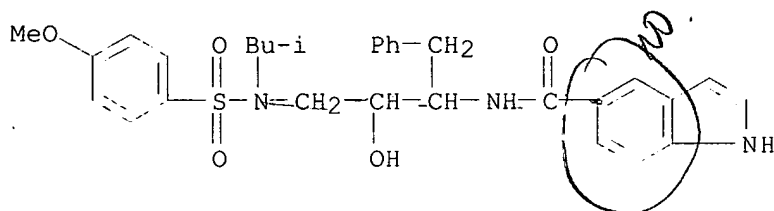
CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[[4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 216871-08-8 HCAPLUS

CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[[4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:811207 HCAPLUS

DN 132:49801

TI Preparation of 1-acetylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compounds as inhibitors of HIV aspartyl protease.

IN Sherrill, Ronald George; Hale, Michael R.; Spaltenstein, Andrew; Furfine, Eric Steven; Andrews, Clarence Webster, III; Lowen, Gregory Thomas

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 344 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C303-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27, 28, 34

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9955870	A2	19991223	WO 1999-US13744	19990617 <--
	WO 9955870	A3	20010315		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,

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MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2335477 AA 19991223 CA 1999-2335477 19990617 <--
AU 9945760 A1 20000105 AU 1999-45760 19990617 <--
EP 1086076 A1 20010328 EP 1999-928769 19990617 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI
BR 9912169 A 20010410 BR 1999-12169 19990617 <--
US 2002049201 A1 20020425 US 2000-731129 20001206 <--
US 6613743 B2 20030902
NO 2000006405 A 20010219 NO 2000-6405 20001215 <--
PRAI US 1998-90094P P 19980619 <--
WO 1999-US13744 W 19990617
OS MARPAT 132:49801
AB ABxN(Gx)CHDCHOR7CH2ND'SO2E [A = H, (substituted) Ht, R1Ht, R1Ak; Ak =
alkyl; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, heterocyclyl; R1
= CO, SO2, COCO, O2C, NR2CO, NR2SO2, etc.; B = null, NR2C(R3)2CO; x = 0,
1; R2 = H, (substituted) Ht, alkyl; R3 = H, (substituted) Ht, alkyl,
alkenyl, cycloalkyl, cycloalkenyl; G = null; H, R7, alkyl; G may be bound
to R7; D = (substituted) Q, alkyl, alkenyl; Q = (substituted) carbocyclyl,
heterocyclyl; D' = OR10, N:R10, N(R10)R1R3; E = Ht, Oht, OR3, NR2R3,
(substituted) alkyl, alkenyl, etc.; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x, etc.; M
= null, H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O, S; Y = P,
S; Z = O, S, N(R2)2, H], were prepd. as inhibitors of HIV aspartyl
protease (no data). Thus, 3-H2NC6H4SO2NHOCHMe2 (prepn. given), tert-Bu
N-(1S)-1-[(2S)-oxiran-2-yl]-2-phenylethylcarbamate, and phosphazene base
P4 tert-Bu were stirred in 8 h in THF to give 95% tert-Bu
N-(1S,2R)-3-[[(3-aminophenyl)sulfonyl] (isopropoxy) amino]-1-benzyl-2-
hydroxypropylcarbamate.
ST acylaminoarylsulfonylalkoxyaminohydroxypropane prepn HIV aspartyl protease
inhibitor; virucide acylaminoarylsulfonylalkoxyaminohydroxypropane prepn;
AIDS treatment acylaminoarylsulfonylalkoxyaminohydroxypropane
IT Anti-AIDS agents
Antiviral agents
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-
hydroxypropanes and related compds. as inhibitors of HIV aspartyl
protease)
IT Sulfonamides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-
hydroxypropanes and related compds. as inhibitors of HIV aspartyl
protease)
IT 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC
(Miscellaneous); BIOL (Biological study); PROC (Process)
(HIV aspartyl protease inhibitors; prepn. of 1-acylamino-3-(N-
arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as
inhibitors of HIV aspartyl protease)
IT 252870-67-0P 252870-78-3P 252871-07-1P 252871-23-1P
252871-26-4P 252872-06-3P 252872-08-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-
hydroxypropanes and related compds. as inhibitors of HIV aspartyl
protease)
IT 252870-70-5P 252870-72-7P 252870-73-8P 252870-75-0P 252870-77-2P

252870-80-7P 252870-82-9P 252870-84-1P 252870-86-3P 252870-88-5P
 252870-90-9P 252870-92-1P 252870-94-3P 252870-96-5P 252870-98-7P
 252870-99-8P 252871-01-5P 252871-04-8P 252871-05-9P 252871-09-3P
 252871-11-7P 252871-12-8P 252871-13-9P 252871-14-0P 252871-15-1P
 252871-16-2P 252871-17-3P 252871-18-4P
 252871-20-8P 252871-21-9P 252871-22-0P
 252871-24-2P 252871-25-3P 252871-27-5P
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 252879-48-4P 252879-49-5P 252879-50-8P
 252879-51-9P 252879-52-0P 252879-53-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 75-26-3, 2-Bromopropane 75-36-5, Acetyl chloride 78-76-2,
 2-Bromobutane 78-84-2, Isobutyraldehyde 79-22-1, Methyl chloroformate
 79-44-7, Dimethylcarbamyl chloride 91-16-7, 1,2-Dimethoxybenzene
 96-32-2, Methyl bromoacetate 98-09-9, Benzenesulfonyl chloride
 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzenesulfonyl chloride 100-55-0, 3-Hydroxymethylpyridine
 100-72-1, 2-Hydroxymethyltetrahydropyran 108-23-6, Isopropyl chloroformate 108-85-0, Cyclohexyl bromide 108-93-0, Cyclohexanol, reactions 120-92-3, Cyclopentanone 121-51-7, 3-Nitrobenzenesulfonyl chloride 122-51-0, Triethyl orthoformate 124-63-0, Methanesulfonyl

chloride 136-95-8, 2-Aminobenzothiazole 137-43-9, Cyclopentyl bromide 453-20-3, Tetrahydrofuran-3-ol 501-53-1, Benzyl chloroformate 590-17-0, Bromoacetonitrile 591-19-5, 3-Bromoaniline 592-51-8, 4-Pentenitrile 598-21-0, Bromoacetyl bromide 603-80-5, 3-Hydroxy-2-methylbenzoic acid 622-40-2, 4-(2-Hydroxyethyl)morpholine 624-83-9, Methyl isocyanate 625-36-5, 3-Chloropropionyl chloride 683-57-8, Bromoacetamide 933-88-0, o-Toluoyl chloride 934-32-7, 2-Aminobenzimidazole 2081-44-9, Tetrahydropyran-4-ol 2550-36-9, Cyclohexylmethyl bromide 2687-43-6, O-Benzylhydroxylamine hydrochloride 2949-22-6, Ethyl isocyanatoacetate 5042-33-1 5292-43-3, tert-Butyl bromoacetate 5468-77-9, N,N-Dimethylbromoacetamide 6084-58-8, Isobutoxyamine hydrochloride 6092-80-4, O-Phenylhydroxylamine hydrochloride 6793-92-6, 4-Benzyloxybromobenzene 15159-40-7, 4-Morpholinecarbonyl chloride 32315-10-9, Triphosgene 37517-81-0, Methyl malonyl chloride 38806-26-7, N-Ethyl-N-methylacetamide 39614-62-5, 3,4,5-Trimethoxybenzenesulfonyl chloride 39684-28-1, O-tert-Butylhydroxylamine hydrochloride 40299-87-4, N-(Bromoacetyl)morpholine 51951-27-0 53087-13-1, 3-Benzyloxybromobenzene 53439-87-5 56542-67-7 63758-12-3 70938-45-3, 1H-Benzotriazole-5-sulfonyl chloride 76029-50-0 79213-74-4 86864-60-0, 2-Bromoethoxy(tert-butyl)dimethylsilane 98737-29-2 115010-10-1, 1,3-Benzodioxole-5-sulfonyl chloride 118776-53-7, N-Methoxybromoacetamide 128018-44-0 138499-08-8 142232-06-2 143224-95-7 161852-65-9 184155-38-2 192725-55-6 252873-33-9 252873-34-0 252873-35-1 252873-37-3 252873-38-4 252873-39-5 252873-40-8 252873-41-9 252873-45-3 **252873-47-5** 252873-48-6 252873-49-7 252873-50-0 252873-51-1 252873-52-2 252873-56-6 252873-77-1 **252879-55-3**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT	3515-93-3P	21431-21-0P	23095-31-0P	25216-74-4P	51229-88-0P
	51951-29-2P	54224-24-7P	57598-34-2P	69746-62-9P	84202-56-2P
	87001-32-9P	113211-23-7P	132291-96-4P	134833-83-3P	162711-45-7P
	169956-61-0P	169956-75-6P	169956-80-3P	252872-59-6P	252872-60-9P
	252872-61-0P	252872-62-1P	252872-63-2P	252872-64-3P	252872-66-5P
	252872-67-6P	252872-68-7P	252872-69-8P	252872-70-1P	252872-71-2P
	252872-72-3P	252872-74-5P	252872-75-6P	252872-76-7P	252872-77-8P
	252872-78-9P	252872-79-0P	252872-80-3P	252872-81-4P	252872-82-5P
	252872-84-7P	252872-85-8P	252872-86-9P	252872-87-0P	
	252872-88-1P	252872-89-2P	252872-90-5P	252872-91-6P	252872-92-7P
	252872-93-8P	252872-94-9P	252872-95-0P	252872-96-1P	
	252872-97-2P	252872-98-3P	252872-99-4P	252873-00-0P	252873-01-1P
	252873-02-2P	252873-03-3P	252873-04-4P	252873-05-5P	252873-07-7P
	252873-08-8P	252873-09-9P	252873-10-2P		
	252873-11-3P	252873-12-4P	252873-13-5P	252873-14-6P	
	252873-15-7P	252873-16-8P	252873-17-9P		
	252873-19-1P	252873-20-4P	252873-22-6P	252873-23-7P	252873-24-8P
	252873-25-9P	252873-26-0P	252873-27-1P	252873-28-2P	
	252873-29-3P	252873-30-6P	252873-31-7P		
	252873-32-8P	252873-42-0P	252873-46-4P	252873-55-5P	
	252873-78-2P	252879-54-2P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT **252871-23-1P** **252871-26-4P** **252872-06-3P**

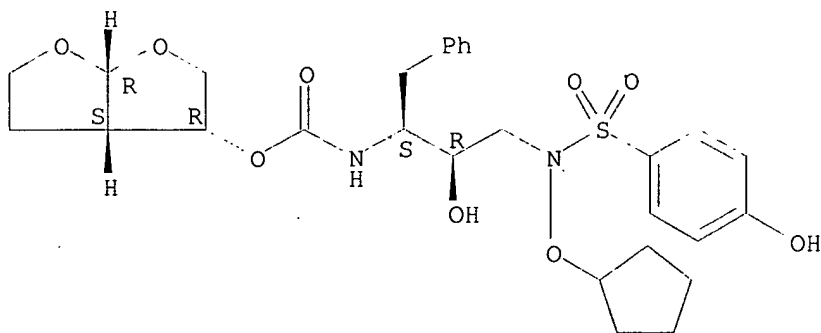
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-23-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

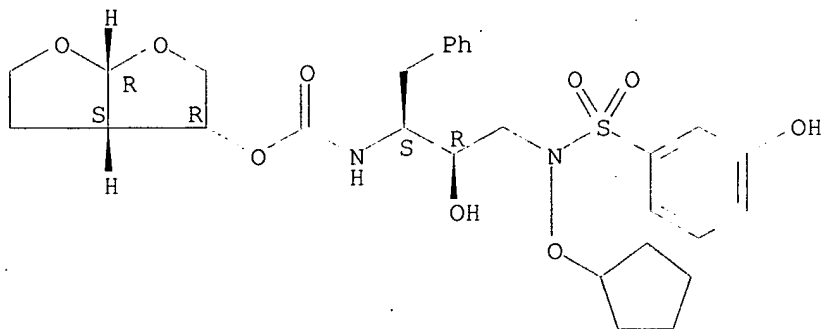
Absolute stereochemistry.



RN 252871-26-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

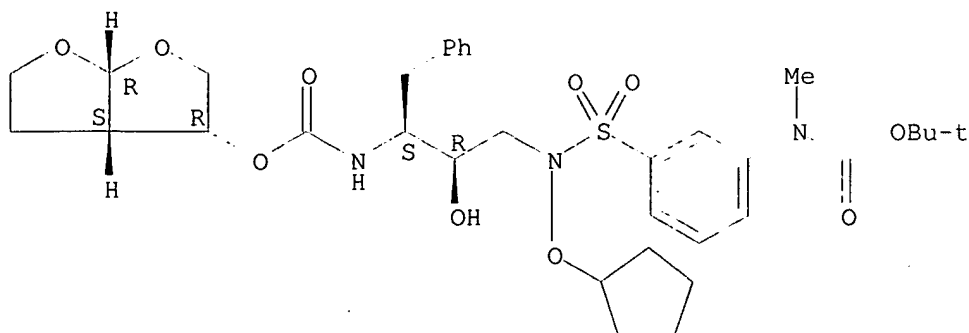
Absolute stereochemistry.



RN 252872-06-3 HCAPLUS

CN Carbamic acid, [3-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



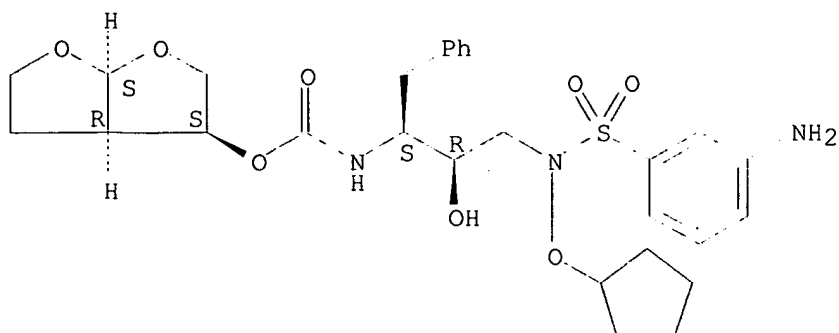
IT 252871-16-2P 252871-17-3P 252871-18-4P
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 252871-81-1P 252871-83-3P 252871-84-4P
 252871-89-9P 252871-97-9P 252871-98-0P
 252871-99-1P 252872-02-9P 252872-04-1P
 252872-05-2P 252872-12-1P 252872-13-2P
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 252879-49-5P 252879-50-8P 252879-51-9P
 252879-52-0P 252879-53-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-16-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

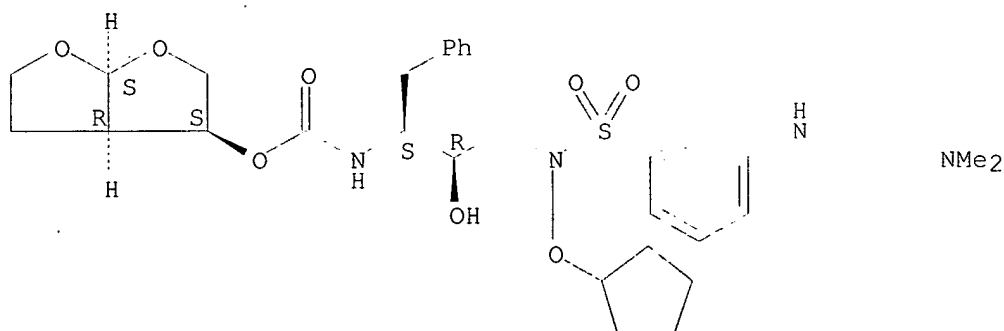
Absolute stereochemistry.



RN 252871-17-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(dimethylamino)ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

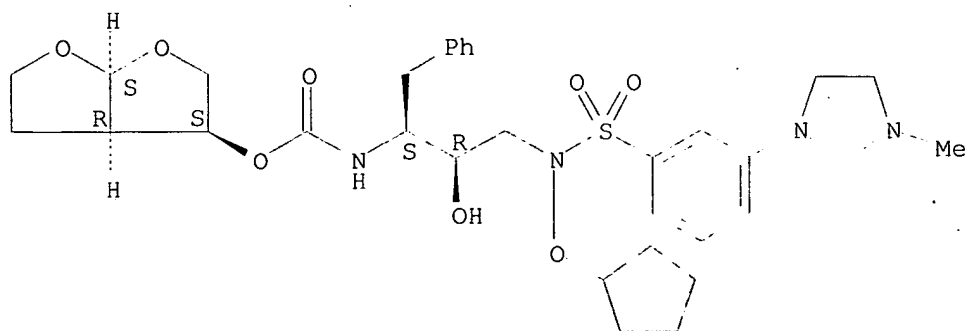
Absolute stereochemistry.



RN 252871-18-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(3-methyl-1-imidazolidinyl)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

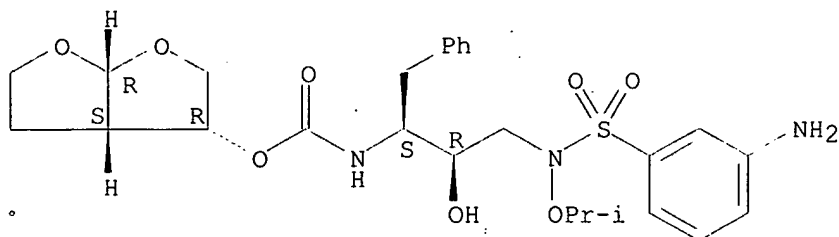
Absolute stereochemistry.



RN 252871-20-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-(aminophenyl)sulfonyl](1-methylethoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

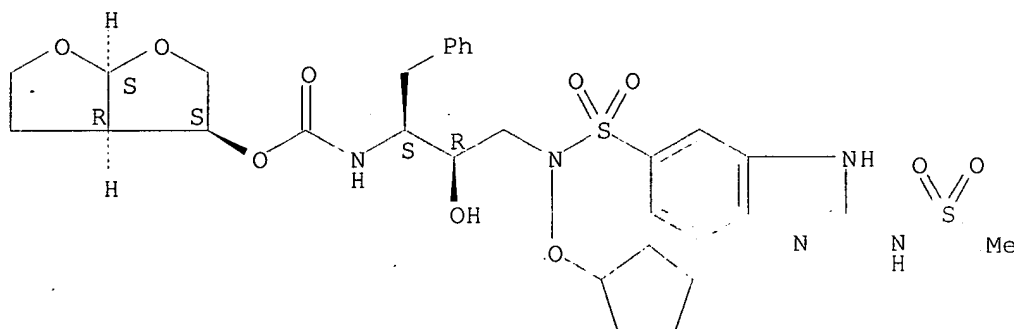
Absolute stereochemistry.



RN 252871-21-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[2-[(methylsulfonyl)amino]-1H-benzimidazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

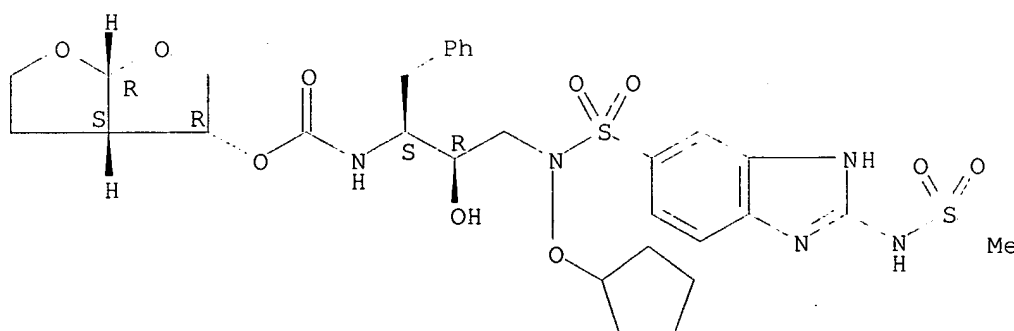
Absolute stereochemistry.



RN 252871-22-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[2-[(methylsulfonyl)amino]-1H-benzimidazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

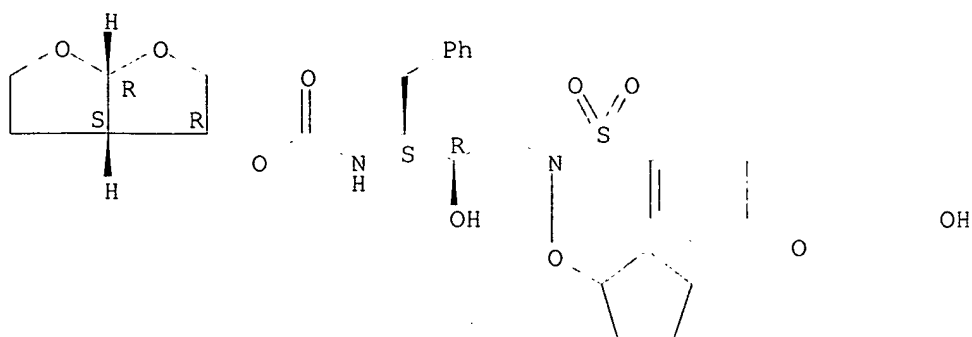
Absolute stereochemistry.



RN 252871-24-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[2-[(methylsulfonyl)amino]-1H-benzimidazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

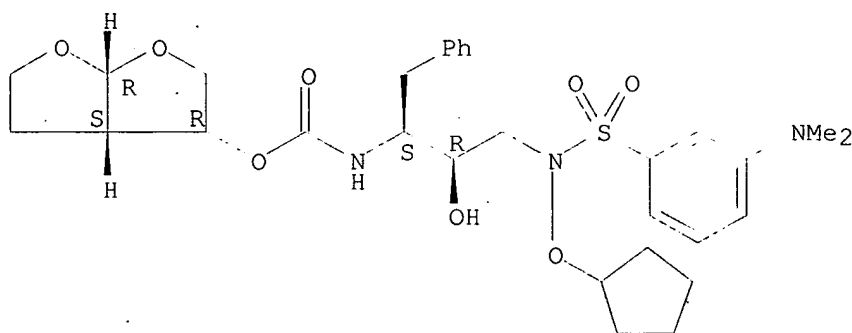
Absolute stereochemistry.



RN 252871-25-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(dimethylamino)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

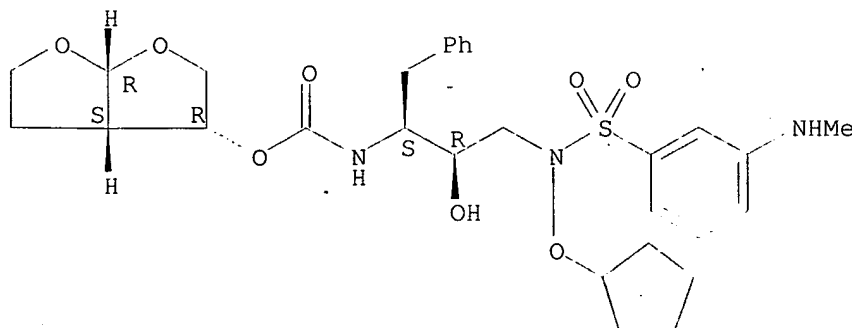
Absolute stereochemistry.



RN 252871-27-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(methylamino)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

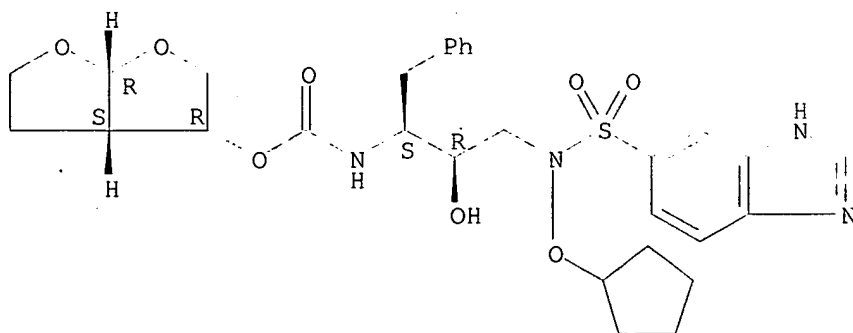
Absolute stereochemistry.



RN 252871-29-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1H-benzimidazol-5-ylsulfonyl)(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

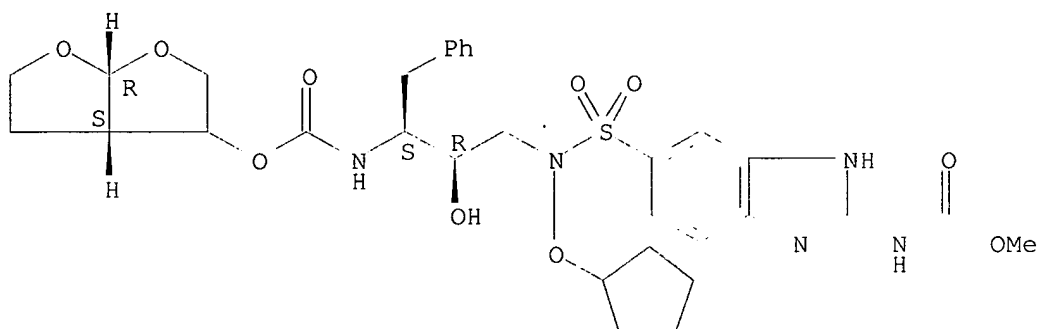
Absolute stereochemistry.



RN 252871-38-8 HCAPLUS

CN Carbamic acid, [5-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI)
(CA INDEX NAME)

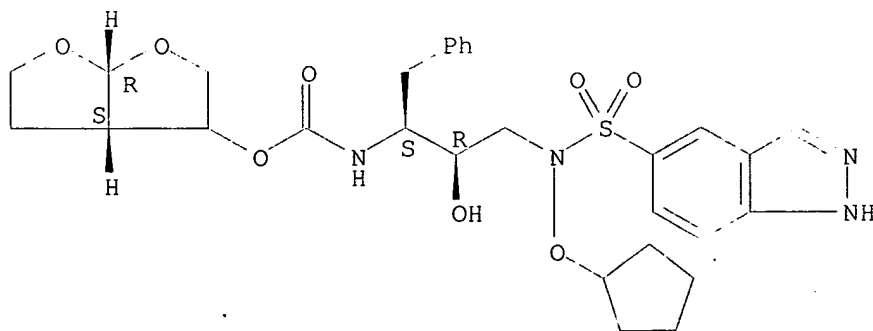
Absolute stereochemistry.



RN 252871-41-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)(1H-indazol-5-ylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

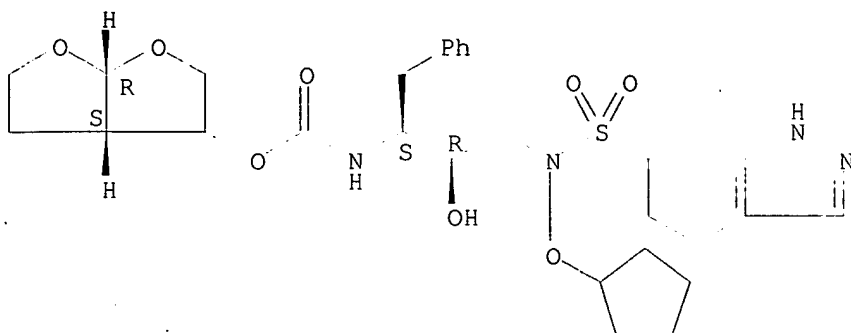
Absolute stereochemistry.



RN 252871-43-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)(1H-indazol-6-ylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

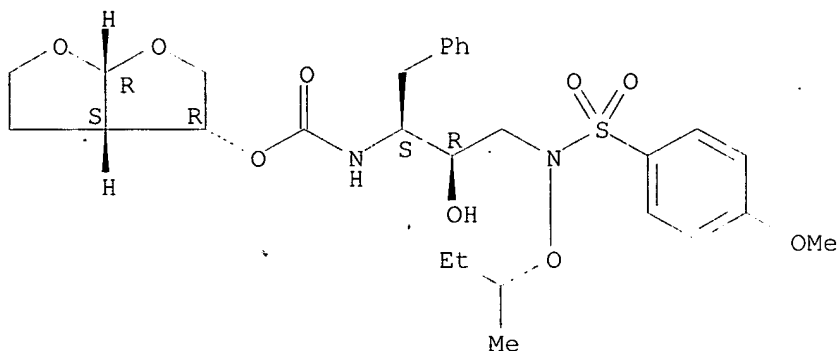
Absolute stereochemistry.



RN 252871-54-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](1-methylpropoxy)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

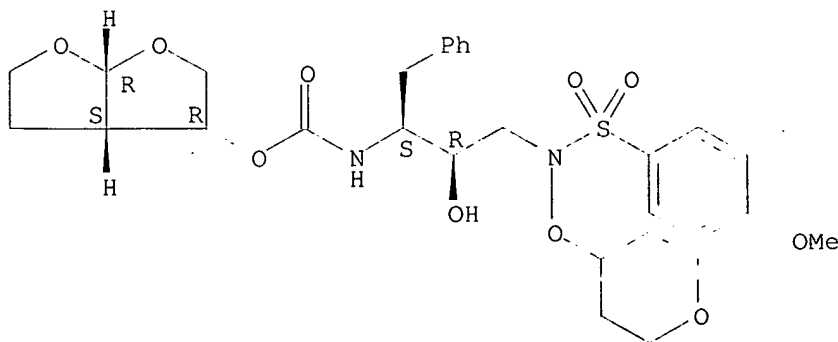
Absolute stereochemistry.



RN 252871-60-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

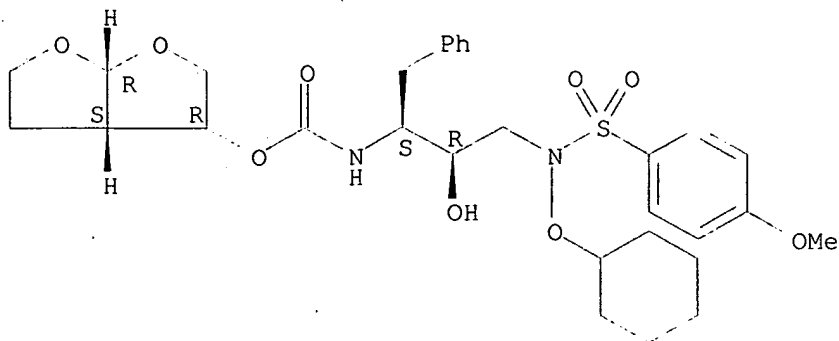
Absolute stereochemistry.



RN 252871-64-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

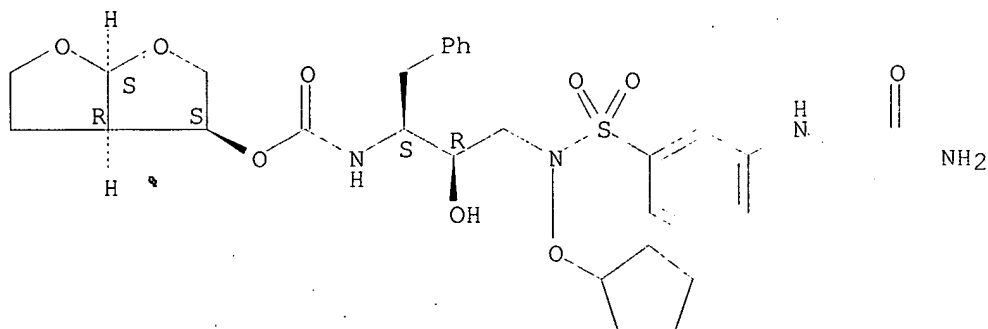
Absolute stereochemistry.



RN 252871-68-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-[(2-amino-2-oxoethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

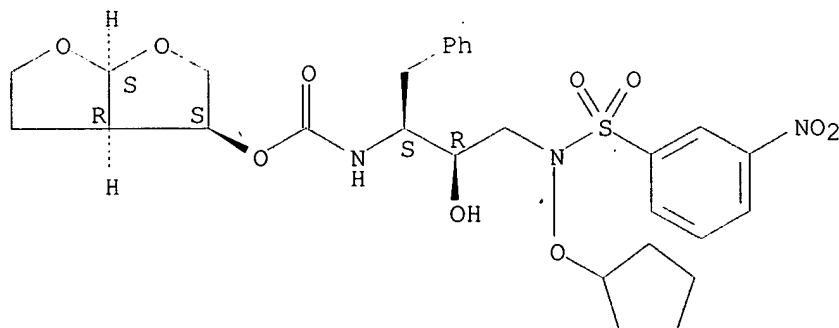
Absolute stereochemistry.



RN 252871-70-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

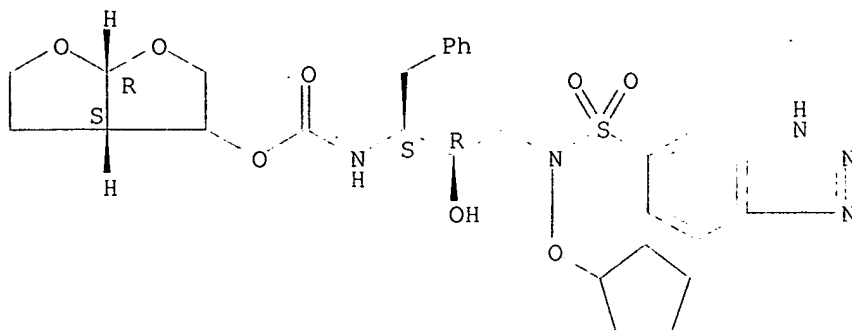
Absolute stereochemistry.



RN 252871-72-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1H-benzotriazol-5-ylsulfonyl)(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

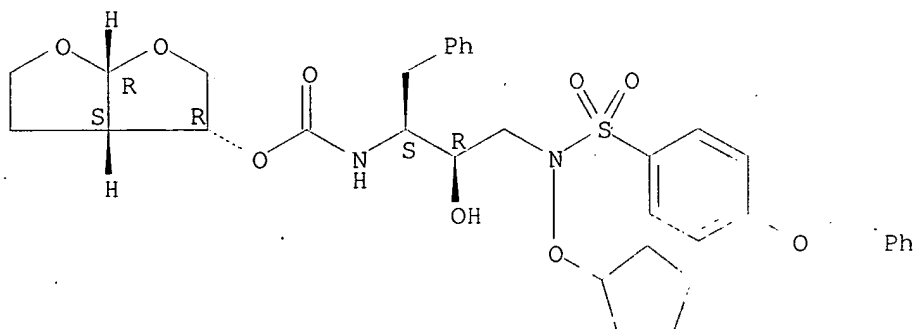
Absolute stereochemistry.



RN 252871-76-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

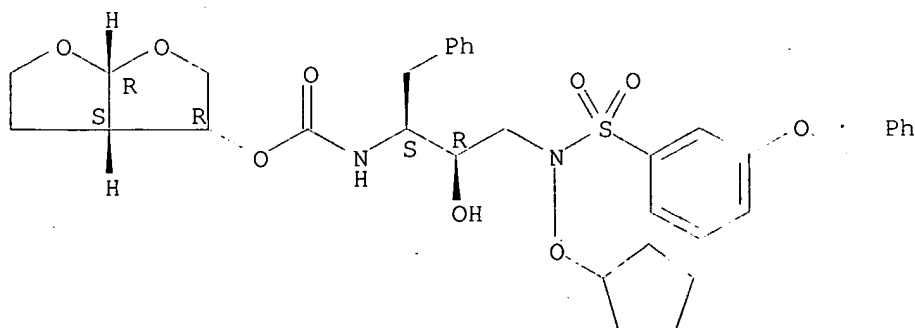
Absolute stereochemistry.



RN 252871-77-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

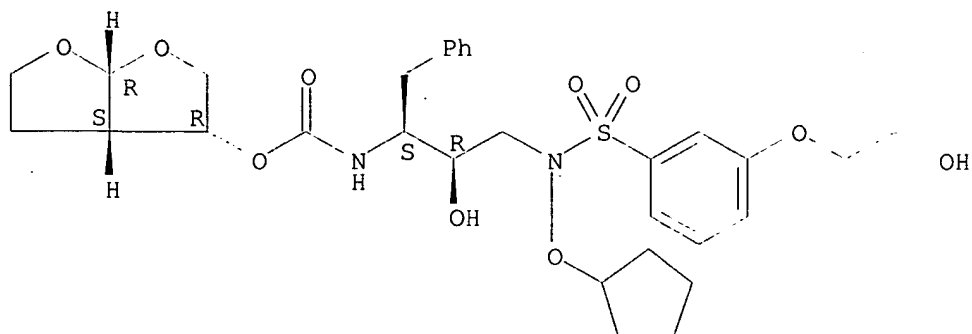
Absolute stereochemistry.



RN 252871-78-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(2-hydroxyethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

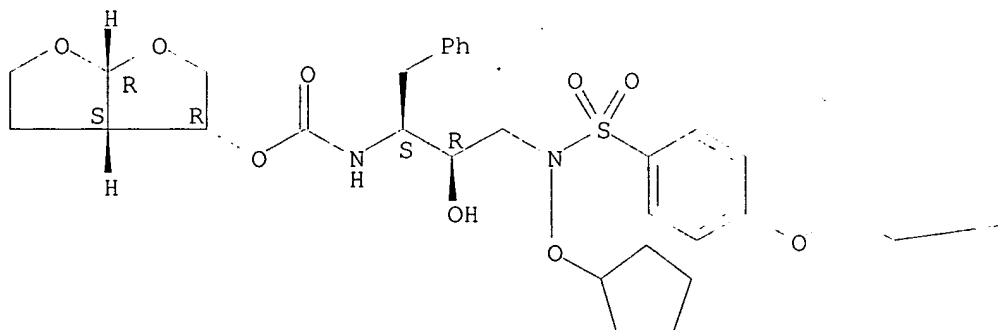


RN 252871-79-7 HCAPLUS

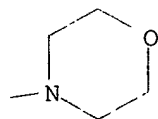
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-[2-(4-morpholinyl)ethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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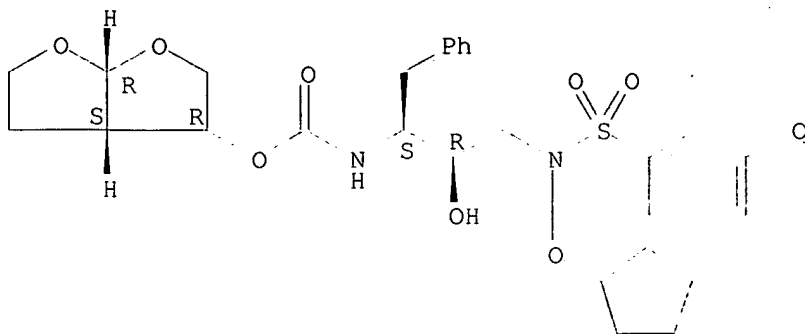


RN 252871-80-0 HCAPLUS

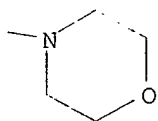
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[2-(4-morpholinyl)ethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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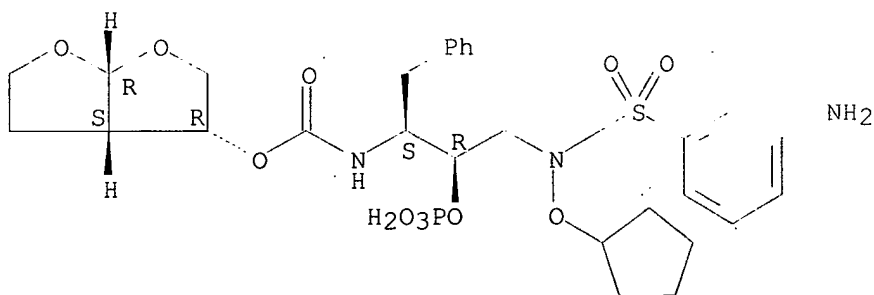


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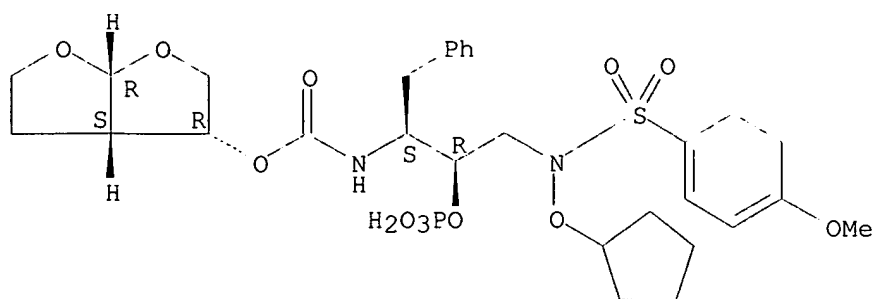
RN 252871-81-1 HCAPLUS
 CN Carbamic acid, [(1S,2R)-3-[[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252871-83-3 HCAPLUS
 CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

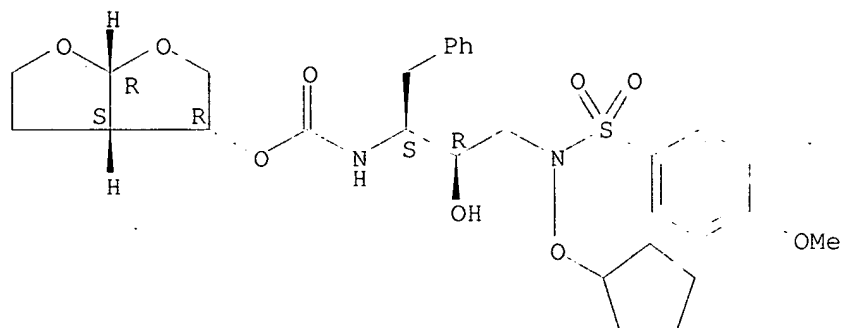
Absolute stereochemistry.



RN 252871-84-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

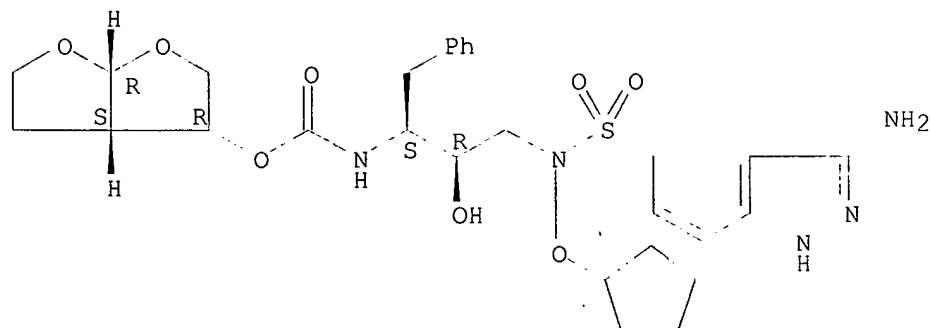
Absolute stereochemistry.



RN 252871-89-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(3-amino-1H-indazol-5-yl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

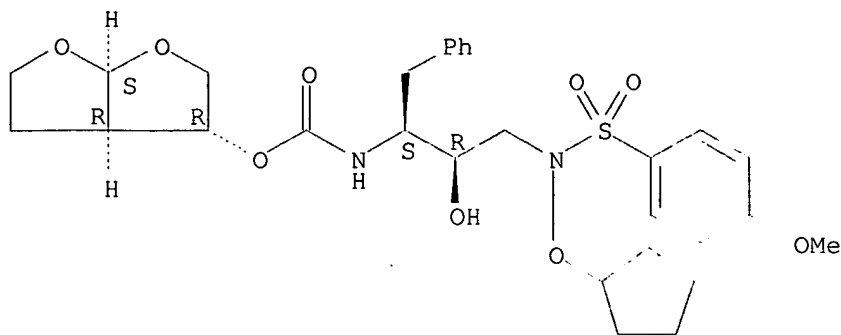
Absolute stereochemistry.



RN 252871-97-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

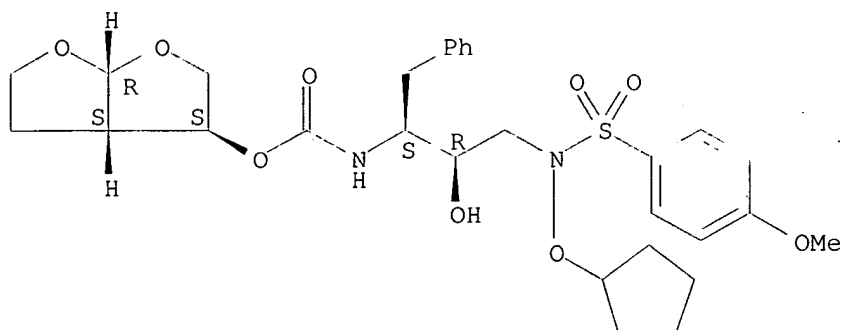
Absolute stereochemistry.



RN 252871-98-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

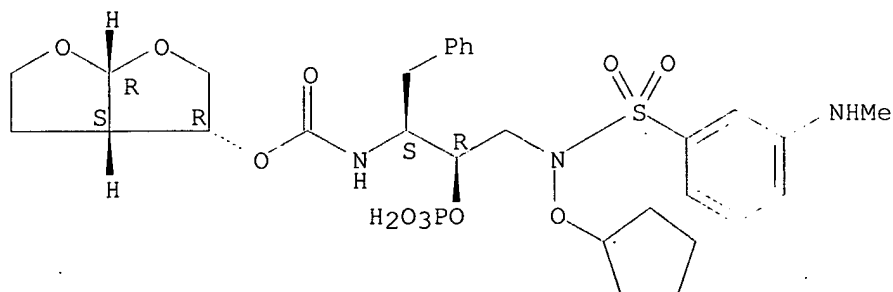
Absolute stereochemistry.



RN 252871-99-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-methylamino)phenyl)sulfonyl]amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

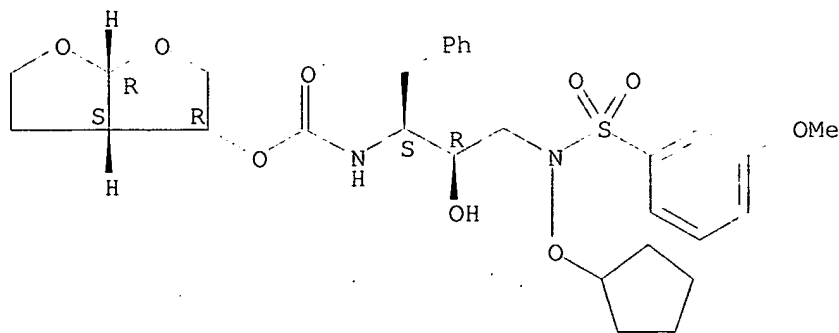
Absolute stereochemistry.



RN 252872-02-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

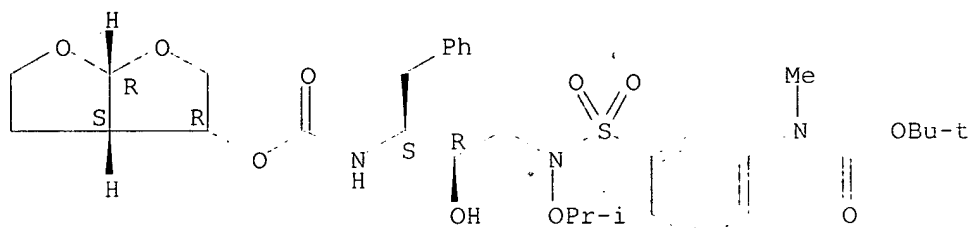
Absolute stereochemistry.



RN 252872-04-1 HCAPLUS

CN Carbamic acid, [3-[[[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](1-methylethoxy)amino]sulfonyl]phenyl)methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

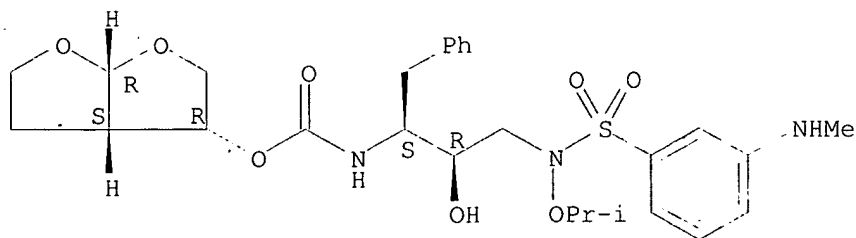
Absolute stereochemistry.



RN 252872-05-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[3-(methylamino)phenyl]sulfonyl](1-methylethoxy)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

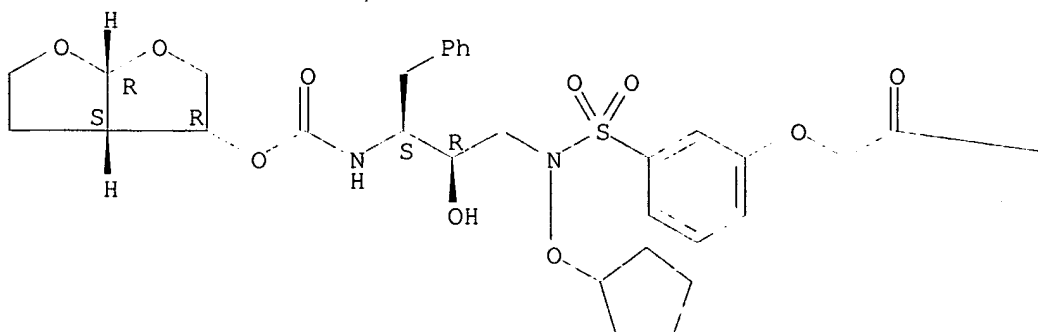


RN 252872-12-1 HCAPLUS

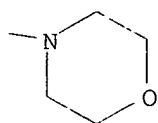
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME).

Absolute stereochemistry.

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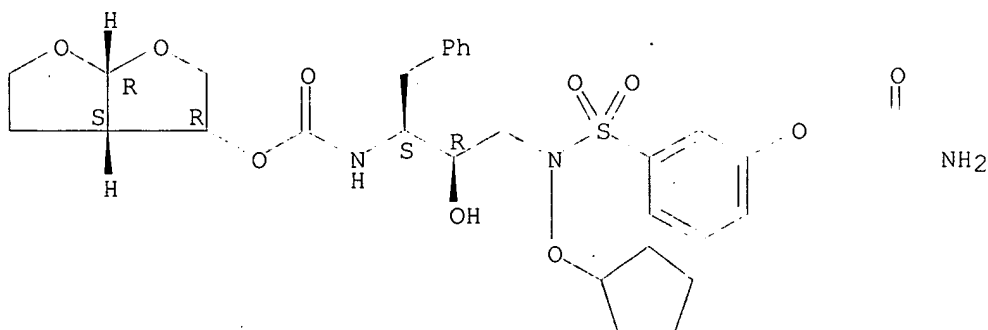
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RN 252872-13-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-(2-amino-2-oxoethoxy)phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

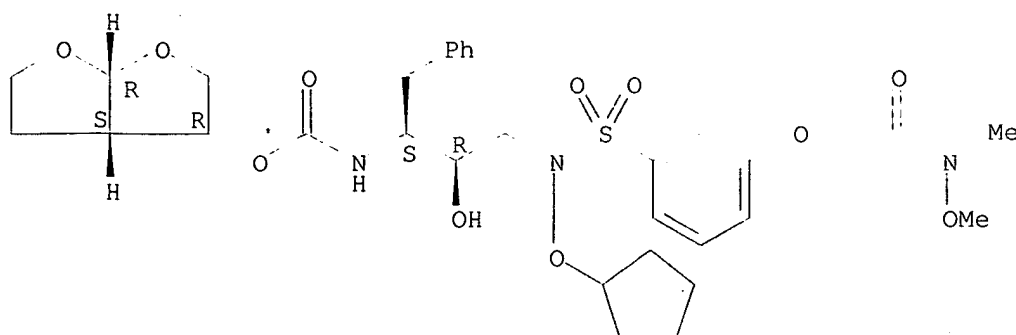
Absolute stereochemistry.



RN 252872-14-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[2-(methoxymethylamino)-2-oxoethoxy]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

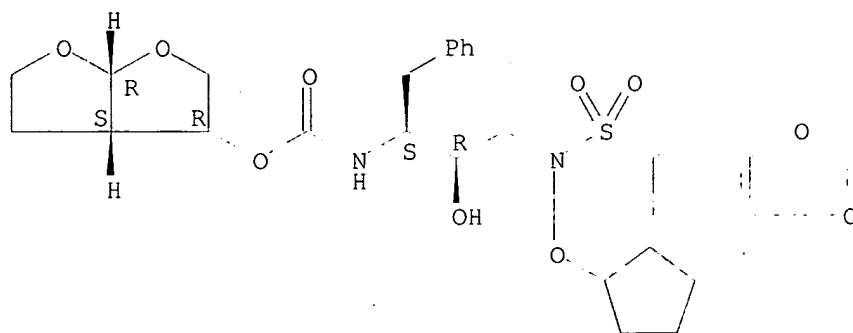
Absolute stereochemistry.



RN 252872-15-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

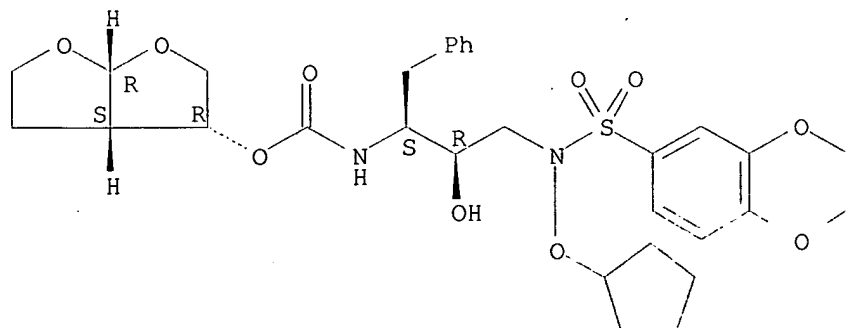
Absolute stereochemistry.



RN 252872-16-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)((2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

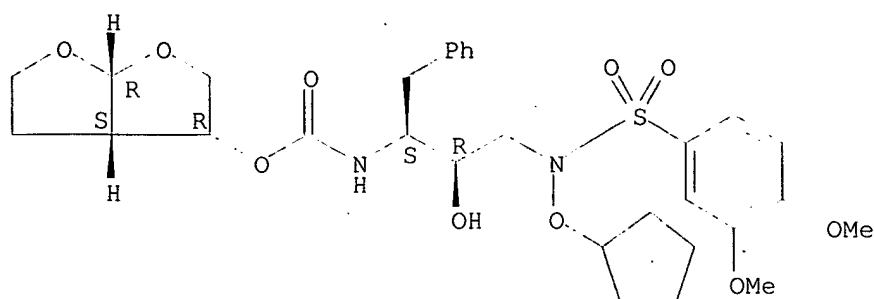
Absolute stereochemistry.



RN 252872-17-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)((3,4-dimethoxyphenyl)sulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

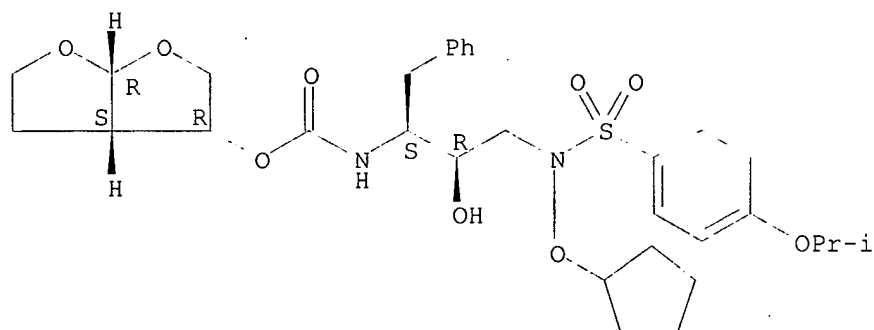
Absolute stereochemistry.



RN 252872-18-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[4-(1-methylethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

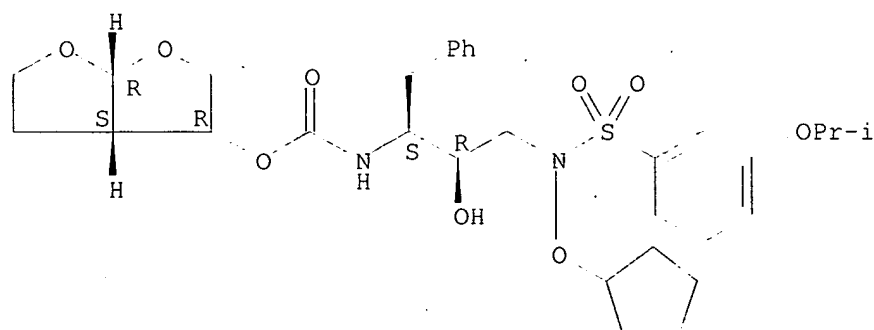
Absolute stereochemistry.



RN 252872-19-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(1-methylethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

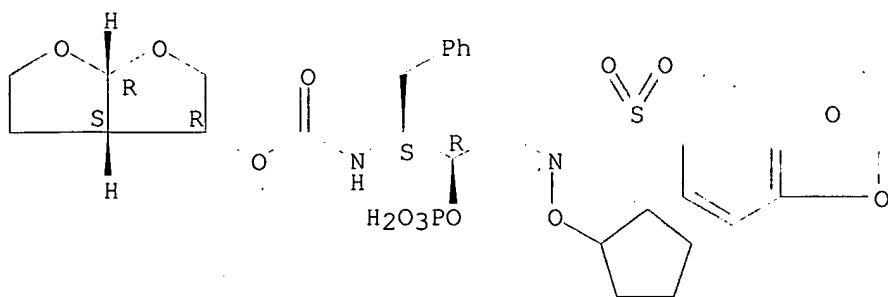
Absolute stereochemistry.



RN 252872-20-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(cyclopentyloxy)amino]-1-(phenylmethyl)-2-(phosphonoxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

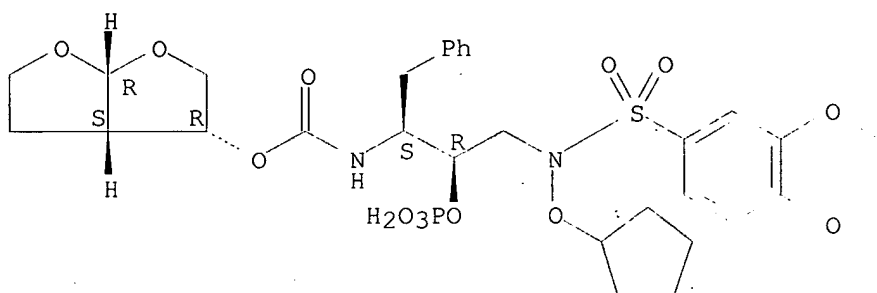
Absolute stereochemistry.



RN 252872-21-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-1-(phenylmethyl)-2-(phosphonooxy)propyl]-, C-[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

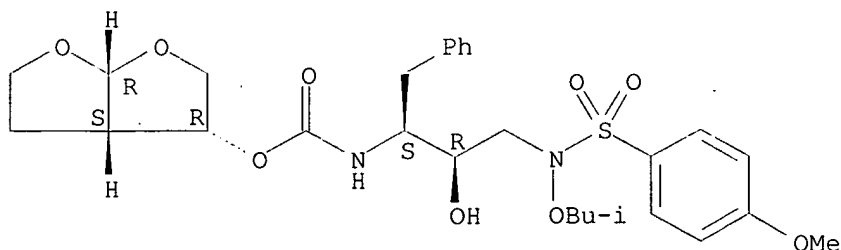
Absolute stereochemistry.



RN 252872-22-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-methoxyphenyl)sulfonyl](2-methylpropoxy)amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

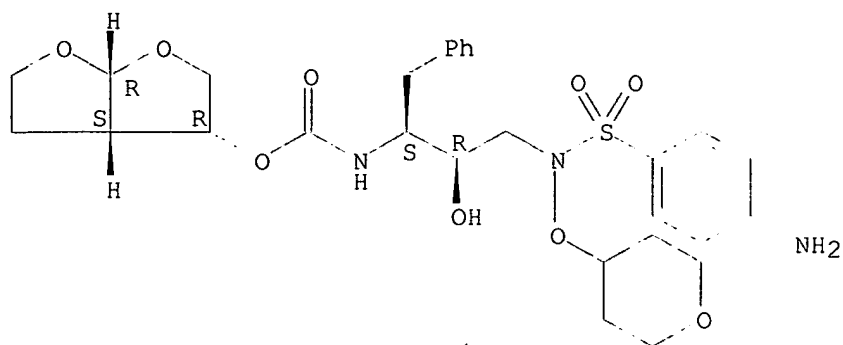
Absolute stereochemistry.



RN 252872-23-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(4-aminophenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl] ester (9CI) (CA INDEX NAME)

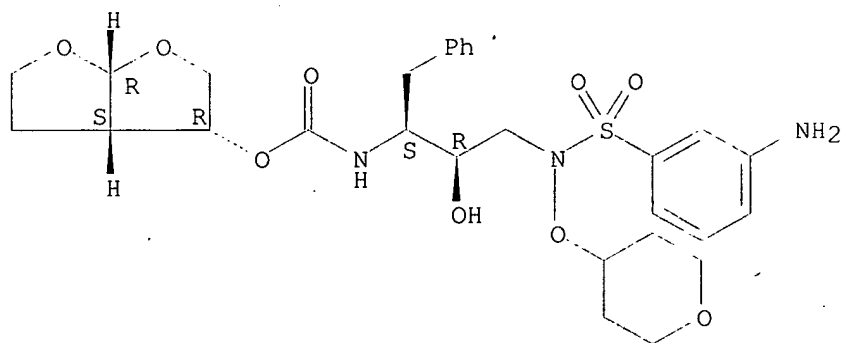
Absolute stereochemistry.



RN 252872-25-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(3-aminophenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

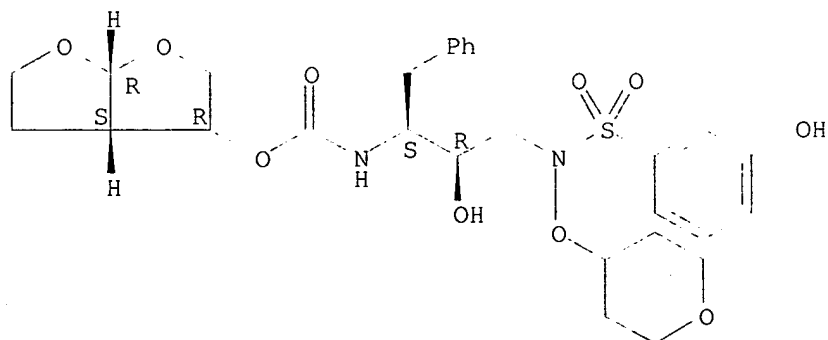
Absolute stereochemistry.



RN 252872-26-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(3-hydroxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

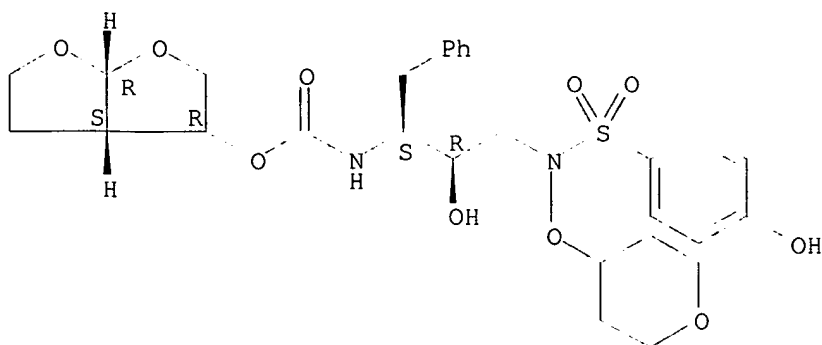
Absolute stereochemistry.



RN 252872-27-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-hydroxyphenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

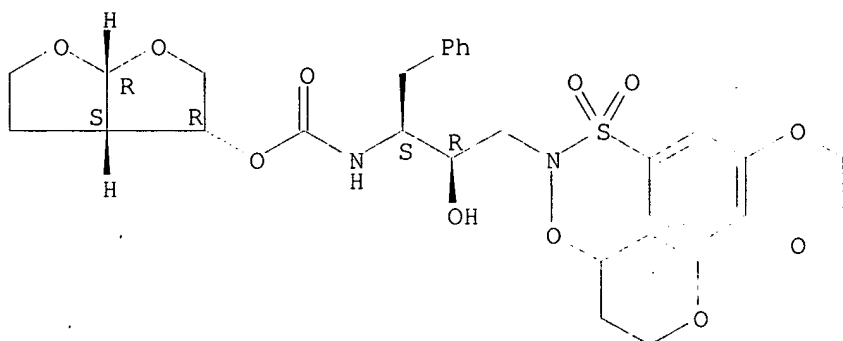
Absolute stereochemistry.



RN 252872-28-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

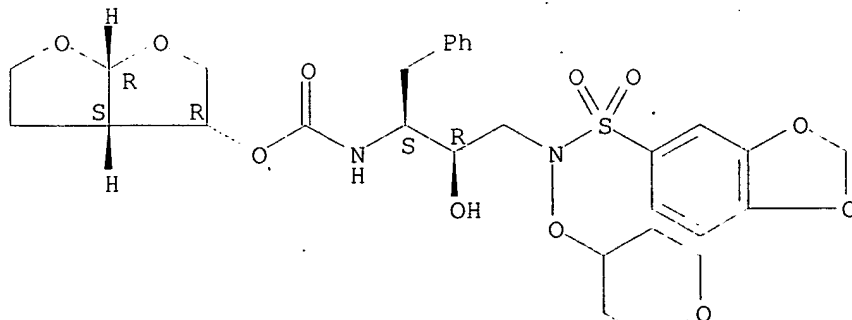
Absolute stereochemistry.



RN 252872-29-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

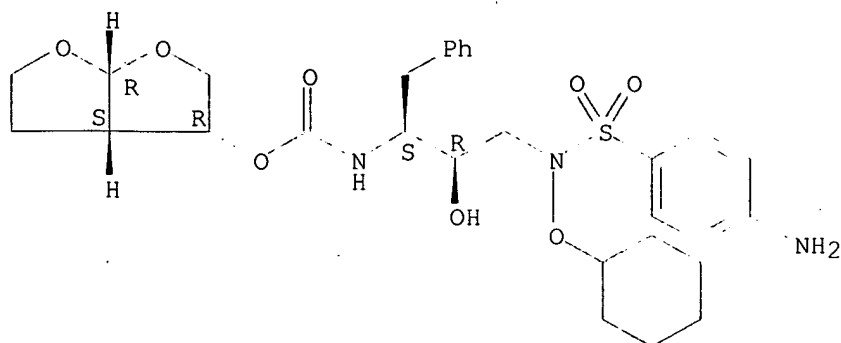
Absolute stereochemistry.



RN 252872-30-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(4-aminophenyl)sulfonyl](cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

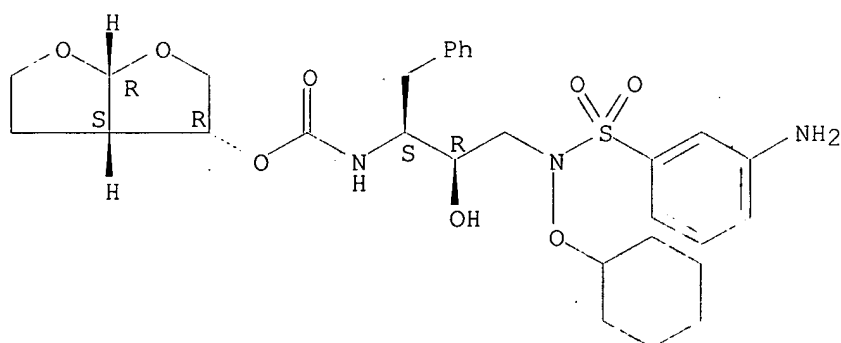
Absolute stereochemistry.



RN 252872-31-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-aminophenyl)sulfonyl] (cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

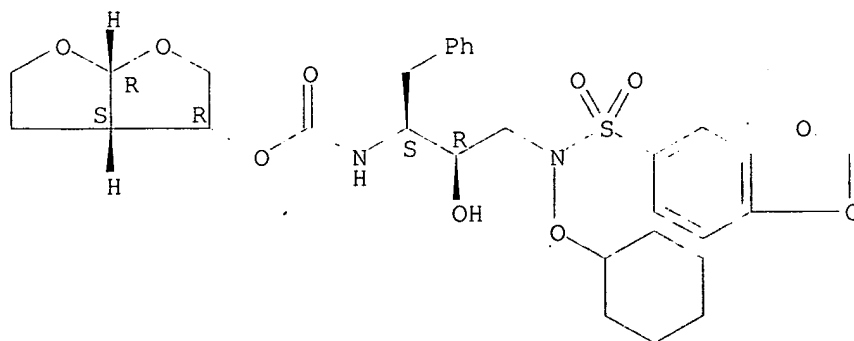
Absolute stereochemistry.



RN 252872-32-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl] (cyclohexyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

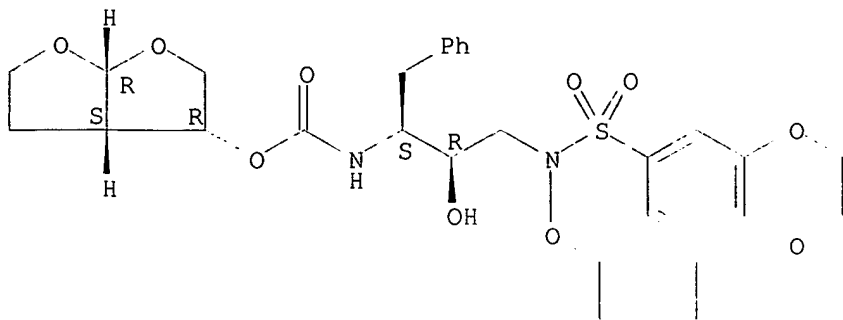
Absolute stereochemistry.



RN 252872-33-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

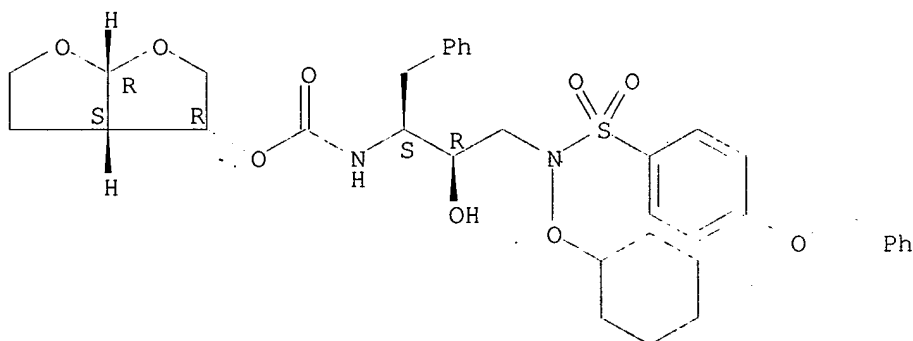
Absolute stereochemistry.



RN 252872-34-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

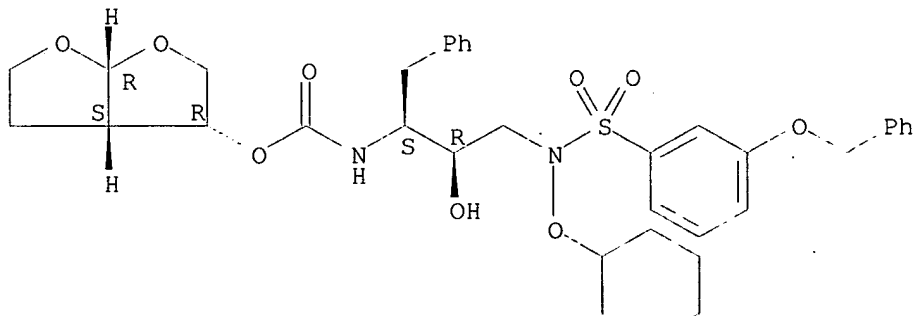
Absolute stereochemistry.



RN 252872-35-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[[3-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

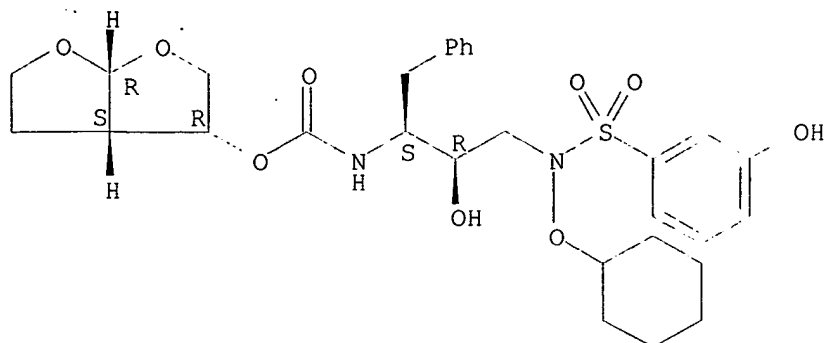
Absolute stereochemistry.



RN 252872-36-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[[3-(hydroxyphenyl)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

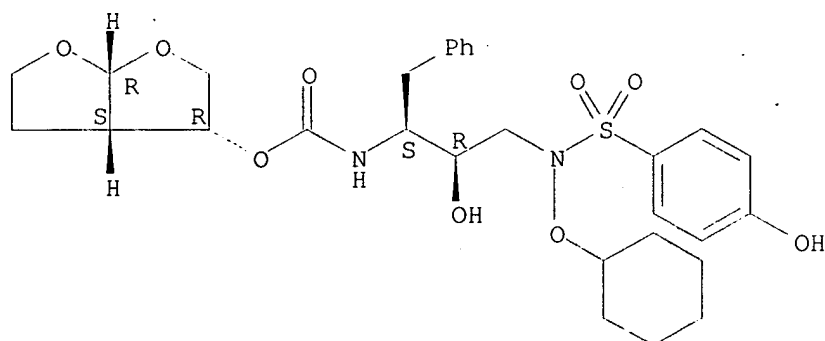
Absolute stereochemistry.



RN 252872-38-1 HCAPLUS

Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

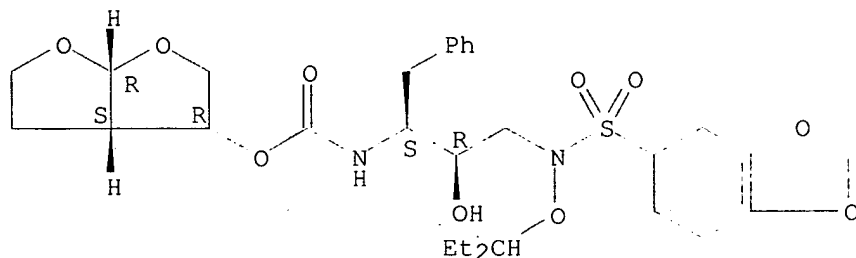
Absolute stereochemistry.



RN 252872-40-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl) (1-ethylpropoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

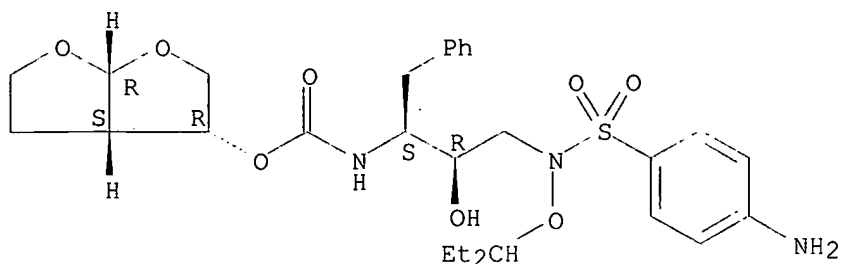
Absolute stereochemistry.



RN 252872-41-6 HCAPLUS

Carbamic acid, [(1*S*,2*R*)-3-[[*(*4-aminophenyl)sulfonyl]*(*1-ethylpropoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3*R*,3*aS*,6*aR*)-hexahydrofuro[2,3-*b*]furan-3-yl ester (9CI) (CA INDEX NAME)

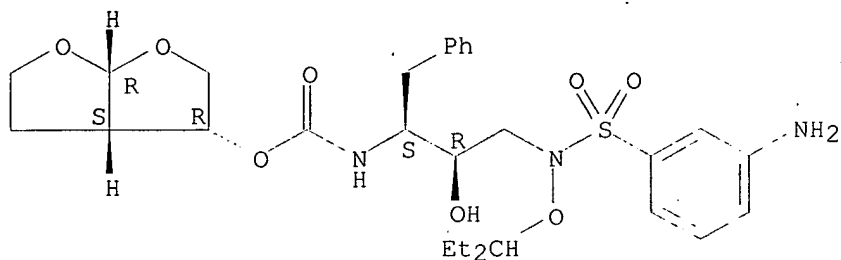
Absolute stereochemistry.



RN 252872-42-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(3-aminophenyl)sulfonyl](1-ethylpropoxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

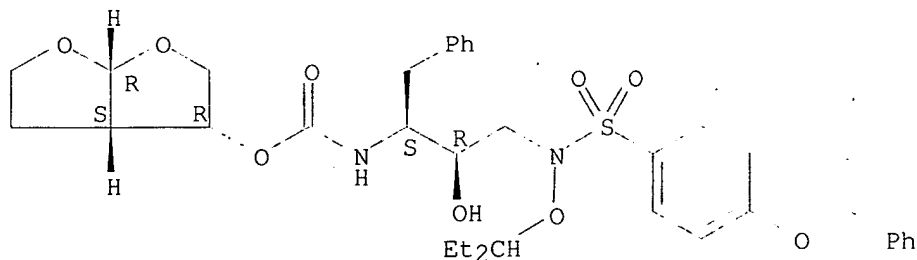
Absolute stereochemistry.



RN 252872-44-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

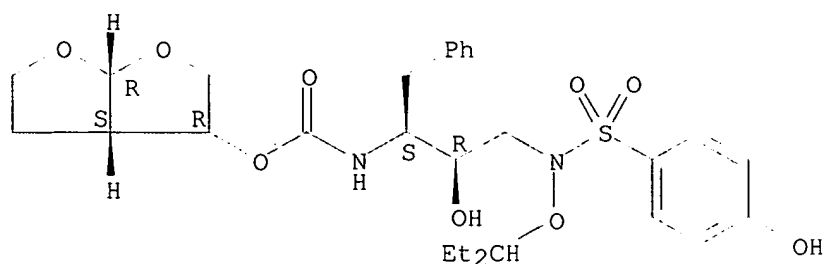
Absolute stereochemistry.



RN 252872-46-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[[4-(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

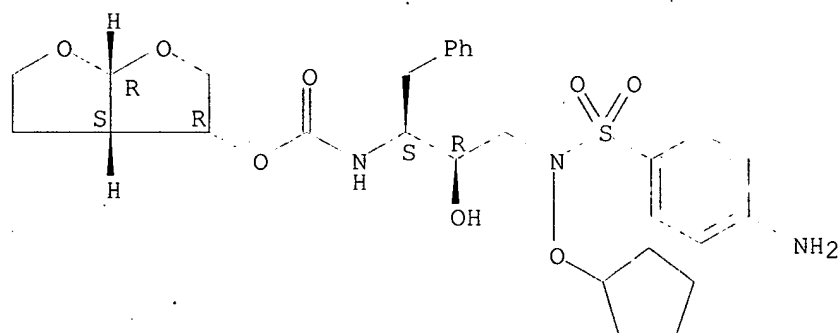
Absolute stereochemistry.



RN 252872-48-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

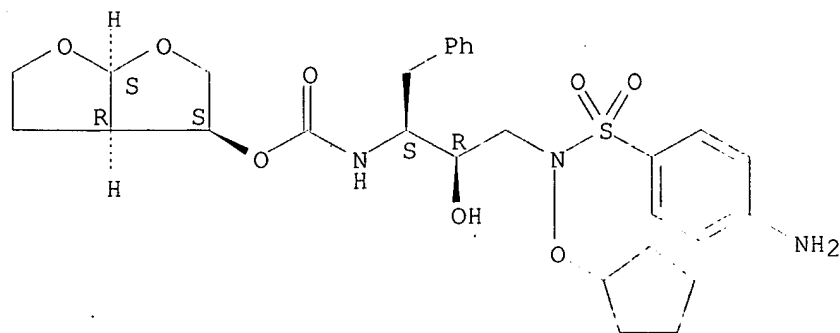
Absolute stereochemistry.



RN 252872-49-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

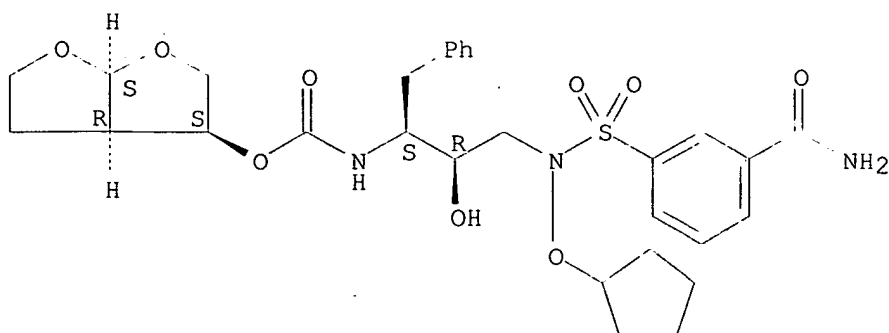
Absolute stereochemistry.



RN 252872-51-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-(aminocarbonyl)phenyl]sulfonyl](cyclopentyl oxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

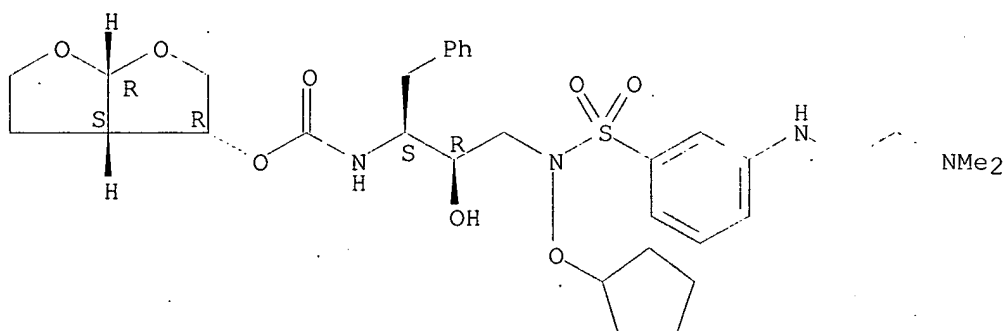
Absolute stereochemistry.



RN 252872-52-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(dimethylamino)ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

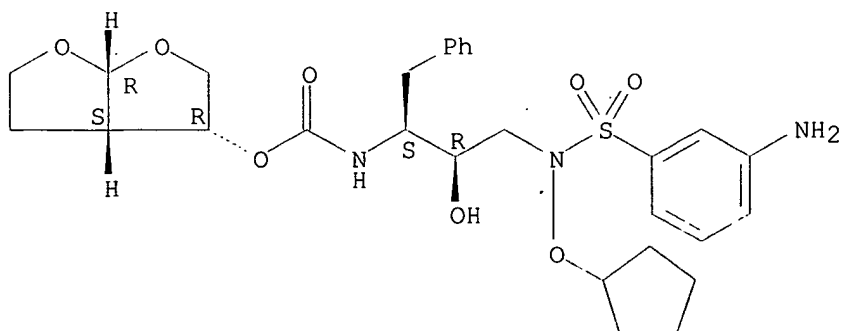
Absolute stereochemistry.



RN 252872-53-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-(aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

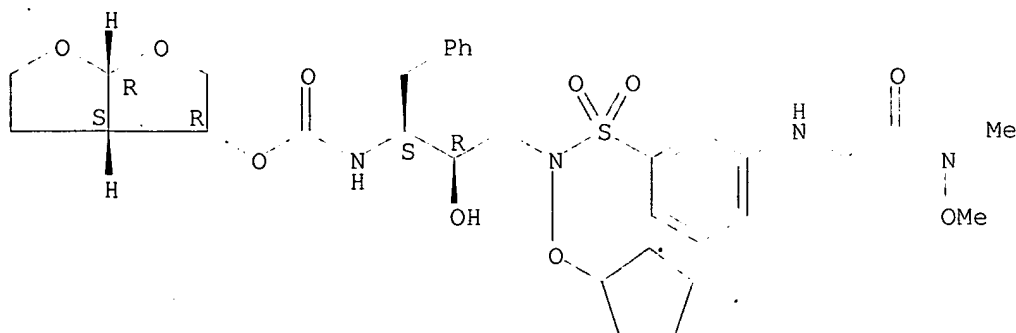
Absolute stereochemistry.



RN 252872-55-2 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methoxymethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

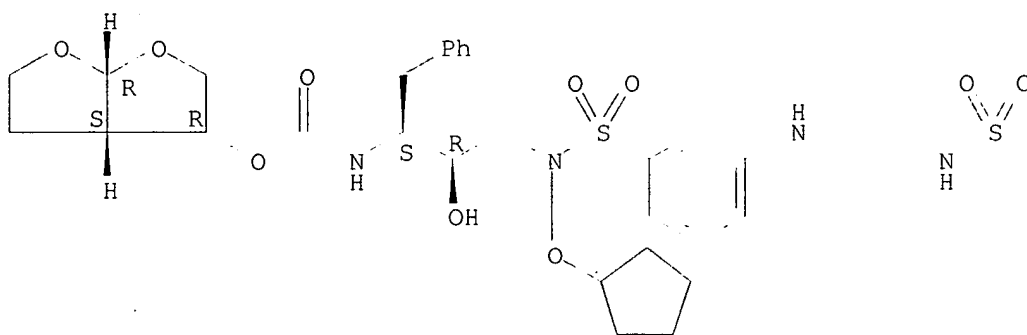


RN 252872-57-4 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[(methylsulfonyl)amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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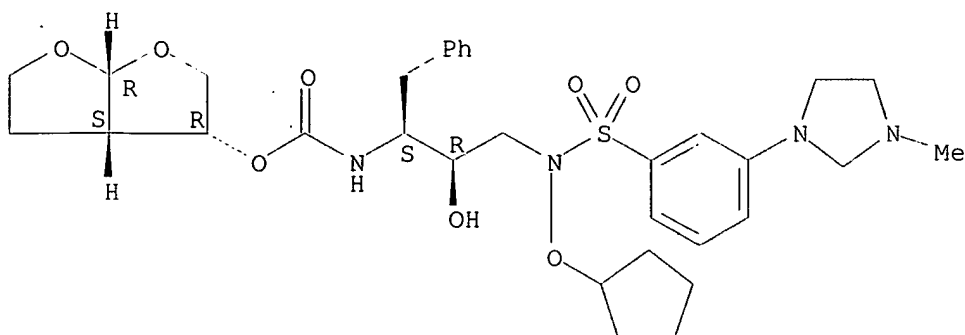
PAGE 1-B

Me

RN 252873-80-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-(3-methyl-1-imidazolidinyl)phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

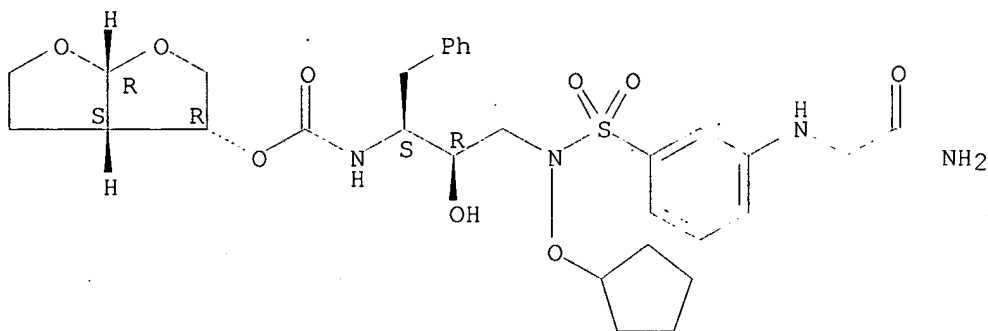
Absolute stereochemistry.



RN 252873-82-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-[(2-amino-2-oxoethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

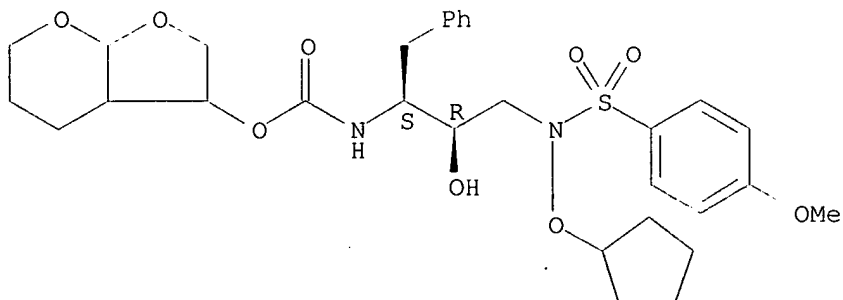
Absolute stereochemistry.



RN 252879-32-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester (9CI) (CA INDEX NAME)

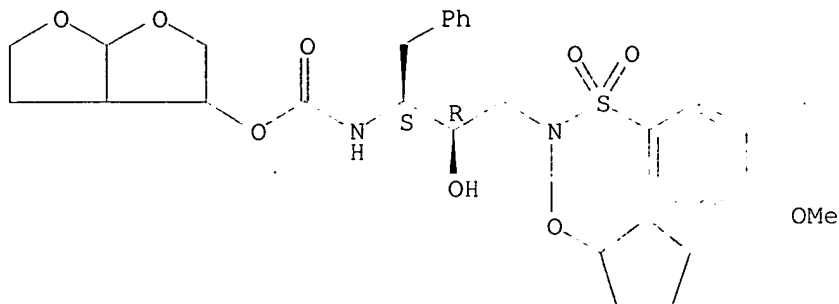
Absolute stereochemistry.



RN 252879-33-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

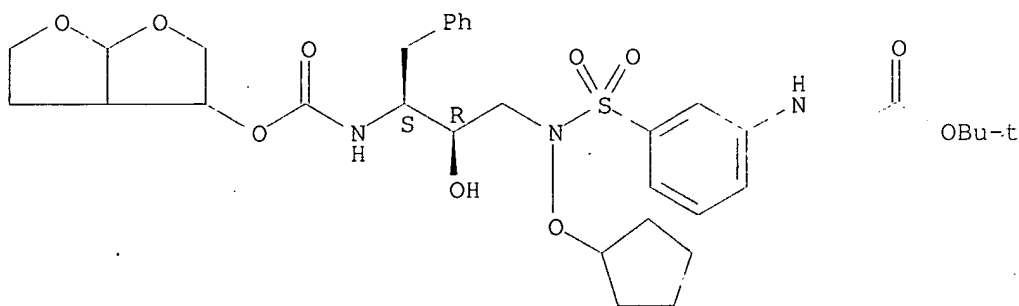
Absolute stereochemistry.



RN 252879-34-8 HCAPLUS

CN Glycine, N-[3-[[[(cyclopentyloxy) [(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

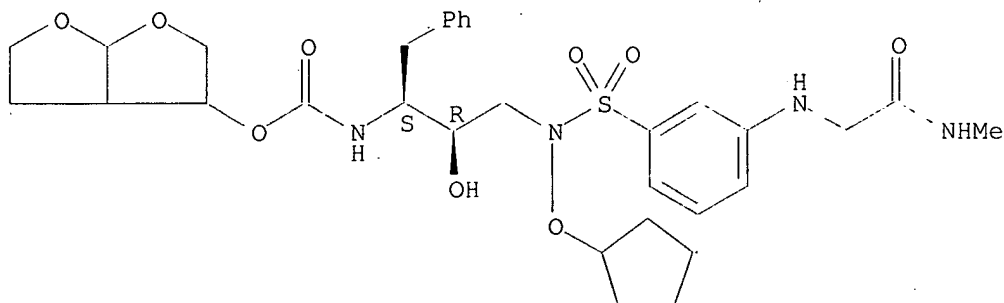
Absolute stereochemistry.



RN 252879-35-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy) [[3-[[2-(methylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

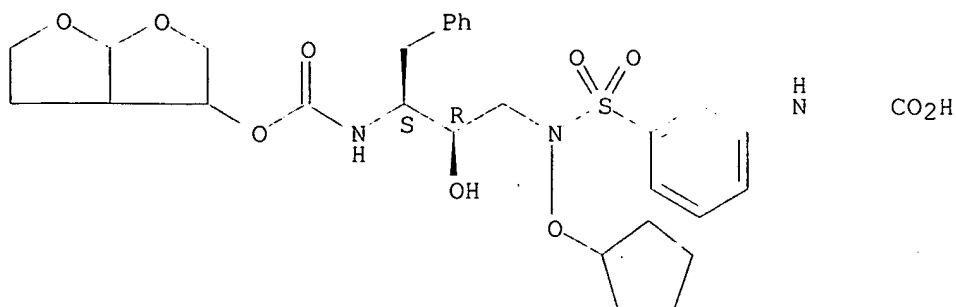
Absolute stereochemistry.



RN 252879-36-0 HCAPLUS

CN Glycine, N-[3-[[[(cyclopentyloxy) [(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

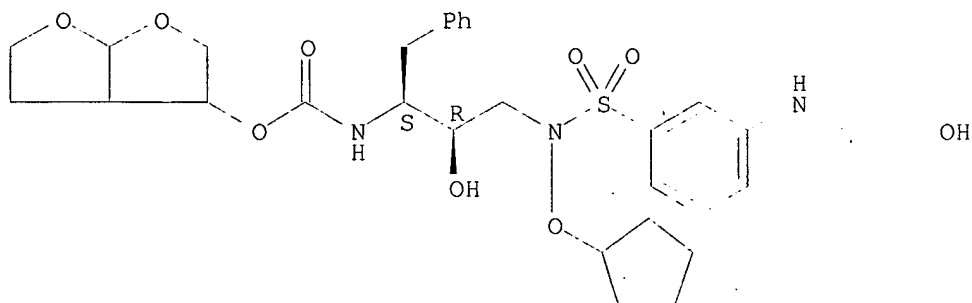
Absolute stereochemistry.



RN 252879-37-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-[(2-hydroxyethyl)amino]phenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

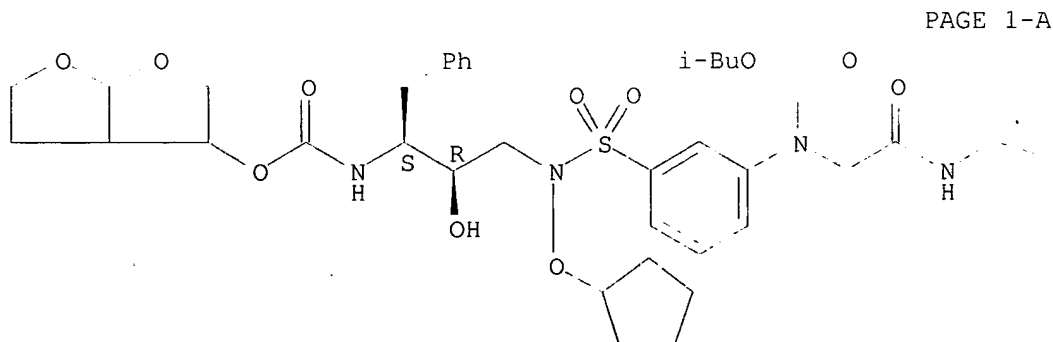
Absolute stereochemistry.



RN 252879-38-2 HCAPLUS

CN Carbamic acid, [3-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl][2-[(2-hydroxyethyl)amino]-2-oxoethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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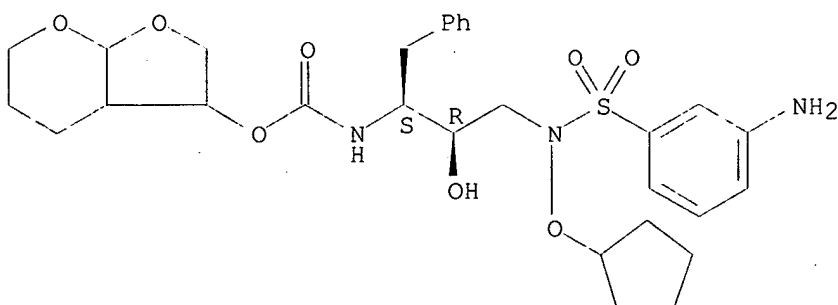
PAGE 1-B



RN 252879-39-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester (9CI) (CA INDEX NAME)

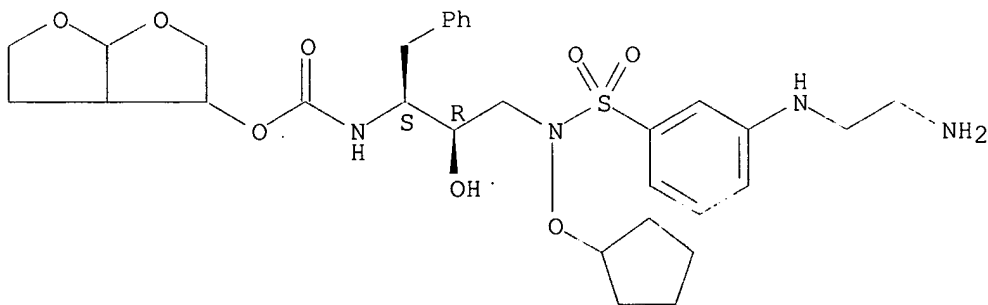
Absolute stereochemistry.



RN 252879-40-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-[(2-aminoethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

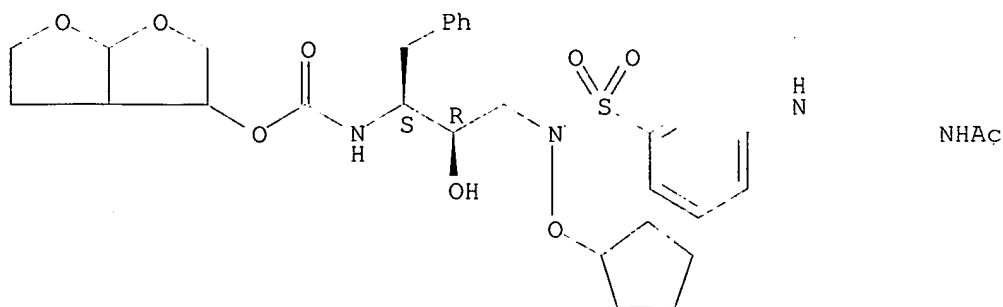
Absolute stereochemistry.



RN 252879-41-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-[[2-(acetamino)ethyl]amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

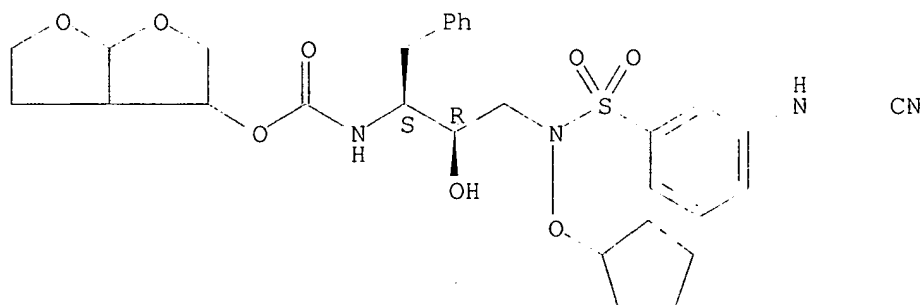
Absolute stereochemistry.



RN 252879-42-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[3-[(cyanomethyl)amino]phenyl]sulfonyl](cyclopentyloxy)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

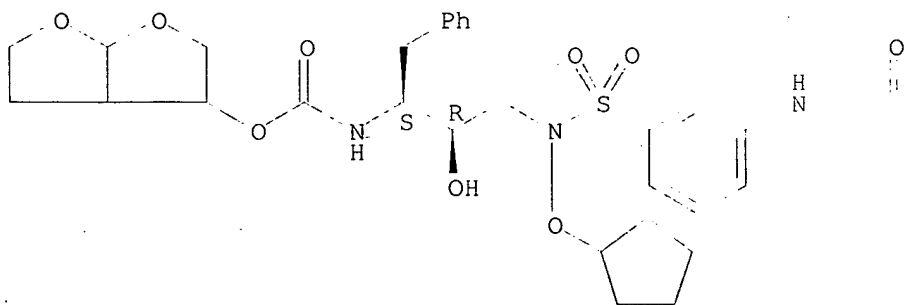


RN 252879-43-9 HCAPLUS

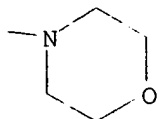
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(4-morpholinyl)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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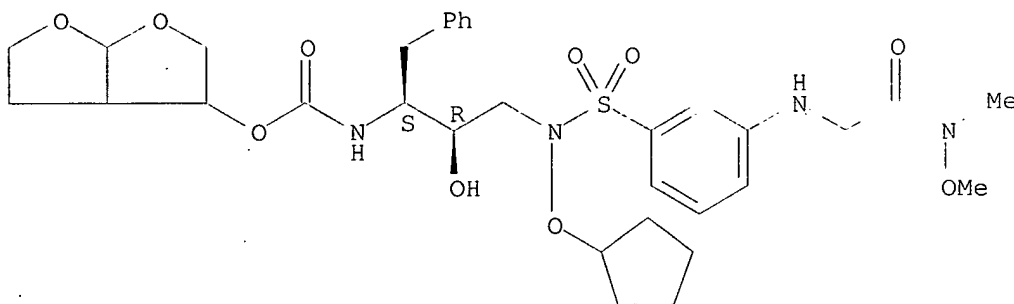
PAGE 1-B



RN 252879-44-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methoxymethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

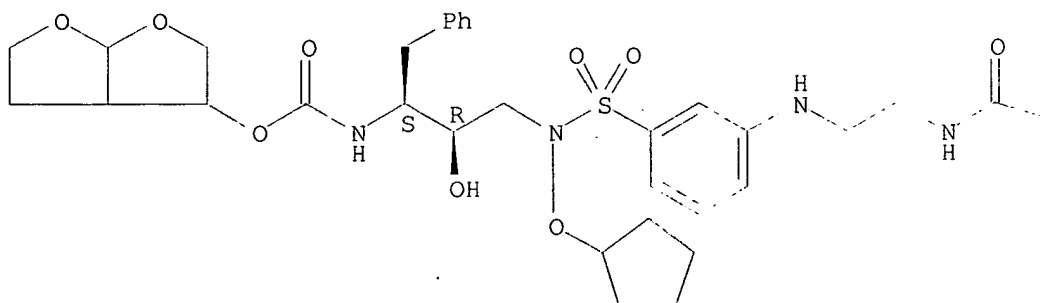


RN 252879-45-1 HCAPLUS

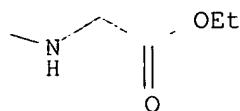
CN Glycine, N-[[[2-[[3-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]amino]ethyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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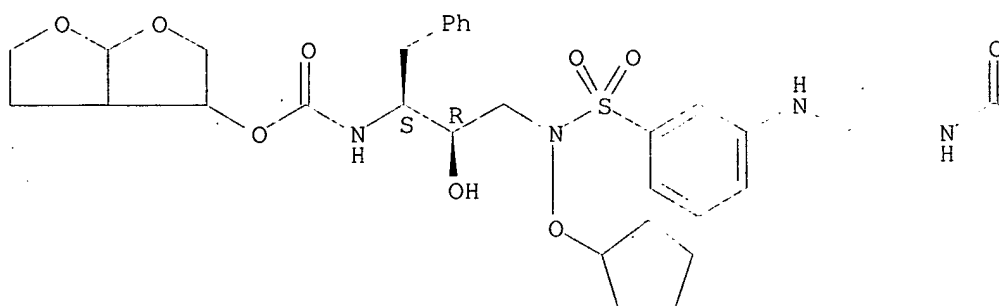


RN 252879-46-2 HCAPLUS

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CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-
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1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

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$$-\text{NHMe}$$

RN 252879-47-3 HCAPLUS

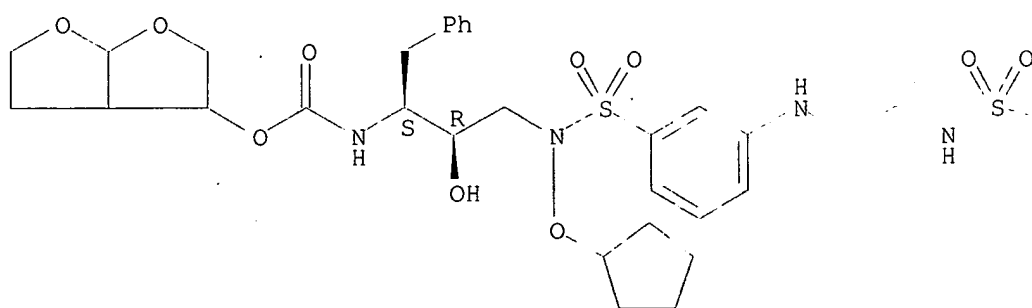
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[(methylsulfonyl)amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-
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INDEX NAME)

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Absolute stereochemistry.

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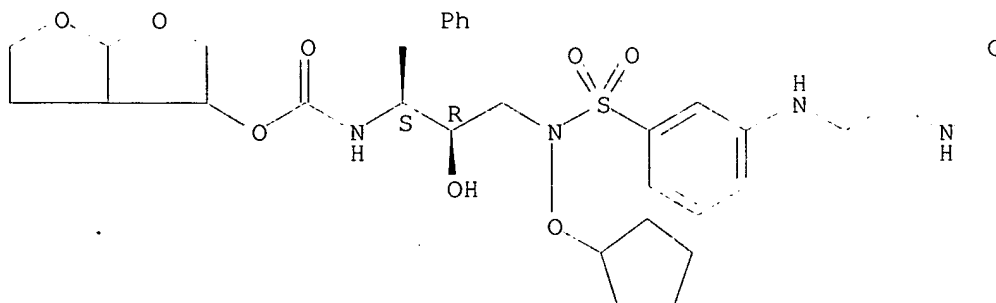
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RN 252879-48-4 HCAPLUS

CN Carbamic acid, [2-[[3-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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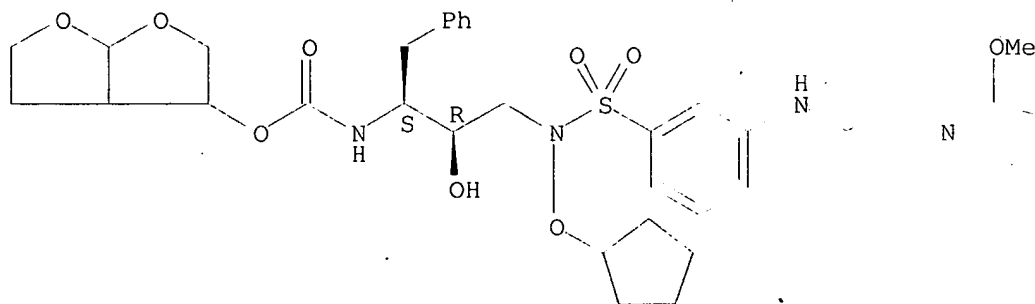
-OMe

RN 252879-49-5 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[[[methoxy(nitroimino)methyl]amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

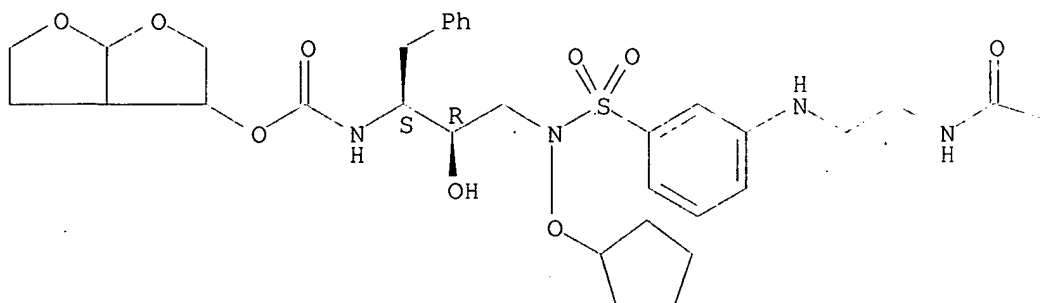
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RN 252879-50-8 HCAPLUS

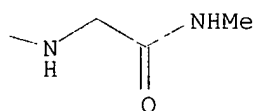
CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-[[[2-(methylamino)-2-oxoethyl]amino]carbonyl]amino]ethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



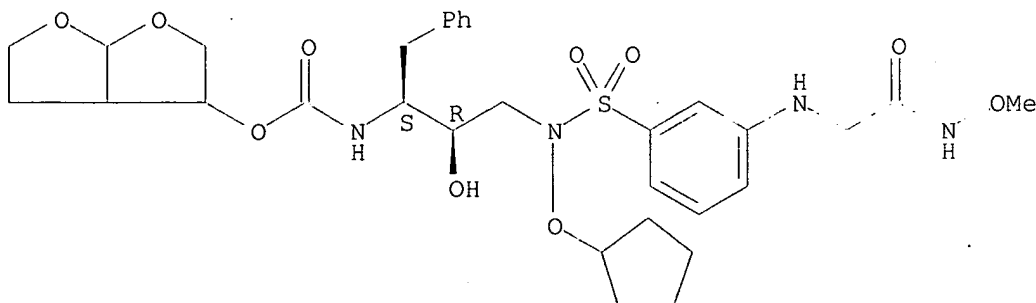
PAGE 1-B



RN 252879-51-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(methoxyamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

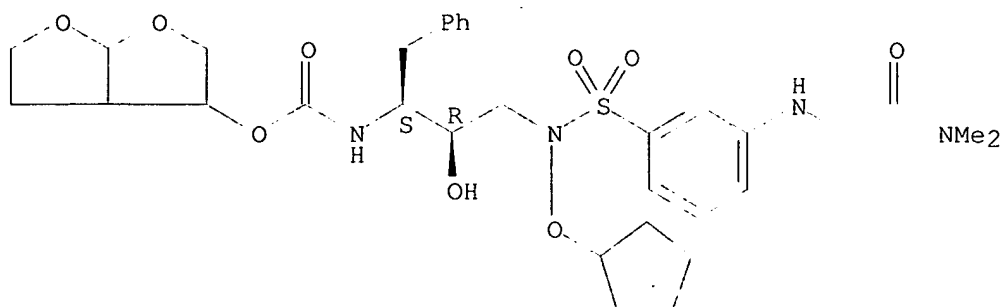
Absolute stereochemistry.



RN 252879-52-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[3-[[2-(dimethylamino)-2-oxoethyl]amino]phenyl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

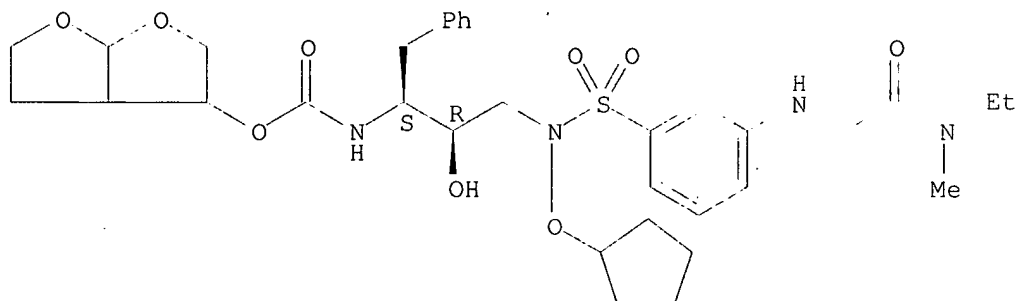
Absolute stereochemistry.



RN 252879-53-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[{3-[[2-(ethylmethylamino)-2-oxoethyl]amino]phenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



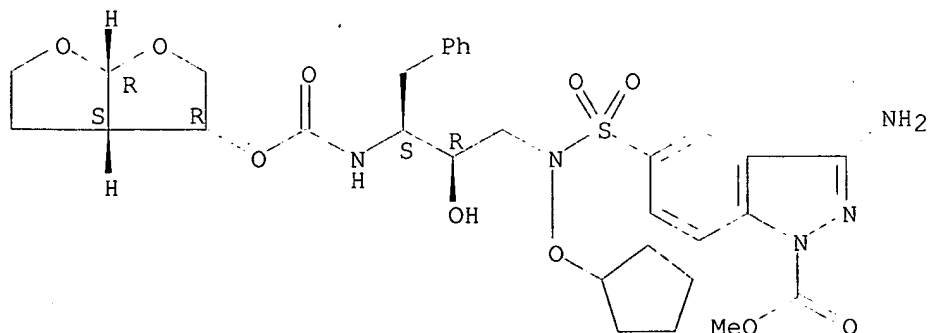
IT 252873-47-5 252879-55-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252873-47-5 HCAPLUS

CN 1H-Indazole-1-carboxylic acid, 3-amino-5-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

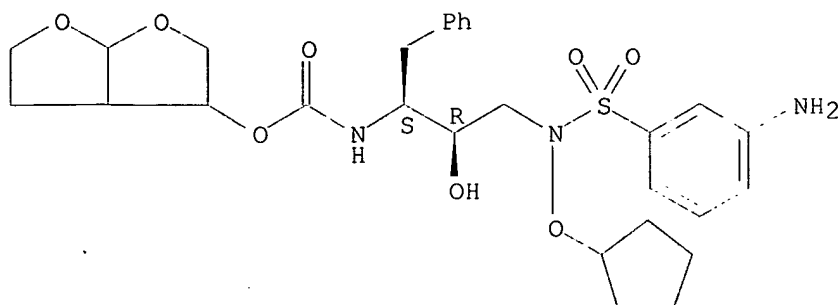


RN 252879-55-3 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[(3-aminophenyl)sulfonyl](cyclopentyloxy)amino]-

2-hydroxy-1-(phenylmethyl)propyl]-, hexahydrofuro[2,3-b]furan-3-yl ester
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 252872-84-7P 252872-96-1P 252873-09-9P
252873-10-2P 252873-11-3P 252873-12-4P
252873-15-7P 252873-16-8P 252873-17-9P
252873-25-9P 252873-26-0P 252873-30-6P
252873-31-7P 252873-32-8P 252873-42-0P
252879-54-2P

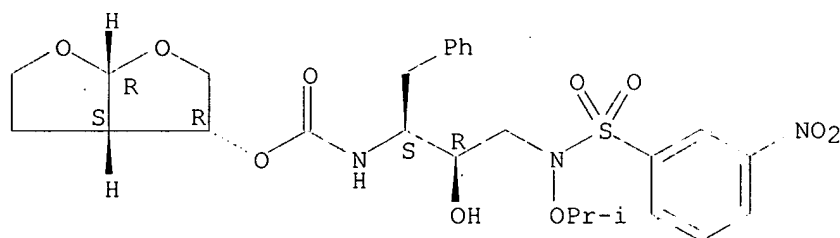
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-
hydroxypropanes and related compds. as inhibitors of HIV aspartyl
protease)

RN 252872-84-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(1-methylethoxy)[(3-
nitrophenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-
hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

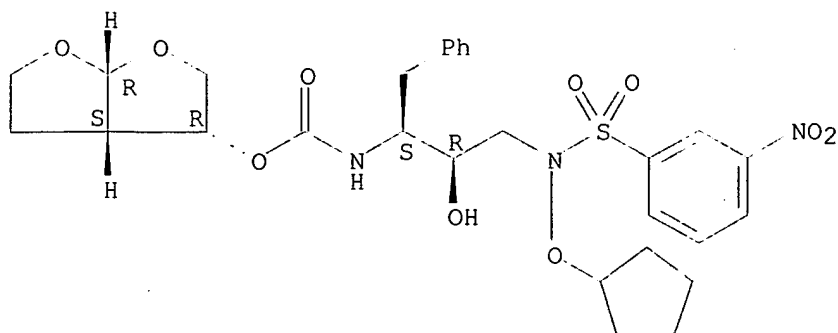
Absolute stereochemistry.



RN 252872-96-1 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(3-nitrophenyl)sulfonyl]amino]-
2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-
3-yl ester (9CI) (CA INDEX NAME)

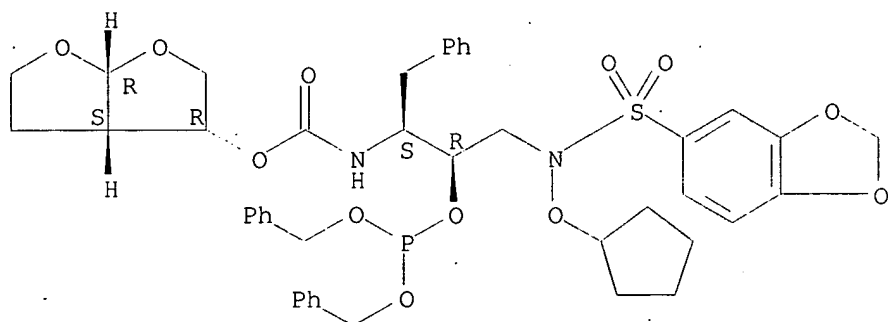
Absolute stereochemistry.



RN 252873-09-9 HCAPLUS

CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[[(1,3-benzodioxol-5-yl)sulfonyl] (cyclopentyloxy) amino]methyl]-1-phenyl-3- (phenylmethoxy) -6- (phenylmethyl)-, (3R, 3aS, 6aR) -hexahydrofuro[2,3-b]furan-3-yl ester, (5R, 6S) - (9CI) (CA INDEX NAME)

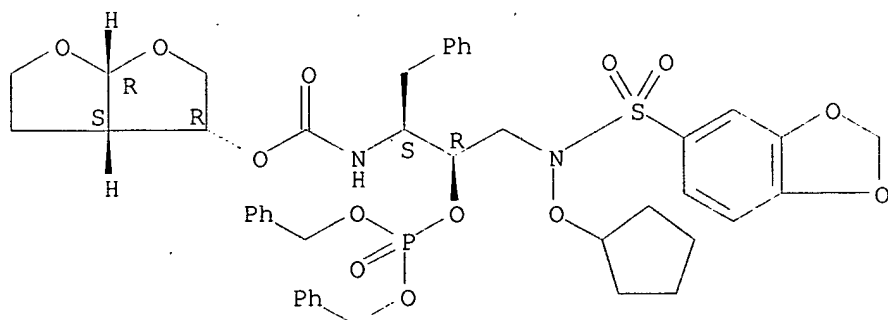
Absolute stereochemistry.



RN 252873-10-2 HCAPLUS

CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[[(1,3-benzodioxol-5-yl)sulfonyl] (cyclopentyloxy) amino]methyl]-1-phenyl-3- (phenylmethoxy) -6- (phenylmethyl)-, (3R, 3aS, 6aR) -hexahydrofuro[2,3-b]furan-3-yl ester, 3-oxide, (5R, 6S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

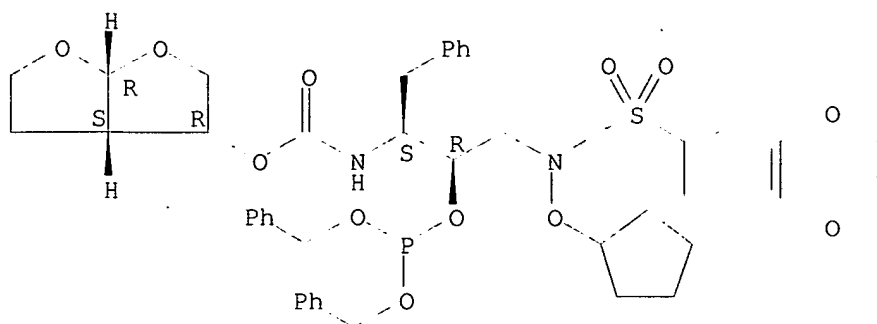


RN 252873-11-3 HCAPLUS

CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[[(cyclopentyloxy) [(2,3-dihydro-1,4-benzodioxin-6-yl) sulfonyl] amino]methyl]-1-phenyl-3- (phenylmethoxy) -6- (phenylmethyl)-, (3R, 3aS, 6aR) -hexahydrofuro[2,3-b]furan-

3-yl ester, (5R,6S)- (9CI) (CA INDEX NAME)

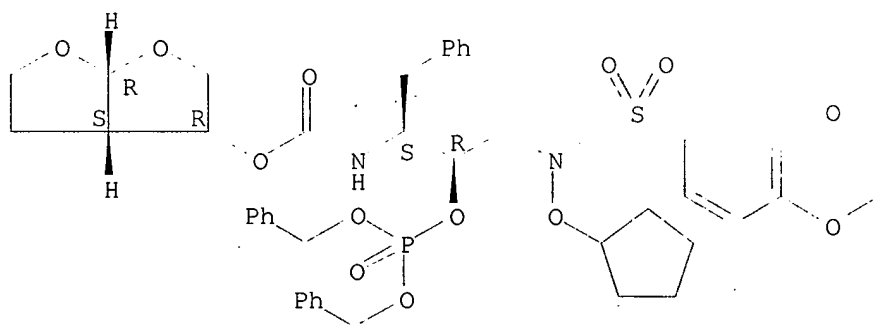
Absolute stereochemistry.



RN 252873-12-4 HCAPLUS

CN 2,4-Dioxa-7-aza-3-phosphaoctan-8-oic acid, 5-[[[(cyclopentyloxy)[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]amino]methyl]-1-phenyl-3-(phenylmethoxy)-6-(phenylmethyl)-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester, 3-oxide, (5R,6S)- (9CI) (CA INDEX NAME)

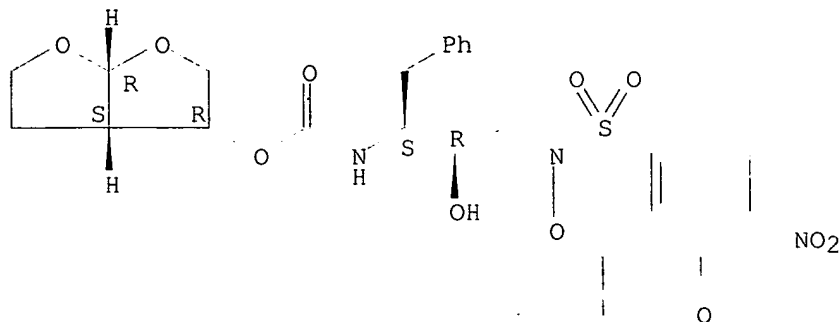
Absolute stereochemistry.



RN 252873-15-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(4-nitrophenyl)sulfonyl][(tetrahydro-2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

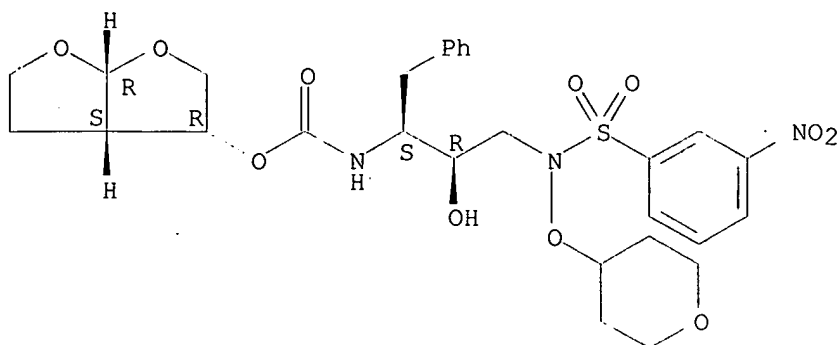


RN 252873-16-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[(3-nitrophenyl)sulfonyl][(tetrahydro-

2H-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

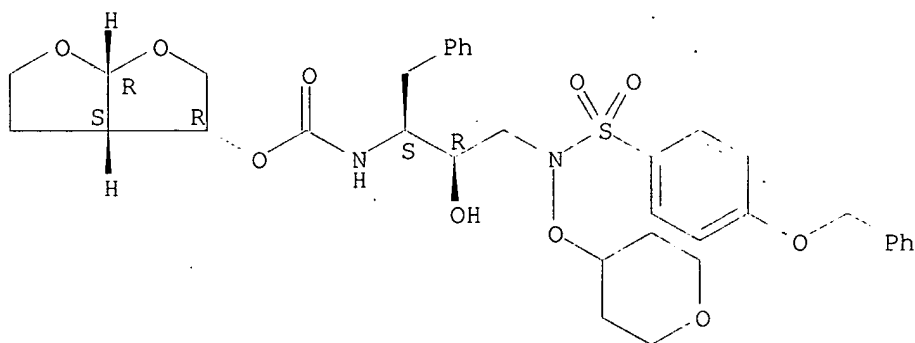
Absolute stereochemistry.



RN 252873-17-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-(phenylmethoxy)phenyl]sulfonyl][(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

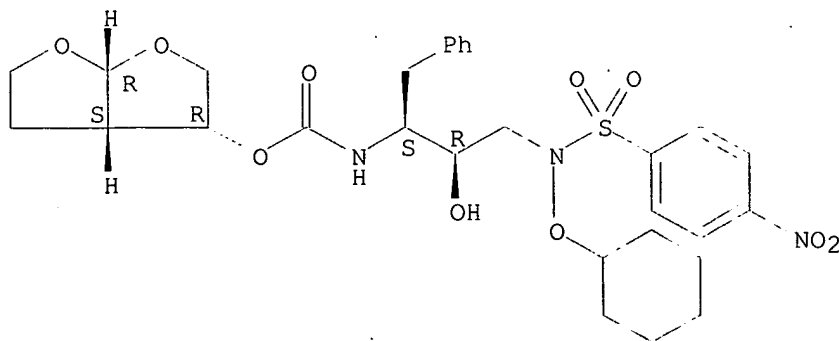
Absolute stereochemistry.



RN 252873-25-9 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(4-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

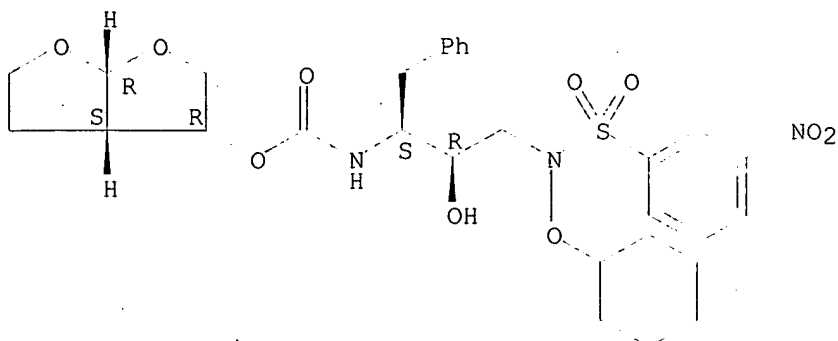


RN 252873-26-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclohexyloxy)[(3-nitrophenyl)sulfonyl]amino]-

2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

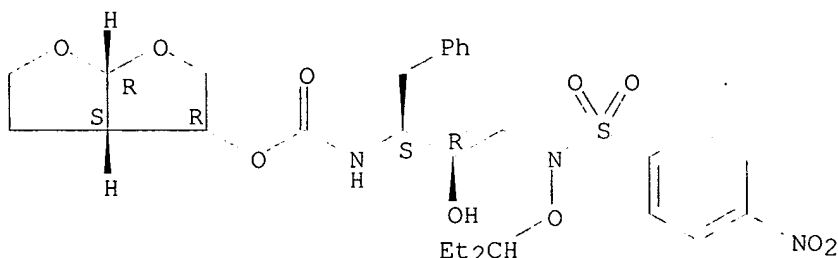
Absolute stereochemistry.



RN 252873-30-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[(4-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

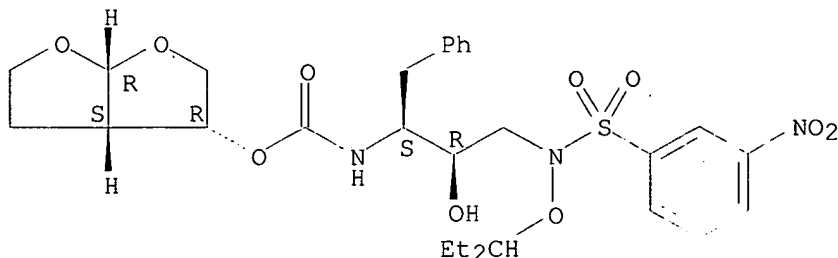
Absolute stereochemistry.



RN 252873-31-7 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

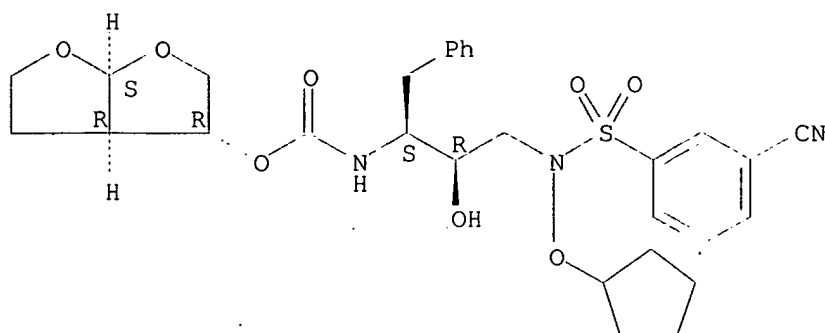
Absolute stereochemistry.



RN 252873-32-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(1-ethylpropoxy)[(3-cyanophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

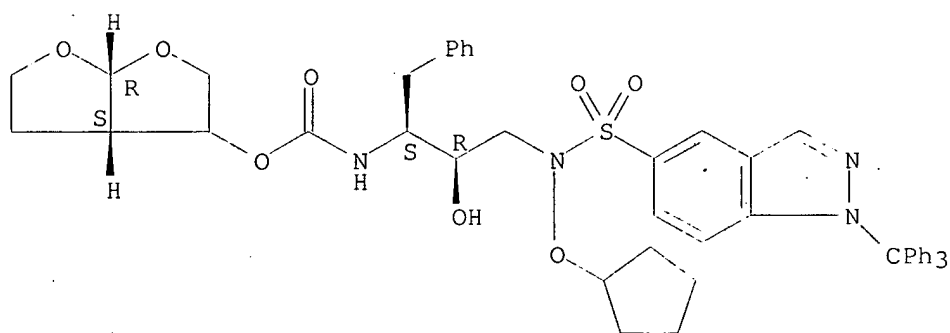
Absolute stereochemistry.



RN 252873-42-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[[1-(triphenylmethyl)-1H-indazol-5-yl]sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

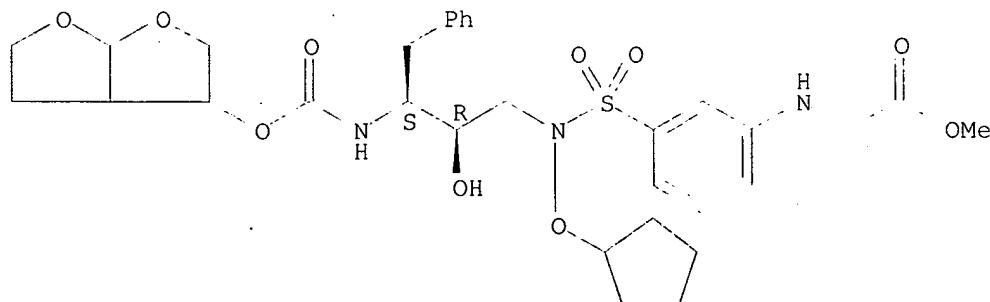
Absolute stereochemistry.



RN 252879-54-2 HCAPLUS

CN Glycine, N-[3-[[[(cyclopentyloxy)[(2R,3S)-3-[[[(hexahydrofuro[2,3-b]furan-3-yl)oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]sulfonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1999:670116 HCAPLUS

DN 131:295568

TI .alpha.- and .beta.-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

IN Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel

P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.;
Heintz, Robert M.

PA G. D. Searle and Co., USA

SO U.S., 130 pp., Cont.-in-part of U. S. 204,827.

CODEN: USXXAM

DT Patent

LA English

IC A61K031-36; C07D317-50

NCL 514275000

CC 1-5 (Pharmacology)

Section cross-reference(s): 7, 33

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5968942	A	19991019	US 1994-294468	19940823 <--
	WO 9404492	A1	19940303	WO 1993-US7814	19930824 <--
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 810209	A2	19971203	EP 1997-113434	19930824 <--
	EP 810209	A3	19981202		
	EP 810209	B1	20020605		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
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	US 6248775	B1	20010619	US 1999-288080	19990408 <--
	US 2002052399	A1	20020502	US 2001-798255	20010305 <--
	US 6417387	B2	20020709		
PRAI	US 1992-934984	B2	19920825	<--	
	WO 1993-US7814	A2	19930824	<--	
	US 1994-204827	A2	19940302	<--	
	EP 1993-923714	A3	19930824	<--	
	US 1993-110911	A2	19930824	<--	
	US 1994-294468	A1	19940823	<--	
	US 1999-288080	A1	19990408		
OS	MARPAT 131:295568				
AB	.alpha.- And .beta.-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a soln. General and specific schemes for chem. synthesis of the sulfonamide-contg. hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).				
ST	amino acid hydroxyethylamino sulfonamide retrovirus protease inhibition; HIV protease inhibition amino acid hydroxyethylamino sulfonamide; antiviral amino acid hydroxyethylamino sulfonamide				
IT	Sulfonamides Sulfonamides RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (amino; .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)				
IT	Amines, biological studies Amines, biological studies RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (sulfonamides; .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)				
IT	Anti-AIDS agents				

Antiviral agents

Human immunodeficiency virus

Retroviridae

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT Amino acids, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT Amino acids, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(.beta.-; .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

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	169280-76-6P	181124-38-9P			

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 9001-92-7, Proteinase 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT	63-91-2, L-Phenylalanine, reactions	87-62-7, 2,6-Dimethylaniline
	95-48-7, reactions	96-34-4, Methyl chloroacetate
	98-74-8, 4-Nitrobenzenesulfonyl chloride	100-39-0, Benzyl bromide
	100-55-0, 3-Pyridinemethanol	105-13-5, 4-Methoxybenzyl alcohol
	107-31-3, Methyl formate	121-51-7, 3-Nitrobenzenesulfonyl chloride
	150-13-0, 496-16-2, 2,3-Dihydrobenzofuran	576-26-1, 933-88-0, o-Toluoyl chloride
	1118-68-9, N,N-Dimethylglycine	2170-03-8, Itaconic anhydride
	2304-96-3, 3167-49-5, 6-Aminonicotinic acid	3391-99-9, 3392-08-3, 4412-91-3,
	3-(Hydroxymethyl)furan	5006-66-6, 6-Hydroxynicotinic acid
	5326-38-5, 25193-95-7, 5-Pyrimidinemethanol	25512-62-3, Cyclohexenone
	26049-94-5, 52130-17-3, 3-Amino-2-methylbenzoic acid	62965-10-0, 79107-75-8
	128018-44-0, 136465-99-1	247047-57-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT	74-97-5P, Bromochloromethane	93-85-6P, 2-Amino-6-Carboxy-Benzothiazole
	603-80-5P, 3-Hydroxy-2-methylbenzoic acid	1878-49-5P,

2-Methylphenoxyacetic acid 1975-51-5P, 4-Nitro-2-methylbenzoic acid
 3182-95-4P, L-Phenylalaninol 3377-31-9P 6633-61-0P, Methyl
 2-aminothiazole-5-carboxylate 13335-71-2P, 2,6-Dimethylphenoxyacetic
 acid 14527-44-7P, Methyl 5-thiazolecarboxylate 38585-74-9P,
 5-Thiazolemethanol 39658-41-8P, Ethyl 6-Aminonicotinate 50850-93-6P
 54781-19-0P, 2-Trimethylsilyloxy-1,3-cyclohexadiene 60427-77-2P,
 4(4-Methoxybenzyl)itaconate 83509-04-0P 84575-50-8P 111060-52-7P
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 115010-11-2P 127927-43-9P 127943-39-9P 128018-43-9P 130165-86-5P
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 247047-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful
 as retroviral protease inhibitors)

IT 107-95-9P, .beta.-Alanine 144-90-1P 498-25-9P 541-48-0P 625-05-8P
 3653-34-7P 4385-92-6P 5699-54-7P 15099-85-1P 16934-21-7P
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RL: SPN (Synthetic preparation); PREP (Preparation)

(.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful
 as retroviral protease inhibitors)

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
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- (3) Anon; EP 0172347 1986 HCAPLUS
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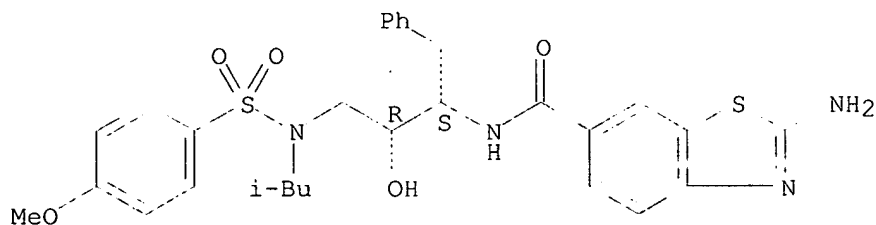
IT 169280-44-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 169280-44-8 HCAPLUS

CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

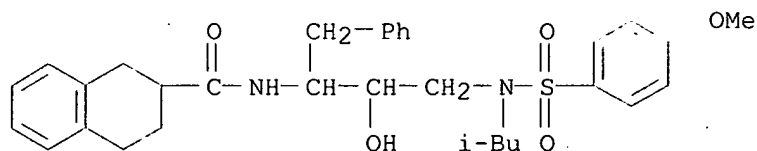


IT 159006-27-6P 216871-08-8P 247047-50-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (.alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

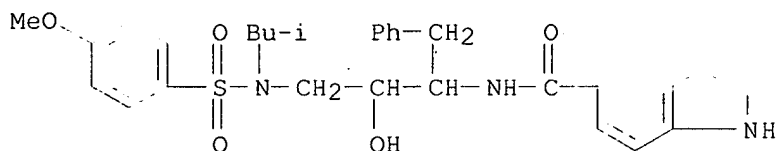
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-
 (9CI) (CA INDEX NAME)



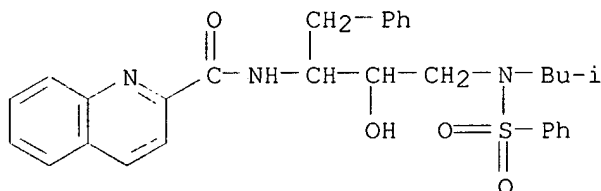
RN 216871-08-8 HCAPLUS

CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[(4-methoxyphenyl)sulfonyl] (2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 247047-50-3 HCAPLUS

CN 2-Quinolinecarboxamide, N-[2-hydroxy-3-[(2-methylpropyl) (phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:799692 HCAPLUS

DN 130:38712

TI Preparation of .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.

PA G.D. Searle & Co., USA

SO U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-50

ICS C07D215-14

NCL 514252000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7

FAN.CNT 6

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	EP 810209	A3	19981202		
	EP 810209	B1	20020605		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
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	ES 2123065	T3	19990101	ES 1993-923714	19930824 <--
	AT 218541	E	20020615	AT 1997-113434	19930824 <--

ES 2177868 T3 20021216 ES 1997-113434 19930824 <--
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 GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW,
 NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US,
 UZ, VN
 RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
 NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 AU 9476697 A1 19950321 AU 1994-76697 19940823 <--
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 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
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 US 1999-288080 A1 19990408
 OS MARPAT 130:38712
 AB Amino acid hydroxyethylamino sulfonamide compds. P1NHCHR2CH(OH)CH2NR3SO2R4
 [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl,
 cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl,
 aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl,
 heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl,
 heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl,
 (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl,
 alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl,
 heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl,
 alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl]
 were prepn. as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[(4-
 methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-
 pyridinecarboxamide was prepd. by amidation of isonicotinoyl chloride
 hydrochloride with 2R-hydroxy-3-[(2-methylpropyl){(4-
 methoxyphenyl)sulfonyl}amino]-1S-(phenylmethyl)propylamine. Protease
 inhibitory data are tabulated.
 ST amino acid hydroxyethylamino sulfonamide prepn protease inhibitor
 IT Human immunodeficiency virus 1
 (prepn. of amino acid hydroxyethylamino sulfonamides useful as
 retroviral protease inhibitors)
 IT Amino acids, preparation
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid hydroxyethylamino sulfonamides useful as
 retroviral protease inhibitors)
 IT 157566-99-9P 159005-79-5P 159005-80-8P 159005-81-9P 159005-82-0P

159005-83-1P 159005-84-2P 159005-85-3P 159005-86-4P 159005-87-5P
 159005-88-6P 159006-02-7P 159006-03-8P 169280-93-7P 216872-44-5P
 216872-49-0P 216872-54-7P 216872-59-2P 216872-65-0P 216872-71-8P
 216872-76-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 157445-95-9P 157566-88-6P 157566-90-0P 157566-95-5P 157566-97-7P
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 216872-34-3P 216873-45-9P 216873-87-9P 216873-93-7P 216874-14-5P
 216874-64-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 9001-92-7, Protease

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 63-91-2, L-Phenylalanine, reactions 78-81-9, Isobutylamine 98-09-9, Phenylsulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-55-0, 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 541-88-8, Chloroacetic anhydride 632-46-2, 2,6-Dimethylbenzoic acid 2170-03-8, Itaconic anhydride 3377-31-9 10147-36-1, Propylsulfonyl chloride 22118-09-8, Bromoacetyl chloride 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 32980-25-9 39178-35-3 60427-77-2 130165-86-5 132605-93-7 132605-97-1 132696-45-8 136465-99-1 143224-62-8 143224-86-6 159005-71-7 159006-48-1 173336-62-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 84575-50-8P 111060-52-7P 111060-64-1P 127927-43-9P 127943-39-9P
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 159006-16-3P 159006-17-4P 159006-18-5P 159006-19-6P 159006-20-9P
 169280-81-3P 216879-45-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
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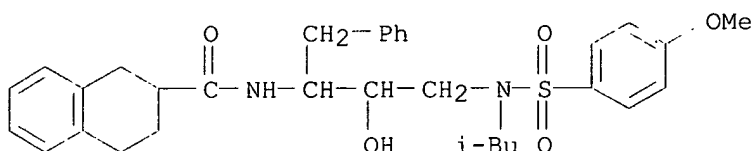
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 (2) Branca; US 5134123 1992 HCAPLUS
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IT 159006-27-6P 216871-08-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

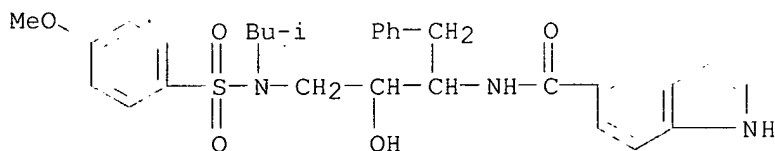
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



RN 216871-08-8 HCAPLUS

CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)



L43 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:310442 HCAPLUS

DN 129:67715

TI Structure based design: novel spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors

AU Ghosh, Arun K.; Krishnan, K.; Walters, D. Eric; Cho, Wonhwa; Cho, Hanna; Rao, Yumee; Trevino, Jose; Holland, Louis; Buthod, Jim

CS Department of Chemistry, University of Illinois at Chicago, Chicago, IL, 60607, USA

SO Bioorganic & Medicinal Chemistry Letters (1998), 8(8), 979-982

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

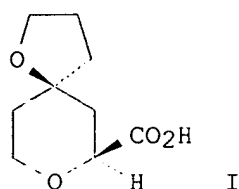
DT Journal

LA English

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7

GI



- AB Novel spirocyclic ethers, e.g., I, were designed to function as nonpeptidal P2-ligands for HIV-1 protease inhibitors. Incorporation of designed ligands in the (R)-(hydroxyethylamino)sulfonamide isostere afforded potent HIV protease inhibitors.
- ST spirocyclic ether prepn HIV protease inhibitor
- IT Antiviral agents
(HIV-1; spirocyclic ethers as nonpeptidal P2-ligands for HIV-1 protease inhibitors)
- IT Spiro compounds
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)
- IT 127779-20-8, Ro 31-8959 **208923-91-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)
- IT **208923-82-4P 208923-83-5P 208923-84-6P**
208923-86-8P 208923-87-9P 208923-88-0P 208923-89-1P
208923-90-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)
- IT 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)
- IT 208923-71-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)
- IT 159005-71-7P 208923-73-3P 208923-75-5P 208923-76-6P 208923-77-7P
208923-78-8P 208923-79-9P 208923-80-2P 208923-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)
- RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
- RE
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- (10) Ghosh, A; J Med Chem 1994, V37, P2506 HCAPLUS
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 (16) Roberts, N; Science 1990, V248, P358 HCAPLUS
 (17) Toth, M; Int J Pep Prot Res 1990, V36, P544 HCAPLUS
 (18) Vacca, J; Proc Natl Acad Sci, U S A 1994, V91, P4096 HCAPLUS
 (19) Vazquez, M; J Med Chem 1995, V38, P581 HCAPLUS

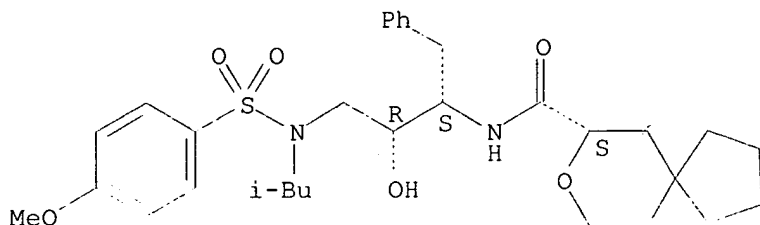
IT 208923-91-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

RN 208923-91-5 HCAPLUS

CN 8-Oxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (7S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



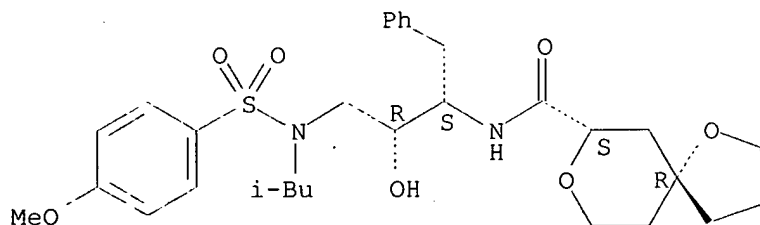
IT 208923-82-4P 208923-83-5P 208923-84-6P
 208923-86-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (spirocyclic ethers as nonpeptidal P2-ligands for HIV protease inhibitors)

RN 208923-82-4 HCAPLUS

CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5R,7S)- (9CI) (CA INDEX NAME)

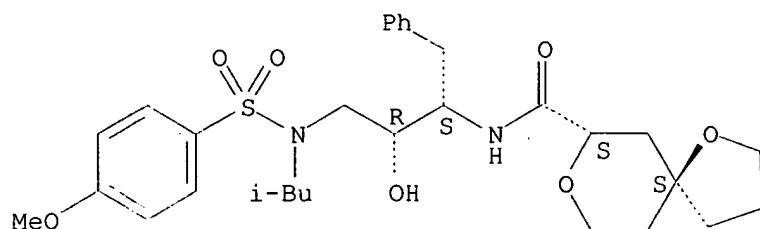
Absolute stereochemistry.



RN 208923-83-5 HCAPLUS

CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5S,7S)- (9CI) (CA INDEX NAME)

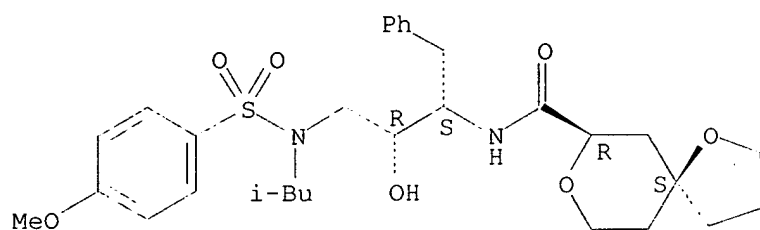
Absolute stereochemistry.



RN 208923-84-6 HCAPLUS

CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5S,7R)- (9CI) (CA INDEX NAME)

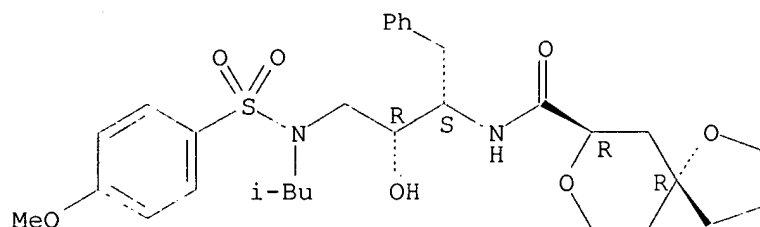
Absolute stereochemistry.



RN 208923-86-8 HCAPLUS

CN 1,8-Dioxaspiro[4.5]decane-7-carboxamide, N-[(1S,2R)-2-hydroxy-3-[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (5R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:746507 HCAPLUS

DN 126:18882

TI Preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors

IN Tung, Roger D.; Bhisetti, Govinda Rao

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D319-06

ICS C07D317-24; C07D309-12; C07D307-20; C07D493-04

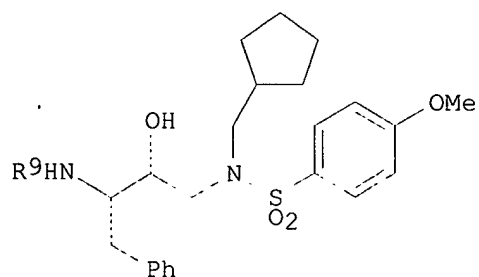
CC 28-11 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9633187 A1 19961024 WO 1996-US5473 19960418 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML
US 5691372 A 19971125 US 1995-424810 19950419 <--
ZA 9602891 A 19961015 ZA 1996-2891 19960411 <--
TW 404945 B 20000911 TW 1996-85104278 19960411 <--
CA 2217745 AA 19961024 CA 1996-2217745 19960418 <--
AU 9656655 A1 19961107 AU 1996-56655 19960418 <--
AU 712913 B2 19991118
EP 833826 A1 19980408 EP 1996-913811 19960418 <--
EP 833826 B1 20020123
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CN 1184474 A 19980610 CN 1996-193903 19960418 <--
CN 1110491 B 20030604
BR 9608033 A 19990112 BR 1996-8033 19960418 <--
JP 11504628 T2 19990427 JP 1996-531953 19960418 <--
AP 862 A 20000804 AP 1997-1104 19960418 <--
W: KE, LS, MW, SD, SZ, UG
EP 1136479 A1 20010926 EP 2001-113575 19960418 <--
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AT 212344 E 20020215 AT 1996-913811 19960418 <--
ES 2171670 T3 20020916 ES 1996-913811 19960418 <--
NO 9704744 A 19971014 NO 1997-4744 19971014 <--
US 5990155 A 19991123 US 1997-977365 19971124 <--
PRAI US 1995-424810 A 19950419 <--
EP 1996-913811 A3 19960418 <--
WO 1996-US5473 W 19960418 <--
OS MARPAT 126:18882
GI



II

AB R1ZNHCHR7CH(OH)CH2NR8SO2R [I; R = heterocyclyl(oxy), (di)(alkyl)amino, alkyl, etc.; R1 = O-contg. heterocyclyl(alkyl); R7,R8 = (cyclo)alkyl, aryl, heterocyclyl, etc.; Z = O, CO, SO2, NHCO, etc.] were prepd. Thus, glycerol formal was esterified by ClCO2C6H4(NO2)-4 and 1 of the 2 products amidated by aminohydroxyalkylsulfonamide II (R9 = H) to give II (R9 = 1,3-dioxan-5-yloxycarbonyl). Data for biol. activity of I were given.

ST sulfonamidohydroxyalkylcarbamate prepn aspartyl protease inhibitor

IT Human immunodeficiency virus 1
(infection; prophylaxis and treatment; prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 78169-47-8, Aspartyl protease
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)

(HIV-1; prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 184155-22-4P 184155-23-5P 184155-24-6P 184155-25-7P 184155-26-8P
184155-27-9P 184155-28-0P 184155-29-1P 184155-30-4P
184155-31-5P 184155-32-6P 184155-33-7P

184155-34-8P 184155-35-9P 184155-36-0P 184155-37-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 121-51-7, 3-Nitrobenzenesulfonyl chloride 2081-44-9,
4-Hydroxytetrahydropyran 4740-78-7, Glycerol formal 5464-28-8,
Glycerol formal 7693-46-1, 4-Nitrophenyl chloroformate 22929-52-8,
Tetrahydrofuran-3-one 160231-30-1 160232-72-4 184155-50-8
184155-51-9 184155-52-0 184155-53-1 184155-54-2 184155-55-3
184155-56-4 184155-57-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 64360-69-6P, 3-Hydroxy-3-methyltetrahydrofuran 184155-38-2P
184155-39-3P 184155-40-6P 184155-41-7P 184155-42-8P
184155-43-9P 184155-44-0P 184155-45-1P 184155-46-2P
184155-47-3P 184155-48-4P 184155-49-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 184155-30-4P 184155-31-5P 184155-32-6P
184155-33-7P 184155-34-8P 184155-35-9P

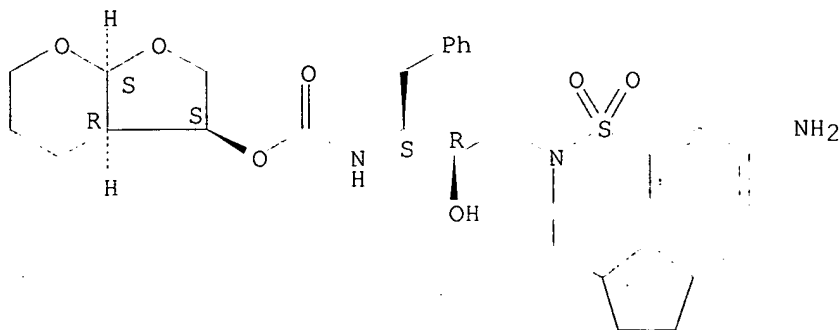
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 184155-30-4 HCAPLUS

CN Carbamic acid, [3-[[[(3-aminophenyl)sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

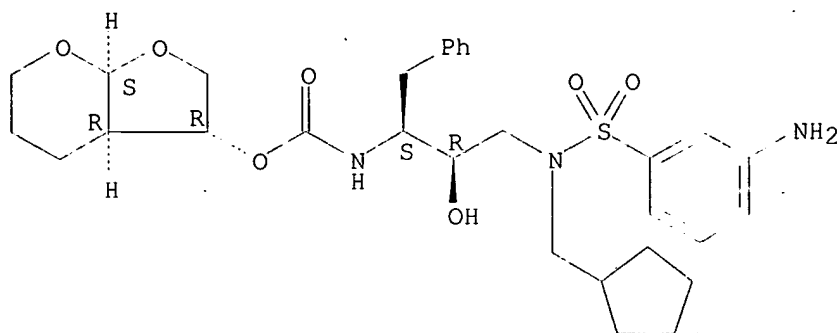
Absolute stereochemistry.



RN 184155-31-5 HCAPLUS

CN Carbamic acid, [3-[[[(3-aminophenyl)sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3.alpha.(1S*,2R*),3a.alpha.,7a.alpha.]]- (9CI) (CA INDEX NAME)

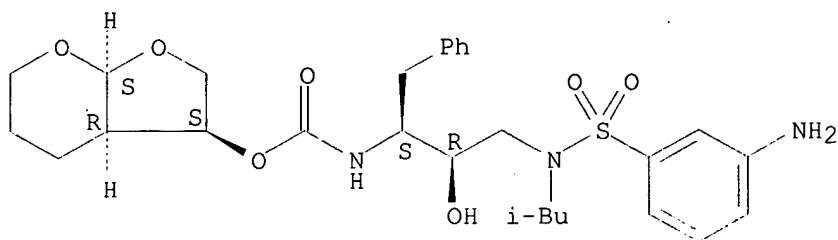
Absolute stereochemistry.



RN 184155-32-6 HCAPLUS

CN Carbamic acid, [3-[[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

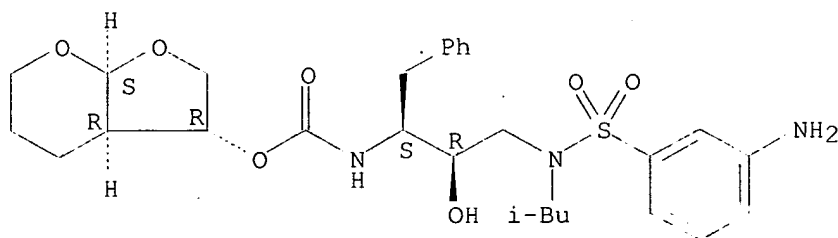
Absolute stereochemistry.



RN 184155-33-7 HCAPLUS

CN Carbamic acid, [3-[[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3.alpha.(1S*,2R*),3a.alpha.,7a.alpha.]]- (9CI) (CA INDEX NAME)

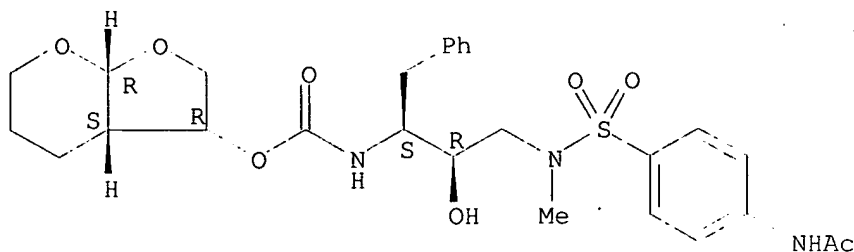
Absolute stereochemistry.



RN 184155-34-8 HCAPLUS

CN Carbamic acid, [3-[[[4-(acetamino)phenyl)sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3.alpha.(1S*,2R*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

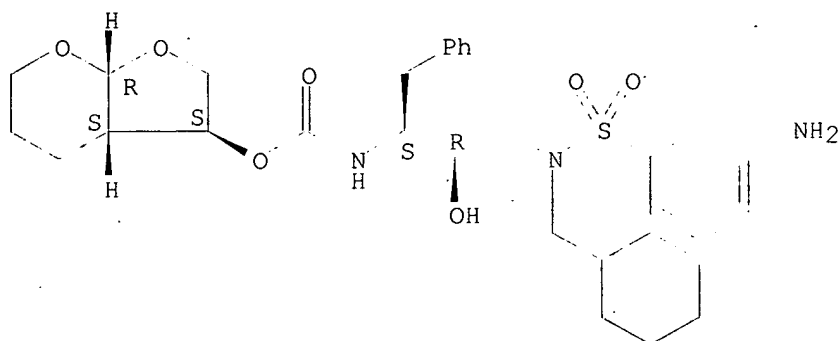
Absolute stereochemistry.



RN 184155-35-9 HCAPLUS

CN Carbamic acid, [3-[[3-aminophenyl)sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.alpha.,7a.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 184155-43-9P 184155-44-0P

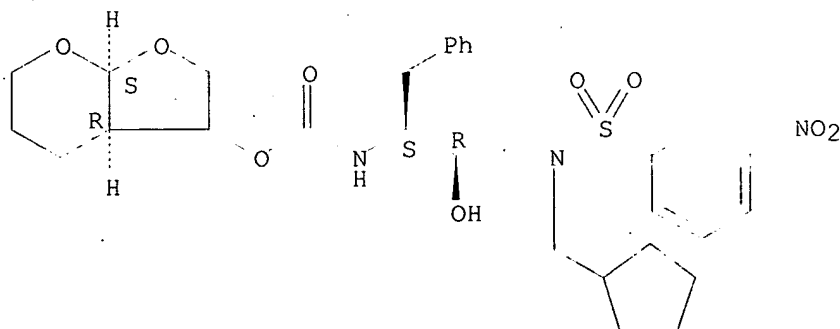
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors).

RN 184155-43-9 HCAPLUS

CN Carbamic acid, [3-[(cyclopentylmethyl)[(3-nitrophenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3(1S,2R),3aR,7aS]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

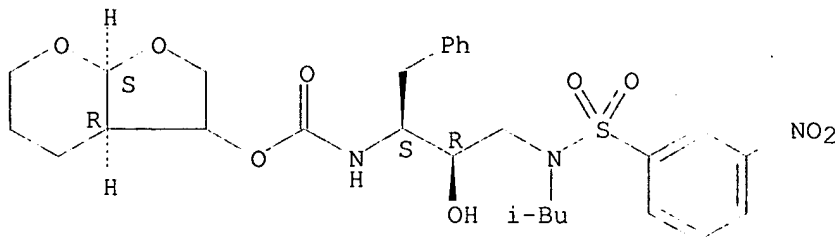


RN 184155-44-0 HCAPLUS

CN Carbamic acid, [2-hydroxy-3-[(2-methylpropyl)[(3-nitrophenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-, hexahydro-4H-

furo[2,3-b]pyran-3-yl ester, [3(1S,2R),3aR,7aS]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L43 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1995:871984 HCAPLUS
 DN 123:279761
 TI Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
 IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
 PA Searle, G. D., and Co., USA; Monsanto Co.
 SO PCT Int. Appl., 255 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C311-29
 ICS C07D213-30; C07C317-14; C07C311-18; C07D307-79; C07K005-062; A61K031-18; A61K031-44
 CC 7-3 (Enzymes)
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506030	A1	19950302	WO 1994-US9139	19940823 <--
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	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	WO 1993-US7814	A2	19930824	<--	
	US 1994-204872	B2	19940302	<--	
	WO 1994-US9139	W	19940823	<--	
OS	MARPAT 123:279761				

AB Hydroxyethylamino sulfonamide compds. AC(:Y)NR6CHR2CHOHCH2NR3S(:O)xR4 [I: R2=(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3=H; R3,R4=R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkylalkyl; R6=H, alkyl; x=1,2; Y=O, S; A=RO, R; R=alkyl, alkenyl; (hetero)aryl, cycloalkyl, cycloalkylalkyl, aralkyl, NH2, mono- or disubstituted amino, etc.] are effective as retroviral protease

inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepd. by (1) prepg. an N-protected amino epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease assays with these compds. revealed inhibitors with IC50's as low as 1.4 nM, e.g. [1S-[1R*(S*),2S*]]-I (A=p-MeOC6H4CH2OCONHCH2CHMe; Y=O; R6=H; R2=benzyl; R3=3-methylbutyl; x=2; R4=phenyl).

ST retrovirus protease inhibitor hydroxyethylamino sulfonamide; HIV protease inhibitor hydroxyethylamino sulfonamide

IT 144114-21-6, Retropepsin

RL: BSU (Biological study, unclassified); BIOL (Biological study) (HIV; hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 169280-63-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT	1975-51-5P, 4-Nitro-2-methylbenzoic acid	157445-94-8P	157566-75-1P
	157566-99-9P	157567-06-1P	159005-60-4P
	159005-68-2P	159005-69-3P	159005-70-6P
	159005-76-2P	159005-77-3P	159005-78-4P
	159005-81-9P	159005-82-0P	159005-83-1P
	159005-86-4P	159005-87-5P	159005-88-6P
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	169281-16-7P	169281-17-8P	169436-99-1P
	169437-02-9P	169437-03-0P	169437-04-1P
			169437-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

IT 62-56-6, Thiourea, reactions 63-91-2, L-Phenylalanine, reactions 74-89-5, Methylamine, reactions 75-77-4, reactions 78-81-9, Isobutylamine 79-08-3, Bromoacetic acid 79-37-8, Oxalyl chloride 87-62-7, 2,6-Dimethylaniline 95-48-7, reactions 96-34-4, Methyl chloroacetate 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzene sulfonyl chloride 100-39-0, Benzyl bromide 100-55-0, 3-Pyridylcarbinol

105-13-5, 4-Methoxybenzyl alcohol 105-36-2, Ethyl bromoacetate
 107-31-3, Methyl formate 107-85-7, Isoamylamine 121-51-7,
 3-Nitrobenzene sulfonyl chloride 124-63-0, Methanesulfonyl chloride
 274-09-9, 1,3-Benzodioxole 496-16-2, 2,3-Dihydrobenzofuran 506-59-2,
 Dimethylamine hydrochloride 541-88-8, Chloroacetic anhydride 576-26-1
 603-80-5, 3-Hydroxy-2-methylbenzoic acid 619-45-4, Methyl
 p-aminobenzoate 632-46-2, 2,6-Dimethylbenzoic acid 933-88-0, o-Toluoyl
 chloride 1118-68-9, N,N-Dimethylglycine 2170-03-8, Itaconic anhydride
 2304-96-3, N-Carbobenzoxy-L-asparagine 3167-49-5, 6-Aminonicotinic acid
 3182-95-4, L-Phenylalaninol 3391-99-9 3392-08-3 4412-91-3,
 3-(Hydroxymethyl)furan 5006-66-6, 6-Hydroxynicotinic acid 5326-38-5,
 2-Iodo-5-nitrotoluene 10147-36-1, Propanesulfonyl chloride 18162-48-6,
 tert-Butyldimethylsilyl chloride 22118-09-8, Bromoacetyl chloride
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 25193-95-7, 5-Pyrimidinemethanol 25512-62-3, Cyclohexenone 26049-94-5
 30925-18-9 39178-35-3, Isonicotinoyl chloride hydrochloride
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 136465-99-1 138499-08-8 143224-62-8 157445-95-9 157566-95-5
 157567-10-7 159005-61-5 159005-92-2 159006-06-1 159006-48-1
 RL: RCT (Reactant); RACT (Reactant or reagent)

(hydroxyethylamino sulfonamides useful as retroviral protease
 inhibitors)

IT 93-85-6P, 2-Amino-6-carboxybenzothiazole 578-39-2P, 4-Hydroxy-2-
 methylbenzoic acid 1878-49-5P, 2-Methylphenoxyacetic acid 3377-31-9P
 6633-61-0P, Methyl 2-aminothiazole-5-carboxylate 13335-71-2P,
 2,6-Dimethylphenoxyacetic acid 14527-44-7P, Methyl 5-thiazolecarboxylate
 38585-74-9P, 5-Thiazolemethanol 39658-41-8P, Ethyl 6-aminonicotinate
 50850-93-6P 54781-19-0P, 2-Trimethylsilyloxy-1,3-cyclohexadiene
 60427-77-2P. 83509-04-0P 84575-50-8P 111060-52-7P 111060-64-1P
 115010-10-1P, 1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P
 127927-43-9P 127943-39-9P 128018-43-9P 130165-86-5P 132605-93-7P
 132605-97-1P 132605-98-2P 132696-45-8P 143224-86-6P 143225-04-1P
 157446-10-1P 157566-90-0P 157566-91-1P 157567-12-9P 157567-13-0P
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 159006-08-3P 159006-09-4P 159006-10-7P 159006-11-8P 159006-12-9P
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 159006-18-5P 159006-20-9P 159006-22-1P 169280-79-9P 169280-80-2P
 169280-81-3P 169280-82-4P 169280-83-5P 169280-84-6P 169280-85-7P
 169280-86-8P 169280-87-9P 169280-88-0P 169280-89-1P 169280-90-4P
 169280-91-5P 169280-92-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(hydroxyethylamino sulfonamides useful as retroviral protease
 inhibitors)

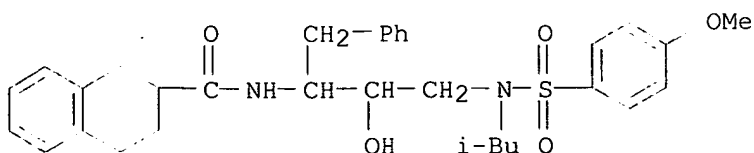
IT 159006-27-6P 159006-28-7P 169280-44-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); BIOL (Biological
 study); PREP (Preparation)

(hydroxyethylamino sulfonamides useful as retroviral protease
 inhibitors)

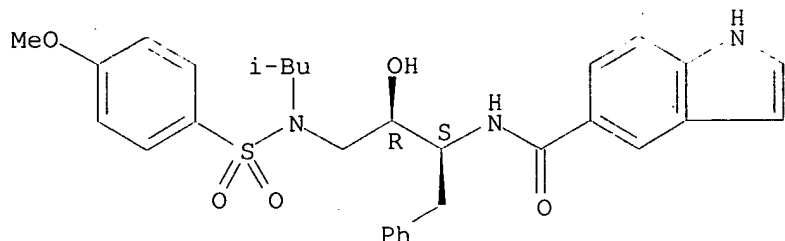
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[4-
 methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-
 (9CI) (CA INDEX NAME)



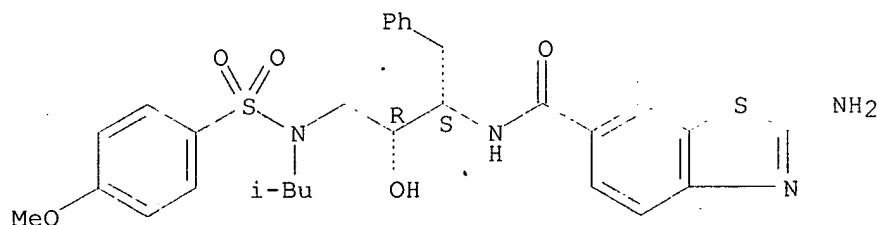
RN 159006-28-7 HCAPLUS
 CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169280-44-8 HCAPLUS
 CN 6-Benzothiazolecarboxamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

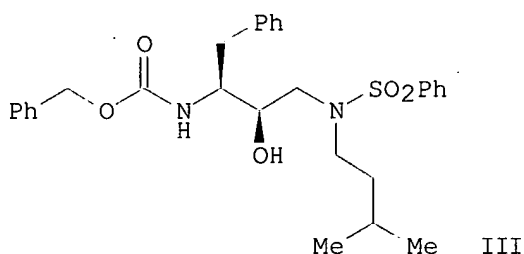
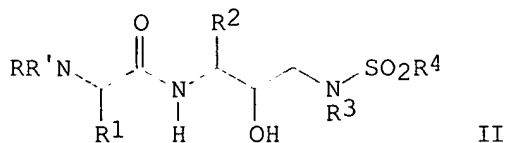
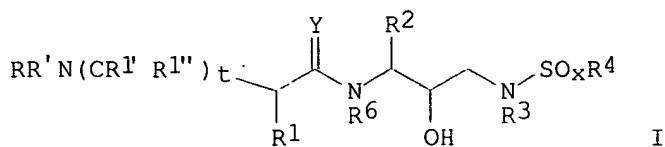
Absolute stereochemistry.



L43 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN
 AN 1994:701324 HCAPLUS
 DN 121:301324
 TI Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
 IN Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
 PA Searle, G. D., and Co., USA; Monsanto Co.
 SO PCT Int. Appl., 198 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C311-29
 ICS C07D213-30; C07K005-06; C07C317-44; C07C311-05; C07C311-18; C07D213-89; C07D215-48; C07C317-14; C07D239-26; C07D213-81; C07D213-82; C07C323-67; C07C311-41; C07D209-08; A61K031-18; A61K037-02; A61K031-44; A61K031-27
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1
 FAN.CNT 6

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WO 9404492	A1	19940303	WO 1993-US7814	19930824 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
 EP 656887 A1 19950614 EP 1993-923714 19930824 <--
 EP 656887 B1 19981028
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
 JP 08501288 T2 19960213 JP 1993-506530 19930824 <--
 AU 680635 B2 19970807 AU 1994-53474 19930824 <--
 AU 9453474 A1 19940315
 EP 810209 A2 19971203 EP 1997-113434 19930824 <--
 EP 810209 A3 19981202
 EP 810209 B1 20020605
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 AT 172717 E 19981115 AT 1993-923714 19930824 <--
 ES 2123065 T3 19990101 ES 1993-923714 19930824 <--
 RU 2173680 C2 20010920 RU 1995-106624 19930824 <--
 AT 218541 E 20020615 AT 1997-113434 19930824 <--
 ES 2177868 T3 20021216 ES 1997-113434 19930824 <--
 US 6060476 A 20000509 US 1994-204827 19940302 <--
 US 5968942 A 19991019 US 1994-294468 19940823 <--
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 US 6248775 B1 20010619 US 1999-288080 19990408 <--
 US 6500832 B1 20021231 US 2000-525161 20000314 <--
 US 2002052399 A1 20020502 US 2001-798255 20010305 <--
 US 6417387 B2 20020709
 FI 2001002317 A 20011127 FI 2001-2317 20011127 <--
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 WO 1993-US7814 W 19930824 <--
 US 1994-204827 A2 19940302 <--
 US 1994-204872 B2 19940302 <--
 US 1994-294468 A1 19940823 <--
 WO 1994-US9139 W 19940823 <--
 US 1999-288080 A1 19990408
 OS MARPAT 121:301324
 GI



- AB Title compds. [I and II; R = H, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, heterocyclyl carbonyl, heteroaryloxy alkyl, hydroxy alkyl, aryl, alkyl; alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkyl alkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxy alkyl, alkoxy alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) amino alkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepd. Thus, title compd. (III, soln. phase prepn. given) inhibited HIV protease with IC50 = 16 nM.
- ST peptide analog prepn retroviral protease inhibitor; hydroxyethylamino sulfonamide peptide retroviral protease inhibitor; virucide prepn hydroxyethylamino sulfonamide; aids treatment hydroxyethylamino sulfonamide; hiv infection treatment hydroxyethylamino sulfonamide
- IT Virucides and Virustats
(hydroxyethylamino sulfonamide peptide derivs.)
- IT Peptides, preparation
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of hydroxyethylamino sulfonamide derivs. as HIV protease inhibitors)
- IT Acquired immune deficiency syndrome
(treatment of, hydroxyethylamino sulfonamide derivs. for)
- IT 144114-21-6, Retropepsin
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV, inhibitors, hydroxyethylamino sulfonamide derivs. for)
- IT 157445-94-8P 157445-95-9P 157446-10-1P 157566-88-6P 157566-90-0P
157566-91-1P 157566-95-5P 157566-97-7P 157566-99-9P 157567-04-9P
157567-06-1P 157567-10-7P 159005-59-1P 159005-60-4P 159005-61-5P
159005-62-6P 159005-63-7P 159005-64-8P 159005-65-9P 159005-66-0P
159005-67-1P 159005-68-2P 159005-69-3P 159005-70-6P 159005-71-7P
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159005-87-5P 159005-88-6P 159005-89-7P 159005-90-0P 159005-91-1P
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 159006-46-9P 159006-47-0P 159006-50-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as HIV protease inhibitor)

IT 62029-74-7P 157566-96-6P 159006-49-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as HIV protease inhibitor intermediate)

IT 3377-31-9P 60427-77-2P 83509-04-0P 84575-50-8P 95437-43-7P
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 128018-44-0P 130165-86-5P 132605-93-7P 132605-97-1P 132605-98-2P
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 159006-17-4P 159006-18-5P 159006-20-9P 159006-22-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for HIV protease inhibitor)

IT 63-91-2, Phenylalanine, reactions 74-89-5, Methylamine, reactions
 74-97-5, Bromochloromethane 78-81-9, Isobutylamine 93-10-7,
 2-Quinolinecarboxylic acid 98-09-9, Benzenesulfonyl chloride 98-68-0,
 4-Methoxybenzenesulfonyl chloride 100-39-0, Benzyl bromide 100-55-0,
 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 107-85-7,
 Isoamylamine 124-40-3, Dimethylamine, reactions 124-63-0,
 Methanesulfonyl chloride 506-59-2, Dimethylamine hydrochloride
 541-88-8, Chloroacetic anhydride 593-71-5, Chloriodomethane
 1118-68-9, N,N-Dimethylglycine 2170-03-8 2304-96-3, Z-Asn-OH
 3182-95-4, L-Phenylalaninol 3391-99-9 3392-08-3 10147-36-1,
 Propanesulfonyl chloride 14254-57-0, Isonicotinoyl chloride
 21900-37-8, 2,6-Dimethylbenzoyl chloride 22118-09-8, Bromoacetyl
 chloride 25193-95-7, 5-Pyrimidinemethanol 26049-94-5 62965-10-0
 143224-62-8 157445-95-9 157566-95-5 157567-10-7 159005-71-7
 159006-20-9 159006-48-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of peptide deriv. HIV protease inhibitor)

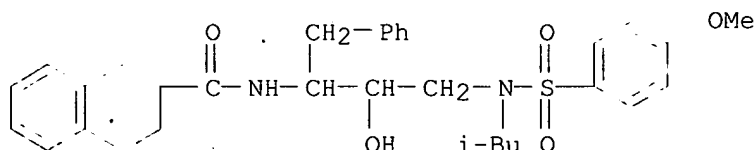
IT 159006-27-6P 159006-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as HIV protease inhibitor)

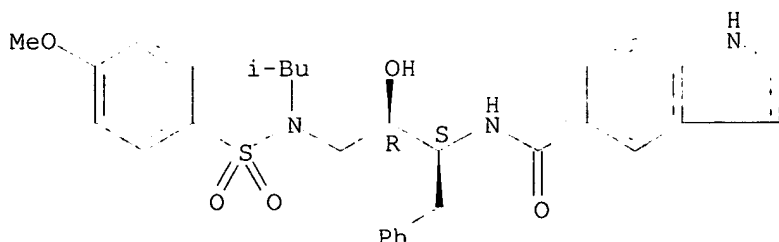
RN 159006-27-6 HCAPLUS

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-(9CI) (CA INDEX NAME)



RN 159006-28-7 HCAPLUS
 CN 1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> fil uspatall
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 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:42:52 ON 06 OCT 2003
 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn fhitstr tot 151

L51 ANSWER 1 OF 5 USPATFULL on STN
 AN 2002:92677 USPATFULL
 TI Sulfonamide inhibitors of aspartyl protease
 IN Hale, Michael Robin, Bedford, MA, UNITED STATES
 Andrews, Clarence Webster, III, Durham, NC, UNITED STATES
 Furfine, Eric Steven, Durham, NC, UNITED STATES
 Sherrill, Ronald George, Cary, NC, UNITED STATES
 Spaltenstein, Andrew, Raleigh, NC, UNITED STATES
 Lowen, Gregory Thomas, Williamsburg, VA, UNITED STATES
 PI US 2002049201 A1 20020425
 US 6613743 B2 20030902
 AI US 2000-731129 A1 20001206 (9)
 RLI Continuation of Ser. No. WO 1999-US13744, filed on 17 Jun 1999, UNKNOWN
 PRAI US 1998-90094P 19980619 (60)
 DT Utility
 FS APPLICATION
 LREP FISH & NEAVE, 1251 AVENUE OF THE AMERICAS, 50TH FLOOR, NEW YORK, NY, 10020-1105
 CLMN Number of Claims: 24
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 7574

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel class of sulfonamides which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of HIV aspartyl protease inhibitors characterized by specific structural and physicochemical features. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention and methods for screening compounds for

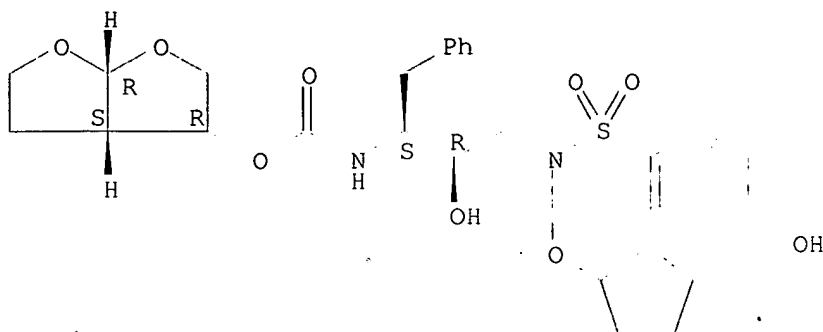
BD
 Interference
 WO 99/5870 NOT 102(e)

anti-HIV activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

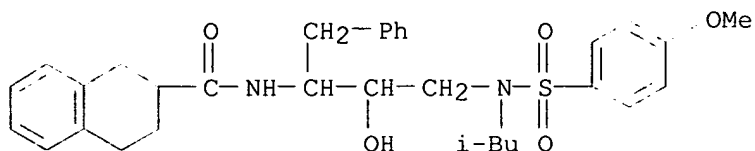
- IT 252871-23-1P 252871-26-4P 252872-06-3P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
- IT 252871-16-2P 252871-17-3P 252871-18-4P
252871-20-8P 252871-21-9P 252871-22-0P
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(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
- IT 252873-47-5 252879-55-3
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
- IT 252872-84-7P 252872-96-1P 252873-09-9P
252873-10-2P 252873-11-3P 252873-12-4P
252873-15-7P 252873-16-8P 252873-17-9P
252873-25-9P 252873-26-0P 252873-30-6P
252873-31-7P 252873-32-8P 252873-42-0P
252879-54-2P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
- IT 252871-23-1P
(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)
- RN 252871-23-1 USPTFULL
- CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L51 ANSWER 2 OF 5 USPATFULL on STN
 AN 2000:41035 USPATFULL
 TI Hydroxyethylamino sulphonamides useful as retroviral protease inhibitors
 IN Vazquez, Michael L., Gurnee, IL, United States
 Mueller, Richard A., Glencoe, IL, United States
 Talley, John J., Brentwood, MO, United States
 Getman, Daniel P., Chestertfield, MO, United States
 DeCrescenzo, Gary A., St. Peters, MO, United States
 Freskos, John N., Clayton, MO, United States
 Bertenshaw, Deborah E., Brentwood, MO, United States
 Heintz, Robert M., Ballwin, MO, United States
 PA G.D. Searle & Co., Chicago, IL, United States (U.S. corporation)
 PI US ~~6046190~~ 1990 20000404
 WO ~~9506030~~ 19950302 <--
 AI US ~~1996-586866~~ 19960124 (8)
 WO 1994-US9139 19940823
 19960124 PCT 371 date
 19960124 PCT 102(e) date
 RLI Continuation-in-part of Ser. No. US 1994-204872, filed on 2 Mar 1994,
 now abandoned which is a continuation-in-part of Ser. No. WO
 1993-US7814, filed on 24 Aug 1993 which is a continuation-in-part of
 Ser. No. US 1992-934984, filed on 25 Aug 1992, now abandoned
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Solola, Taofiq A.
 LREP Banner & Witcoff, Ltd.
 CLMN Number of Claims: 13
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 5086
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB The invention relates to sulfonamide-containing hydroxyethylamine
 protease inhibitor compounds, their process of making, composition and
 method of use for inhibiting retroviral proteases such as human
 immunodeficiency virus.
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 IT 159006-27-6P 169280-44-8P 216871-08-8P
 (prepn. of hydroxyethylamino sulfonamides useful as retroviral protease
 inhibitors)
 IT 159006-27-6P
 (prepn. of hydroxyethylamino sulfonamides useful as retroviral protease
 inhibitors)
 RN 159006-27-6 USPATFULL
 CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[(4-

methoxyphenyl)sulfonyl] (2-methylpropyl)amino]-1-(phenylmethyl)propyl]-
(9CI) (CA INDEX NAME)



L51 ANSWER 3 OF 5 USPATFULL on STN

AN 1998:45216 USPATFULL

TI .beta.-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

IN Vazquez, Michael L., Gurnee, IL, United States
Mueller, Richard A., Glencoe, IL, United States
Talley, John J., St. Louis, MO, United States
Getman, Daniel, Chesterfield, MO, United States
DeCrescenzo, Gary A., St. Peters, MO, United States
Freskos, John N., Clayton, MO, United States

PA G.D. Searle & Co., St. Louis, MO, United States (U.S. corporation)

PI US 5744481 19980428 <--

AI US 1997-845392 19970425 (8)

RLI Continuation of Ser. No. US 1995-485524, filed on 7 Jun 1995, now abandoned which is a division of Ser. No. US 1993-110911, filed on 24 Aug 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-934984, filed on 25 Aug 1992, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Dentz, Bernard

LREP Banner & Witcoff, Ltd.

CLMN Number of Claims: 47

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3389

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB .alpha.- and .beta.-amino acid hydroxyethylamino sulfonamide compounds are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 159006-27-6P 159006-28-7P

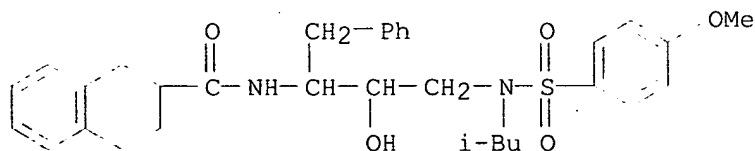
(prepn. of, as HIV protease inhibitor)

IT 159006-27-6P

(prepn. of, as HIV protease inhibitor)

RN 159006-27-6 USPATFULL

CN 2-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl] (2-methylpropyl)amino]-1-(phenylmethyl)propyl]-
(9CI) (CA INDEX NAME)



L51 ANSWER 4 OF 5 USPATFULL on STN

AN 97:109928 USPATFULL

TI Oxygenated-Heterocycle containing sulfonamide inhibitors of aspartyl protease
 IN Tung, Roger D., Arlington, MA, United States
 Bhisetti, Govinda Rao, Lexington, MA, United States
 PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
 PI US 5691372 19971125 <--
 AI US 1995-424810 19950419 (8)
 DT Utility
 FS Granted
 EXNAM Primary Examiner: Dees, Jose' G.; Assistant Examiner: Stockton, Laura L.
 LREP Fish & Neave, Haley, Jr., James F., Marks, Andrew S.
 CLMN Number of Claims: 46
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 1973

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel class of sulfonamides which are aspartyl protease inhibitors. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compounds of this invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 184155-30-4P 184155-31-5P 184155-32-6P
 184155-33-7P 184155-34-8P 184155-35-9P
 (prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

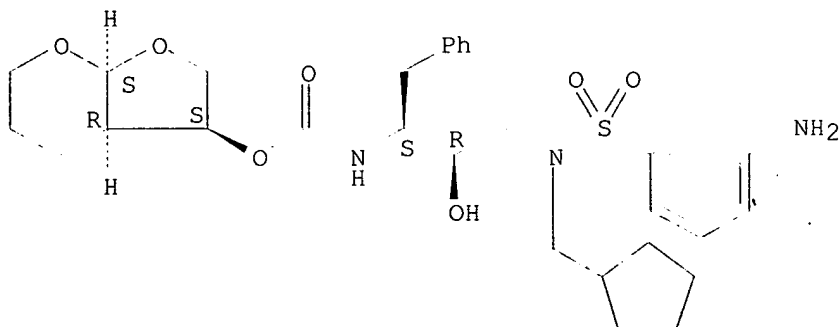
IT 184155-43-9P 184155-44-0P
 (prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

IT 184155-30-4P
 (prepn. of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 184155-30-4 USPATFULL

CN Carbamic acid, [3-[[[(3-aminophenyl)sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3S-[3.alpha.(1R*,2S*),3a.beta.,7a.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



TI Sulfonamide inhibitors of aspartyl protease
 IN Hale, Michael Robin, Bedford, MA, United States
 Andrews, III, Clarence Webster, Durham, NC, United States
 Furfine, Eric Steven, Durham, NC, United States
 Sherrill, Ronald George, Cary, NC, United States
 Spaltenstein, Andrew, Raleigh, NC, United States
 Lowen, Gregory Thomas, Williamsburg, VA, United States
 PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S.
 corporation)
 PI US 6613743 B2 20030902
 AI US 2000-731129 20001206 (9)
 RLI Continuation of Ser. No. WO 1999-US13744, filed on 17 Jun 1999
 PRAI US 1998-90094P 19980619 (60) <--
 DT Utility
 FS GRANTED
 EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie,
 Thomas
 LREP Fish & Neave, Haley, Jr., James F., Wang, Min
 CLMN Number of Claims: 25
 ECL Exemplary Claim: 1
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
 LN.CNT 7394

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel class of sulfonamides of
 formula I which are aspartyl protease inhibitors. In one embodiment,
 this invention relates to a novel class of HIV aspartyl protease
 inhibitors characterized by specific structural and physicochemical
 features. This invention also relates to pharmaceutical compositions
 comprising these compounds. The compounds and pharmaceutical
 compositions of this invention are particularly well suited for
 inhibiting HIV-1 and HIV-2 protease activity and consequently, may be
 advantageously used as anti-viral agents against the HIV-1 and HIV-2
 viruses. This invention also relates to methods for inhibiting the
 activity of HIV aspartyl protease using the compounds of this invention
 and methods for screening compounds for anti-HIV activity. The
 sulfonamides of formula I have the structure: ##STR1##

wherein A, B, D, D', E, G and R^{sup.7} are as defined above.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 252871-23-1P 252871-26-4P 252872-06-3P
 (prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-
 hydroxypropanes and related compds. as inhibitors of HIV aspartyl
 protease)
 IT 252871-16-2P 252871-17-3P 252871-18-4P
 252871-20-8P 252871-21-9P 252871-22-0P
 252871-24-2P 252871-25-3P 252871-27-5P
 252871-29-7P 252871-38-8P 252871-41-3P
 252871-43-5P 252871-54-8P 252871-60-6P
 252871-64-0P 252871-68-4P 252871-70-8P
 252871-72-0P 252871-76-4P 252871-77-5P
 252871-78-6P 252871-79-7P 252871-80-0P
 252871-81-1P 252871-83-3P 252871-84-4P
 252871-89-9P 252871-97-9P 252871-98-0P
 252871-99-1P 252872-02-9P 252872-04-1P
 252872-05-2P 252872-12-1P 252872-13-2P
 252872-14-3P 252872-15-4P 252872-16-5P
 252872-17-6P 252872-18-7P 252872-19-8P
 252872-20-1P 252872-21-2P 252872-22-3P
 252872-23-4P 252872-25-6P 252872-26-7P
 252872-27-8P 252872-28-9P 252872-29-0P
 252872-30-3P 252872-31-4P 252872-32-5P
 252872-33-6P 252872-34-7P 252872-35-8P

252872-36-9P 252872-38-1P 252872-40-5P
 252872-41-6P 252872-42-7P 252872-44-9P
 252872-46-1P 252872-48-3P 252872-49-4P
 252872-51-8P 252872-52-9P 252872-53-0P
 252872-55-2P 252872-57-4P 252873-80-6P
 252873-82-8P 252879-32-6P 252879-33-7P
 252879-34-8P 252879-35-9P 252879-36-0P
 252879-37-1P 252879-38-2P 252879-39-3P
 252879-40-6P 252879-41-7P 252879-42-8P
 252879-43-9P 252879-44-0P 252879-45-1P
 252879-46-2P 252879-47-3P 252879-48-4P
 252879-49-5P 252879-50-8P 252879-51-9P
 252879-52-0P 252879-53-1P

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 252873-47-5 252879-55-3

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

IT 252872-84-7P 252872-96-1P 252873-09-9P
 252873-10-2P 252873-11-3P 252873-12-4P
 252873-15-7P 252873-16-8P 252873-17-9P
 252873-25-9P 252873-26-0P 252873-30-6P
 252873-31-7P 252873-32-8P 252873-42-0P
 252879-54-2P

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

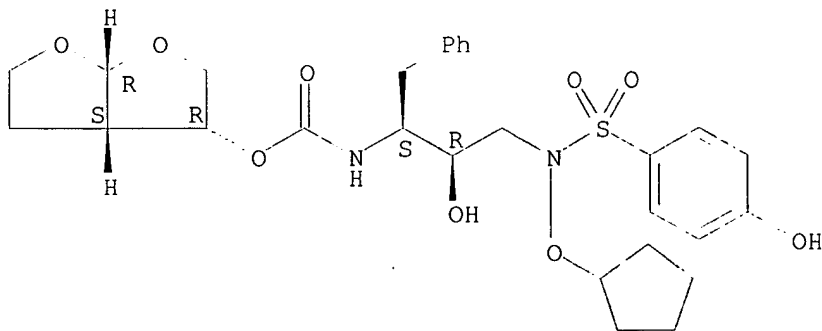
IT 252871-23-1P

(prepn. of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease)

RN 252871-23-1 USPAT2

CN Carbamic acid, [(1S,2R)-3-[(cyclopentyloxy)[(4-hydroxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 14:28:22 ON 06 OCT 2003)
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 14:28:37 ON 06 OCT 2003
 E ERICKSON J/AU

L1 66 S E3,E24
E ERICKSON JOHN/AU
L2 149 S E3,E20,E21
E GULNIK S/AU
L3 54 S E3,E4,E6-E10
E MITSUYA H/AU
L4 287 S E3,E6,E7,E9
L5 52 S L1,L2 AND L3,L4
L6 4 S L3 AND L4
L7 4 S L5 AND L6
SEL RN

FILE 'REGISTRY' ENTERED AT 14:30:21 ON 06 OCT 2003

L8 15 S E1-E15
L9 1 S L8 AND OC4-OC4/ES

FILE 'HCAPLUS' ENTERED AT 14:31:48 ON 06 OCT 2003

L10 12 S L9
L11 7 S L10 AND L1-L7
L12 6 S L11 NOT L7
L13 5 S L10 NOT L11
L14 11 S L12,L13
SEL RN

FILE 'REGISTRY' ENTERED AT 14:32:26 ON 06 OCT 2003

L15 320 S E16-E335
L16 201 S L15 AND OC4-OC4/ES
L17 193 S L16 AND 46.150.18/RID
L18 33 S L17 AND 4/NR
L19 12 S L18 AND (C28H38N2O8S OR C28H39N3O7S OR C27H36N2O8S OR C28H38N
SEL RN 1-6
L20 6 S L19 NOT E336-E341
SEL RN
L21 0 S E342-E347/CRN
L22 6 S L9,L20

FILE 'HCAPLUS' ENTERED AT 14:43:21 ON 06 OCT 2003

L23 12 S L22
L24 7 S TMC126 OR TMC 126 OR UIC94003 OR UIC() (94003 OR 94 003)
L25 13 S L23,L24
L26 7 S L25 AND L1-L7
L27 13 S L25,L26
L28 3 S L27 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

FILE 'REGISTRY' ENTERED AT 14:44:54 ON 06 OCT 2003

L29 6 S L19 NOT L22

FILE 'HCAPLUS' ENTERED AT 14:45:27 ON 06 OCT 2003

L30 1 S L29
L31 0 S L30 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)

FILE 'REGISTRY' ENTERED AT 14:46:03 ON 06 OCT 2003

L32 STR
L33 STR L32
L34 5 S L33
L35 1066 S L33 FUL
SAV L35 EMILY720/A
L36 196 S L35 AND L8,L15
L37 870 S L35 NOT L36

FILE 'HCAPLUS' ENTERED AT 15:36:51 ON 06 OCT 2003

L38 17 S L36
L39 15 S L37

L40 12 S L38,L39 AND (PD<=19980623 OR PRD<=19980623 OR AD<=19980623)
L41 2 S L40 AND L1-L4
L42 3 S L28,L41
L43 9 S L40 NOT L42

FILE 'REGISTRY' ENTERED AT 15:38:46 ON 06 OCT 2003

FILE 'HCAPLUS' ENTERED AT 15:39:10 ON 06 OCT 2003

FILE 'USPATFULL, USPAT2' ENTERED AT 15:40:07 ON 06 OCT 2003

L44 20 S L27
L45 0 S L29
L46 2 S L36
L47 25 S L37
L48 10 S L44-L47 AND (PD<=19980623 OR PRD<=19980623)
L49 5 S L48 AND (A61K OR A61P)/IC, ICM, ICS
L50 5 S L48 AND 514/NCLM, NCLS
L51 5 S L49, L50

FILE 'USPATFULL, USPAT2' ENTERED AT 15:42:52 ON 06 OCT 2003

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